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NEWS 2 APR 02 CAS Registry Number Crossover Limits Increased to  
500,000 in Key STN Databases  
NEWS 3 APR 02 PATDPAFULL: Application and priority number formats  
enhanced  
NEWS 4 APR 02 DWPI: New display format ALLSTR available  
NEWS 5 APR 02 New Thesaurus Added to Derwent Databases for Smooth  
Sailing through U.S. Patent Codes  
NEWS 6 APR 02 EMBASE Adds Unique Records from MEDLINE, Expanding  
Coverage back to 1948  
NEWS 7 APR 07 CA/CAPLUS CLASS Display Streamlined with Removal of  
Pre-IPC 8 Data Fields  
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Available in CAPLUS  
NEWS 9 APR 07 MEDLINE Coverage Is Extended Back to 1947  
NEWS 10 JUN 16 WPI First View (File WPIFV) will no longer be  
available after July 30, 2010  
NEWS 11 JUN 18 DWPI: New coverage - French Granted Patents  
NEWS 12 JUN 18 CAS and FIZ Karlsruhe announce plans for a new  
STN platform  
NEWS 13 JUN 18 IPC codes have been added to the INSPEC backfile  
(1969-2009)  
NEWS 14 JUN 21 Removal of Pre-IPC 8 data fields streamline displays  
in CA/CAPLUS, CASREACT, and MARPAT  
NEWS 15 JUN 21 Access an additional 1.8 million records exclusively  
enhanced with 1.9 million CAS Registry Numbers --  
EMBASE Classic on STN  
NEWS 16 JUN 28 Introducing "CAS Chemistry Research Report": 40 Years  
of Biofuel Research Reveal China Now Atop U.S. in  
Patenting and Commercialization of Bioethanol  
NEWS 17 JUN 29 Enhanced Batch Search Options in DGENE, USGENE,  
and PCTGEN  
NEWS 18 JUL 19 Enhancement of citation information in INPADOC  
databases provides new, more efficient competitor  
analyses  
NEWS 19 JUL 26 CAS coverage of global patent authorities has  
expanded to 61 with the addition of Costa Rica  
  
NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,  
AND CURRENT DISCOVER FILE IS DATED 07 JULY 2010.  
  
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\*\*\*\*\* STN Columbus \*\*\*\*\*

FILE 'HOME' ENTERED AT 20:26:22 ON 17 AUG 2010

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.88	0.88

FILE 'REGISTRY' ENTERED AT 20:28:40 ON 17 AUG 2010

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STRUCTURE FILE UPDATES: 16 AUG 2010 HIGHEST RN 1236252-88-2

DICTIONARY FILE UPDATES: 16 AUG 2010 HIGHEST RN 1236252-88-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

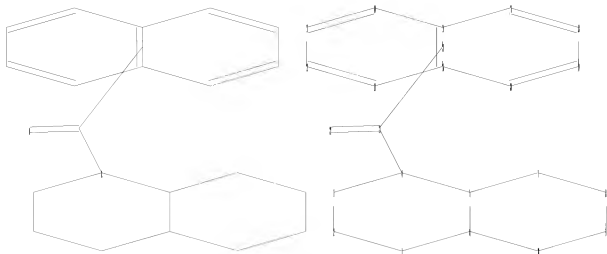
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10-542,759-2 quinoline.str



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chain nodes :
21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20
chain bonds :
4-21 21-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 15-17 16-20 17-18 18-19 19-20
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-21 5-6 5-7 6-10 7-8 8-9 9-10 21-22
normalized bonds :
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
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20:Atom 21:CLASS 22:CLASS 23:Atom

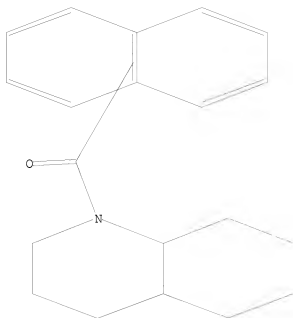
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 20:29:25 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1401 TO ITERATE

100.0% PROCESSED 1401 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 25775 TO 30265

PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 20:29:33 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 27736 TO ITERATE

100.0% PROCESSED 27736 ITERATIONS

139 ANSWERS

SEARCH TIME: 00.00.01

L3 139 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

192.03

192.91

FILE 'CAPLUS' ENTERED AT 20:29:49 ON 17 AUG 2010

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 17 Aug 2010 VOL 153 ISS 8  
FILE LAST UPDATED: 16 Aug 2010 (20100816/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 18 L3

=> d ibib abs hitstr l-

YOU HAVE REQUESTED DATA FROM 18 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 2008:969083 CAPLUS

DOCUMENT NUMBER: 149:443052

TITLE: The discovery of small molecule chemical probes of Bcl-XL and Mcl-1

AUTHOR(S): Prakesch, Michael; Denisov, Alexey Yu; Naim, Marwen; Gehring, Kalle; Arya, Prabhat

CORPORATE SOURCE: MaRS Centre, Ontario Institute for Cancer Research, Toronto, ON, M5G 1L7, Can.

SOURCE: Bioorganic & Medicinal Chemistry (2008), 16(15), 7443-7449

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

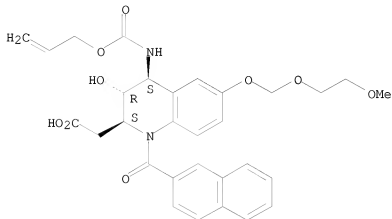
LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:443052

AB A tetrahydroaminoquinoline-based library was generated with the goals of finding small mol. modulators of protein-protein interactions. Several library members as well as other related intermediates were tested for their ability to bind to Bcl-XL and Mcl-1 by in silico and 15N NMR studies. The NMR study led to the identification of the tetrahydroaminoquinoline-based nude scaffold, as a weak binder (Kd = 200  $\mu$ M for Bcl-XL and Kd = 300  $\mu$ M for Mcl-1) to both proteins. Using this scaffold as the starting material, the authors then synthesized a focused library of only 9 derivs. by applying the principles of a fragment-based approach. All these derivs. were then tested by NMR and this led to the discovery of a novel, small mol. (MIPRALDEN) as a binder to Mcl-1 and Bcl-XL (Kd = 25 and 70  $\mu$ M). This finding is novel because to the authors' knowledge there are not many small mols. known in the literature that bind to Mcl-1.

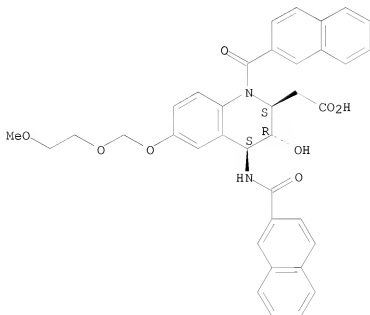
IT 1068149-12-1P 1068149-18-7P  
 RL: BUU (Biological use, unclassified); CPN (Combinatorial preparation);  
 PEP (Physical, engineering or chemical process); PRP (Properties); SPN  
 (Synthetic preparation); BIOL (Biological study); CMBI (Combinatorial  
 study); PREP (Preparation); PROC (Process); USES (Uses)  
 (discovery of small mol. chemical probes of proteins Bcl-XL and Mcl-1)  
 RN 1068149-12-1 CAPLUS  
 CN 2-Quinoloneacetic acid, 1,2,3,4-tetrahydro-3-hydroxy-6-[(2-  
 methoxyethoxy)methoxy]-1-(2-naphthalenylcarbonyl)-4-[[[2-propen-1-  
 yloxy)carbonyl]amino]-, (2S,3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



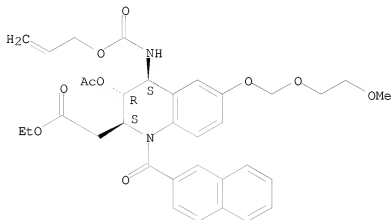
RN 1068149-18-7 CAPLUS  
 CN 2-Quinoloneacetic acid, 1,2,3,4-tetrahydro-3-hydroxy-6-[(2-  
 methoxyethoxy)methoxy]-1-(2-naphthalenylcarbonyl)-4-[[[2-  
 naphthalenylcarbonyl)amino]-, (2S,3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



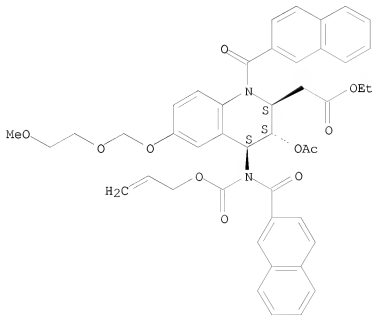
IT 1068149-23-4P 1068149-26-7P 1068149-64-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (discovery of small mol. chemical probes of proteins Bcl-XL and Mcl-1)  
 RN 1068149-23-4 CAPLUS  
 CN 2-Quinolineacetic acid, 3-(acetyloxy)-1,2,3,4-tetrahydro-6-[(2-  
 methoxyethoxy)methoxy]-1-(2-naphthalenylcarbonyl)-4-[[[2-propen-1-  
 yloxy]carbonyl]amino]-, ethyl ester, (2S,3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1068149-26-7 CAPLUS  
 CN 2-Quinolineacetic acid, 3-(acetyloxy)-1,2,3,4-tetrahydro-6-[(2-  
 methoxyethoxy)methoxy]-1-(2-naphthalenylcarbonyl)-4-[[[2-  
 naphthalenylcarbonyl]-(2-propen-1-yloxy)carbonyl]amino]-, ethyl ester,  
 (2S,3S,4S)- (CA INDEX NAME)

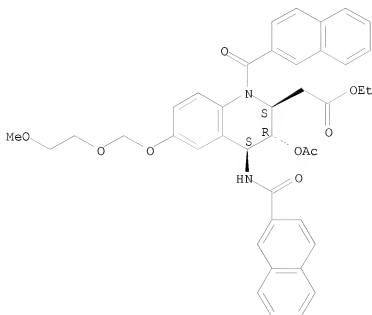
Absolute stereochemistry.



RN 1068149-64-3 CAPLUS

CN 2-Quinolineacetic acid, 3-(acetyloxy)-1,2,3,4-tetrahydro-6-[(2-methoxyethoxy)methoxy]-1-(2-naphthalenylcarbonyl)-4-[(2-naphthalenylcarbonyl)amino]-, ethyl ester, (2S,3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)  
 REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:1193399 CAPLUS  
 DOCUMENT NUMBER: 143:440276  
 TITLE: Phenanthridine analogues, their preparation, pharmaceutical compositions, and uses as inhibitors of hyperproliferation of T cells and keratinocytes  
 INVENTOR(S): Pegoraro, Stefano; Lang, Martin; Feurle, Juliane; Krauss, Juergen  
 PATENT ASSIGNEE(S): 4SC AG, Germany; Switch Biotech AG  
 SOURCE: PCI Int. Appl., 92 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

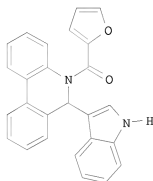
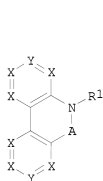
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005105752	A1	20051110	WO 2004-EP11121	20041005
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,			



EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

EP 1652841	A1	20060503	EP 2004-10341	20040430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, HR				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,				
AU 2004319072	A1	20051110	AU 2004-319072	20041005
CA 2562400	A1	20051110	CA 2004-2562400	20041005
EP 1740548	A1	20070110	EP 2004-790131	20041005
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1934087	A	20070321	CN 2004-80042522	20041005
BR 2004018782	A	20071009	BR 2004-18782	20041005
JP 2007538007	T	20071227	JP 2007-509886	20041005
NZ 551399	A	20090828	NZ 2004-551399	20041005
US 20050282801	A1	20051222	US 2005-118421	20050502
US 7276606	B2	20071002		
IN 2006MN01096	A	20070622	IN 2006-MN1096	20060913
MX 2006011763	A	20070413	MX 2006-11763	20061011
PRIORITY APPLN. INFO.:			EP 2004-10341	A 20040430
			US 2004-566820P	P 20040430
			WO 2004-EP11121	W 20041005

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): CASREACT 143:440276; MARPAT 143:440276  
GI



AB The invention relates to phenanthridine analogs, e.g., general formula I, which are inhibitors of T cell hyperproliferation and keratinocyte hyperproliferation. In compds. I, A is SO2 or substituted C; R1 is alkyl, alkoxy, OH, SH, acyl, carboxy, aryl, heteroaryl, etc.; and X and Y are independently N or (un)substituted C. The invention also relates to the preparation of I, pharmaceutical compns. containing I, optionally with appropriate adjuvants and additives, as well as to the use of the compns. for the inhibition of T cell or keratinocyte hyperproliferation. Addition of indole to phenanthridine and acylation with 2-furoyl chloride gave phenanthridine analog II. Several compds. of the invention express more than 50% inhibition of keratinocyte proliferation and seven of those compds., e.g., II, also express EC50 value below 25  $\mu$ M in a T cell proliferation assay.

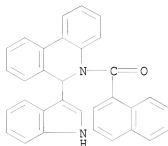
IT 868853-64-9P, [6-(1H-Indol-3-yl)-6H-phenanthridin-5-yl]naphthalen-1-ylmethanone  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of phenanthridine analogs as inhibitors of hyperproliferation of T cells and keratinocytes)

RN 868853-64-9 CAPLUS

CN Methanone, [6-(1H-indol-3-yl)-5(6H)-phenanthridinyl]-1-naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1012143 CAPLUS

DOCUMENT NUMBER: 143:398877

TITLE: Perhydroquinolylbenzamides as Novel Inhibitors of

11 $\beta$ -Hydroxysteroid Dehydrogenase Type 1

AUTHOR(S): Coppola, Gary M.; Kukkola, Paivi J.; Stanton, James L.; Neubert, Alan D.; Marcopulos, Nicholas; Bilci, Natalie A.; Wang, Hua; Tomaselli, Hollis C.; Tan, Jenny; Aicher, Thomas D.; Knorr, Douglas C.; Jeng, Arco Y.; Dardik, Beatriz; Chatelain, Ricardo E.

CORPORATE SOURCE: Department of Metabolic and Cardiovascular Diseases, Novartis Institutes for Biomedical Research, Cambridge, MA, 02139, USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(21), 6696-6712

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:398877

AB High-throughput screening identified 5 as a weak inhibitor of 11 $\beta$ -HSD1. Optimization of the structure led to a series of perhydroquinolylbenzamides, some with low nanomolar inhibitory potency. A tertiary benzamide is required for biol. activity and substitution of the terminal benzamide with either electron-donating or -withdrawing groups is tolerated. The majority of the compds. show selectivity of >20 to >700-fold over 11 $\beta$ -HSD2. Analogs which showed >50% inhibition of 11 $\beta$ -HSD1 at 1  $\mu$ M in an cellular assay were screened in an ADX mouse model. A maximal response of >70% reduction of liver corticosterone levels was observed for three compds.; 9m, 25 and 49.

IT 867288-49-1P

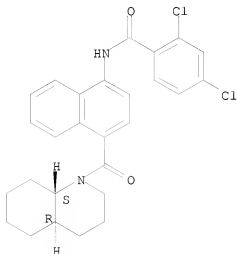
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(perhydroquinolylbenzamides as inhibitors of hydroxysteroid dehydrogenase)

RN 867288-49-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[(4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-1-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 867288-60-6P

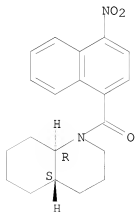
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(perhydroquinolylbenzamides as inhibitors of hydroxysteroid dehydrogenase)

RN 867288-60-6 CAPLUS

CN Methanone, (4-nitro-1-naphthalenyl)[(4aR,8aS)-octahydro-1(2H)-quinolinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 867288-61-7P

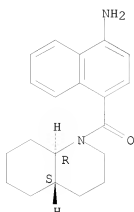
RL: SPN (Synthetic preparation); PREP (Preparation)

(perhydroquinolylbenzamides as inhibitors of hydroxysteroid dehydrogenase)

RN 867288-61-7 CAPLUS

CN Methanone, (4-amino-1-naphthalenyl)[(4aR,8aS)-octahydro-1(2H)-quinolinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

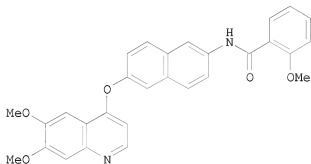


OS.CITING REF COUNT: 34 THERE ARE 34 CAPLUS RECORDS THAT CITE THIS  
RECORD (34 CITINGS)  
REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2005:696877 CAPLUS  
DOCUMENT NUMBER: 143:211847  
TITLE: Preparation of heteroaryl substituted naphthalenes as  
inhibitors of Lck, VEGFR and/or HGF related activity  
Potashman, Michele; Kim, Tae-Seong; Bellon, Steven;  
Booker, Shon; Cheng, Yuan; Kim, Joseph L.; Tasker,  
Andrew; Xi, Ning; Xu, Shimin; Harmange,  
Jean-Christophe; Borg, George; Weiss, Matthew; Hodous,  
Brian L.; Graceffa, Russell; Buckner, William H.;  
Masse, Craig E.; Choquette, Deborah; Martin, Matthew  
W.; Germain, Julie; DiPietro, Lucian V.; Chaffee,  
Stuart C.; Nunes, Joseph J.; Buchanan, John L.;  
Habgood, Gregory J.; McGowan, David C.; Whittington,  
Douglas A.  
PATENT ASSIGNEE(S): Amgen Inc., USA  
SOURCE: PCT Int. Appl., 444 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070891	A2	20050804	WO 2005-US2326	20050124
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005206571	A1	20050804	AU 2005-206571	20050124

CA 2553423	A1	20050804	CA 2005-2553423	20050124
EP 1713484	A2	20061025	EP 2005-722533	20050124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
US 20060241115	A1	20061026	US 2005-42634	20050124
US 7435823	B2	20081014		
CN 1933839	A	20070321	CN 2005-80006839	20050124
BR 2005007373	A	20070710	BR 2005-7373	20050124
JP 2007518824	T	20070712	JP 2006-551404	20050124
MX 2006008327	A	20060929	MX 2006-8327	20060721
IN 2006CN02683	A	20070608	IN 2006-CN2683	20060721
NO 2006003693	A	20061023	NO 2006-3693	20060817
ZA 2006006941	A	20080227	ZA 2006-6941	20060821
US 20090176774	A1	20090709	US 2008-157303	20080609
PRIORITY APPLN. INFO.:				
			US 2004-538691P	P 20040123
			US 2005-42634	A3 20050124
			WO 2005-US2326	W 20050124
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): CASREACT 143:211847; MARPAT 143:211847				
GI				



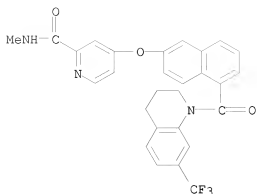
II

AB The title compds. I [R1XAYR; R = (un)substituted aryl, heterocyclyl, cycloalkyl, etc.; R1 = (un)substituted quinolinyl, quinazolinyl, pyrimidinyl, etc.; A = (un)substituted naphthalenediyl, etc.; X = O, S, (un)substituted NH, CH2; Y = NHCO, CONH, etc.] which are effective for prophylaxis and treatment of diseases, such as HGF mediated diseases, were prepared E.g., a multi-step synthesis of II, starting from 6-hydroxy-2-naphthoic acid, was given. The compds. I showed inhibition of Lck kinase, c-Met kinase, and VEGFR kinase at less than 10  $\mu$ M. The invention encompasses novel compds. I, analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutically compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like.

IT 861876-16-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of heteroaryl substituted naphthalenes as inhibitors of Lck, VEGFR and/or HGF related activity)

RN 861876-16-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[5-[[3,4-dihydro-7-(trifluoromethyl)-1(2H)-quinolinyl]carbonyl]-2-naphthalenyl]oxy]-N-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:633903 CAPLUS

DOCUMENT NUMBER: 141:173975

TITLE: Preparation of amides as inhibitors of 11-beta-hydroxysteroid dehydrogenase type 1

INVENTOR(S): Coppola, Gary Mark; Damon, Robert Edson; Kukkola, Paivi Jaana; Stanton, James Lawrence

PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

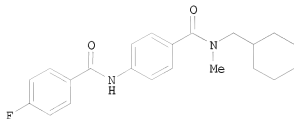
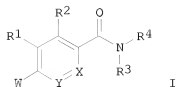
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065351	A1	20040805	WO 2004-EP571	20040123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
CA 2513349	A1	20040805	CA 2004-2513349	20040123
EP 1590319	A1	20051102	EP 2004-704554	20040123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004006938	A	20060103	BR 2004-6938	20040123
CN 1741986	A	20060301	CN 2004-80002540	20040123
JP 2006517199	T	20060720	JP 2006-500009	20040123
US 20060205772	A1	20060914	US 2005-542759	20050816
PRIORITY APPLN. INFO.:			US 2003-442532P	P 20030124
			WO 2004-EP571	W 20040123

OTHER SOURCE(S): MARPAT 141:173975

GI



AB The title compds. [I; R1, R2 = H, CN, halo, NO2, etc.; or R1 and R2 together with the carbon atoms they are attached to form an optionally substituted 5-7 membered (hetero)aromatic ring; R3 = alkyl; or R3 and R2 together with the amide group to which R3 is attached and the carbon atoms to which R2 and the amide are attached form (un)substituted 5-7 membered carbocyclic or heterocyclic ring; R4 = alkyl, cycloalkyl, heterocyclyl, aryl, (hetero)aralkyl; or NR4R3 = (un)substituted 5-8 membered ring, 8-12 membered fused bicyclic ring (both ring systems may contain another heteroatom selected from O, N and S); W = NR5COR6, NR5CO2R6, NR5CONR6R7, etc.; R5, R7 = H, alkyl, aralkyl; R6 = alkyl, cycloalkyl, heterocyclyl, aryl, (hetero)aralkyl; X, Y = CH, N; or X:Y = CH2, O, S, NR10 (R10 = H, alkyl)] which lower intracellular glucocorticoid concns. in mammals, in particular, intracellular cortisol levels in humans, were prepared E.g., two alternative routes for preparation of the amide II were given. The compds. I were tested for inhibition of 11 $\beta$ -HSD1 (specific data given for representative compds. I). The compds. I improve insulin sensitivity in the muscle and the adipose tissue, and reduce lipolysis and free fatty acid production in the adipose tissue. The compds. I lower hepatic glucocorticoid concentration in mammals, in particular, hepatic cortisol concentration

in humans, resulting in inhibition of hepatic gluconeogenesis and lowering of plasma glucose levels. Thus, the compds. I may be particularly useful in mammals as hypoglycemic agents for the treatment and prevention of conditions in which hyperglycemia and/or insulin resistance are implicated, such as type-2 diabetes. The compds. I may also be used to treat other glucocorticoid associated disorders, such as Syndrome-X, dyslipidemia, hypertension and central obesity. The invention furthermore relates to the use of the compds. I for the preparation of medicaments, in particular of medicaments useful for the treatment and prevention of glucocorticoid associated disorders, by improving insulin sensitivity, reducing plasma glucose levels, reducing lipolysis and free fatty acid production, and by decreasing visceral adipose tissue formation.

II	735347-02-1P	735347-03-2P	735347-04-3P
	735347-05-4P	735347-06-5P	735347-07-6P
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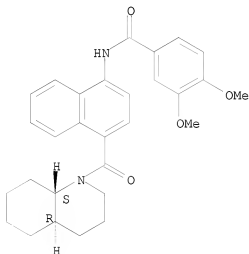
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides as inhibitors of 11-beta-hydroxysteroid dehydrogenase type 1)

RN 735347-02-1 CAPLUS

CN Benzamide, 3,4-dimethoxy-N-[4-[(4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-1-naphthalenyl]-, rel- (CA INDEX NAME)

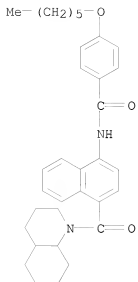
Relative stereochemistry.



RN 735347-03-2 CAPLUS

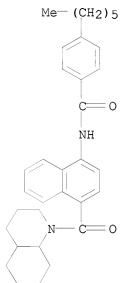
CN Benzamide, 4-(hexyloxy)-N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]- (CA INDEX NAME)





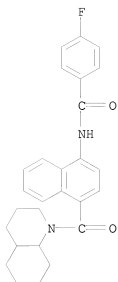
RN 735347-04-3 CAPLUS

CN Benzamide, 4-hexyl-N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]- (CA INDEX NAME)



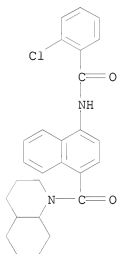
RN 735347-05-4 CAPLUS

CN Benzamide, 4-fluoro-N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]- (CA INDEX NAME)



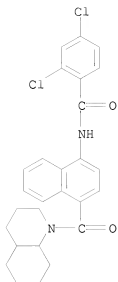
RN 735347-06-5 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]- (CA INDEX NAME)



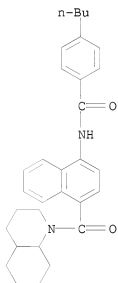
RN 735347-07-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]- (CA INDEX NAME)



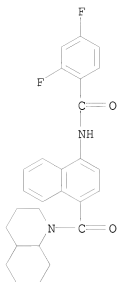
RN 735347-08-7 CAPLUS

CN Benzamide, 4-butyl-N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]- (CA INDEX NAME)



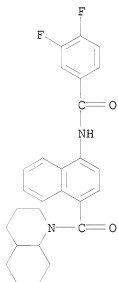
RN 735347-09-8 CAPLUS

CN Benzamide, 2,4-difluoro-N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]- (CA INDEX NAME)



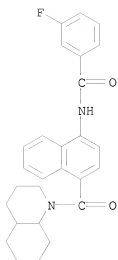
RN 735347-10-1 CAPLUS

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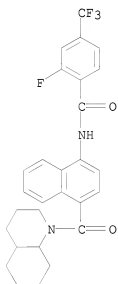
RN 735347-11-2 CAPLUS

CN Benzamide, 3-fluoro-N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]- (CA INDEX NAME)



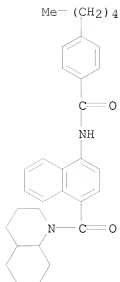
RN 735347-12-3 CAPLUS

CN Benzamide, 2-fluoro-N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



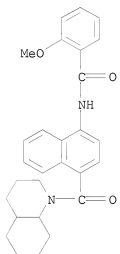
RN 735347-13-4 CAPLUS

CN Benzamide, N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]-4-pentyl- (CA INDEX NAME)



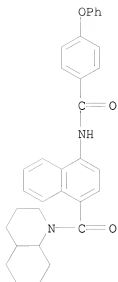
RN 735347-14-5 CAPLUS

CN Benzamide, 2-methoxy-N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]- (CA INDEX NAME)



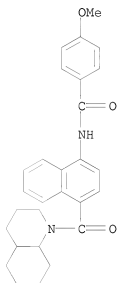
RN 735347-15-6 CAPLUS

CN Benzamide, N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]-4-phenoxy- (CA INDEX NAME)



RN 735347-16-7 CAPLUS

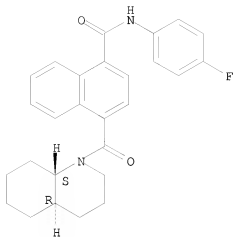
CN Benzamide, 4-methoxy-N-[4-[(octahydro-1(2H)-quinolinyl)carbonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 735347-17-8 CAPLUS

CN 1-Naphthalenecarboxamide, N-(4-fluorophenyl)-4-[[ (4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

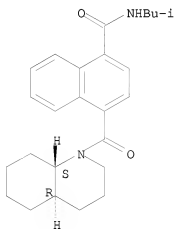
Relative stereochemistry.



RN 735347-18-9 CAPLUS

CN 1-Naphthalenecarboxamide, N-(2-methylpropyl)-4-[[[(4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

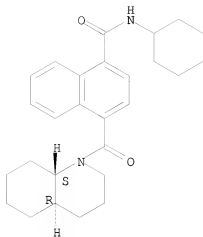


RN 735347-19-0 CAPLUS

CN 1-Naphthalenecarboxamide, N-cyclohexyl-4-[[[(4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

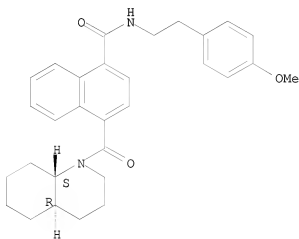




RN 735347-20-3 CAPLUS

CN 1-Naphthalenecarboxamide, N-[2-(4-methoxyphenyl)ethyl]-4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

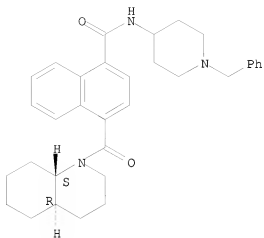
Relative stereochemistry.



RN 735347-21-4 CAPLUS

CN 1-Naphthalenecarboxamide, 4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-N-[1-(phenylmethyl)-4-piperidiny]-, rel- (CA INDEX NAME)

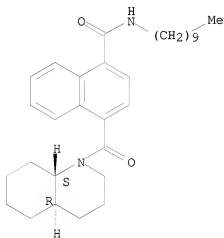
Relative stereochemistry.



RN 735347-22-5 CAPLUS

1-Naphthalenecarboxamide, N-decyl-4-[[ (4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

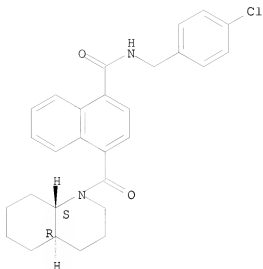
Relative stereochemistry.



RN 735347-23-6 CAPLUS

CN 1-Naphthalenecarboxamide, N-[(4-chlorophenyl)methyl]-4-[[ (4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

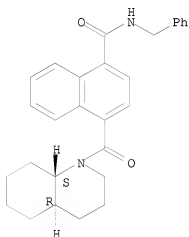
Relative stereochemistry.



RN 735347-24-7 CAPLUS

CN 1-Naphthalenecarboxamide, 4-[[4aR,8aS]-octahydro-1(2H)-quinolinyl]carbonyl]-N-(phenylmethyl)-, rel- (CA INDEX NAME)

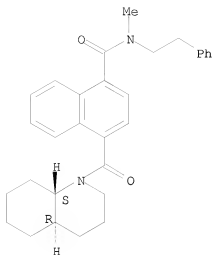
Relative stereochemistry.



RN 735347-25-8 CAPLUS

CN 1-Naphthalenecarboxamide, N-methyl-4-[[4aR,8aS]-octahydro-1(2H)-quinolinyl]carbonyl]-N-(2-phenylethyl)-, rel- (CA INDEX NAME)

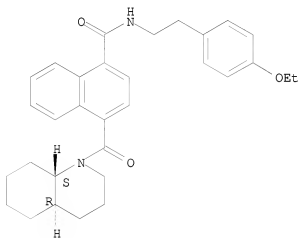
Relative stereochemistry.



RN 735347-26-9 CAPLUS

CN 1-Naphthalenecarboxamide, N-[2-(4-ethoxyphenyl)ethyl]-4-[(4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl-, rel- (CA INDEX NAME)

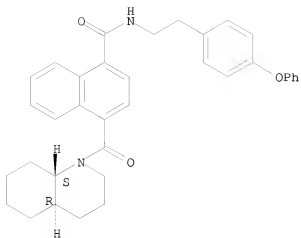
Relative stereochemistry.



RN 735347-27-0 CAPLUS

CN 1-Naphthalenecarboxamide, 4-[(4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-N-[2-(4-phenoxyphenyl)ethyl]-, rel- (CA INDEX NAME)

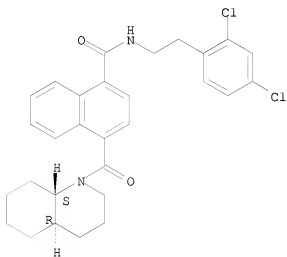
Relative stereochemistry.



RN 735347-28-1 CAPLUS

CN 1-Naphthalenecarboxamide, N-[2-(2,4-dichlorophenyl)ethyl]-4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

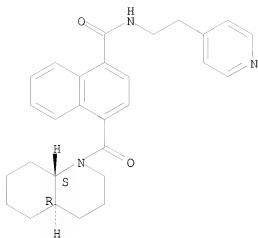
Relative stereochemistry.



RN 735347-29-2 CAPLUS

CN 1-Naphthalenecarboxamide, 4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-N-[2-(4-pyridinyl)ethyl]-, rel- (CA INDEX NAME)

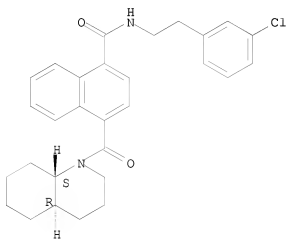
Relative stereochemistry.



RN 735347-30-5 CAPLUS

CN 1-Naphthalenecarboxamide, N-[2-(3-chlorophenyl)ethyl]-4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

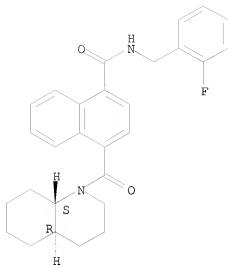
Relative stereochemistry.



RN 735347-31-6 CAPLUS

CN 1-Naphthalenecarboxamide, N-[(2-fluorophenyl)methyl]-4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

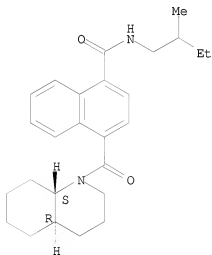
Relative stereochemistry.



RN 735347-32-7 CAPLUS

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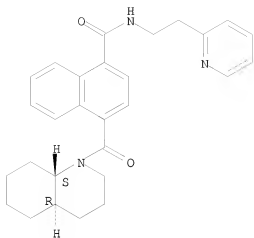
Relative stereochemistry.



RN 735347-33-8 CAPLUS

CN 1-Naphthalenecarboxamide, 4-[[4aR,8aS]-octahydro-1(2H)-quinolinyl]carbonyl]-N-[2-(2-pyridinyl)ethyl]-, rel- (CA INDEX NAME)

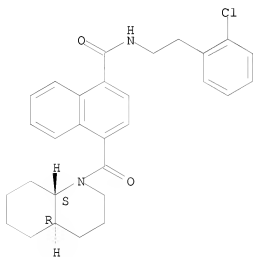
Relative stereochemistry.



RN 735347-34-9 CAPLUS

CN 1-Naphthalenecarboxamide, N-[2-(2-chlorophenyl)ethyl]-4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

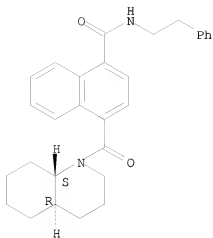


RN 735347-35-0 CAPLUS

CN 1-Naphthalenecarboxamide, 4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-N-(2-phenylethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

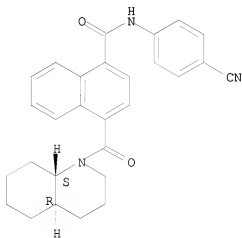




RN 735347-36-1 CAPLUS

CN 1-Naphthalenecarboxamide, N-(4-cyanophenyl)-4-[[ (4aR, 8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

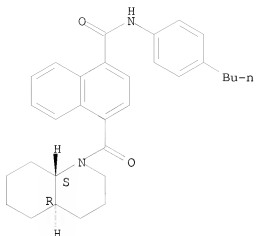
Relative stereochemistry.



RN 735347-37-2 CAPLUS

CN 1-Naphthalenecarboxamide, N-(4-butylphenyl)-4-[[ (4aR, 8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

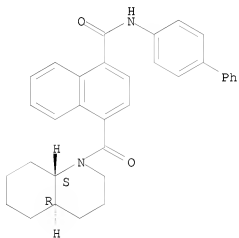
Relative stereochemistry.



RN 735347-38-3 CAPLUS

CN 1-Naphthalenecarboxamide, N-[1,1'-biphenyl]-4-yl-4-[(4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl-, rel- (CA INDEX NAME)

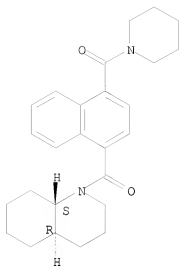
Relative stereochemistry.



RN 735347-39-4 CAPLUS

CN Quinoline, decahydro-1-[[4-(1-piperidinylcarbonyl)-1-naphthalenyl]carbonyl]-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

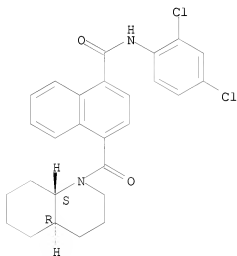
Relative stereochemistry.



RN 735347-40-7 CAPLUS

CN 1-Naphthalenecarboxamide, N-(2,4-dichlorophenyl)-4-[[ (4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

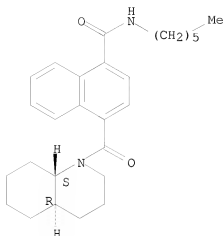
Relative stereochemistry.



RN 735347-41-8 CAPLUS

CN 1-Naphthalenecarboxamide, N-hexyl-4-[[ (4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

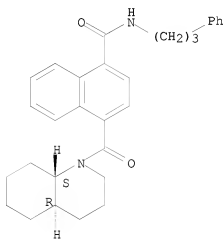
Relative stereochemistry.



RN 735347-42-9 CAPLUS

CN 1-Naphthalenecarboxamide, 4-[[[(4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-N-(3-phenylpropyl)-, rel- (CA INDEX NAME)

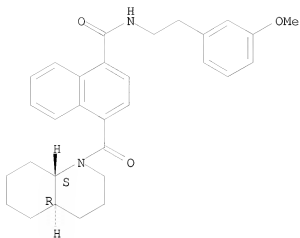
Relative stereochemistry.



RN 735347-43-0 CAPLUS

CN 1-Naphthalenecarboxamide, N-[2-(3-methoxyphenyl)ethyl]-4-[[[(4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

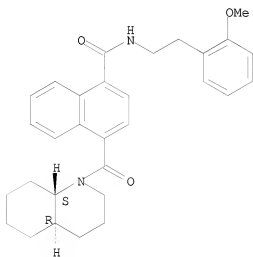
Relative stereochemistry.



RN 735347-44-1 CAPLUS

CN 1-Naphthalenecarboxamide, N-[2-(2-methoxyphenyl)ethyl]-4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

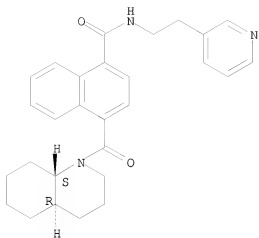
Relative stereochemistry.



RN 735347-45-2 CAPLUS

CN 1-Naphthalenecarboxamide, 4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-N-[2-(3-pyridinyl)ethyl]-, rel- (CA INDEX NAME)

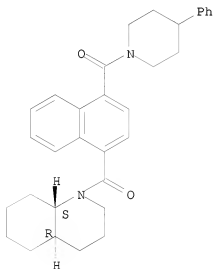
Relative stereochemistry.



RN 735347-46-3 CAPLUS

CN Quinoline, decahydro-1-[[4-[(4-phenyl-1-piperidiny)carbonyl]-1-naphthalenyl]carbonyl]-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

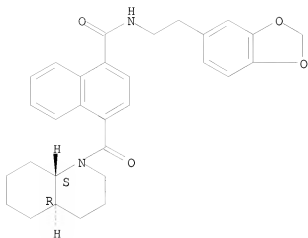
Relative stereochemistry.



RN 735347-47-4 CAPLUS

CN 1-Naphthalenecarboxamide, N-[2-(1,3-benzodioxol-5-yl)ethyl]-4-[[[(4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

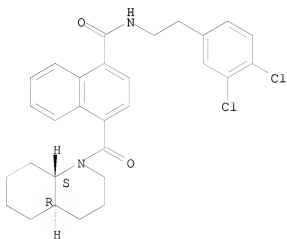
Relative stereochemistry.



RN 735347-48-5 CAPLUS

CN 1-Naphthalenecarboxamide, N-[2-(3,4-dichlorophenyl)ethyl]-4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

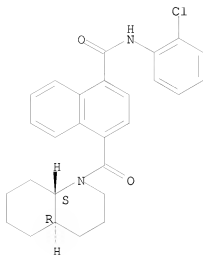
Relative stereochemistry.



RN 735347-49-6 CAPLUS

CN 1-Naphthalenecarboxamide, N-(2-chlorophenyl)-4-[[4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

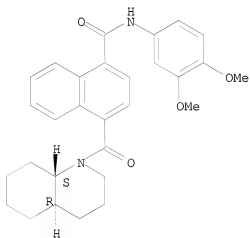
Relative stereochemistry.



RN 735347-50-9 CAPLUS

CN 1-Naphthalenecarboxamide, N-(3,4-dimethoxyphenyl)-4-[[4aR,8aS]-octahydro-1(2H)-quinolinyl]carbonyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

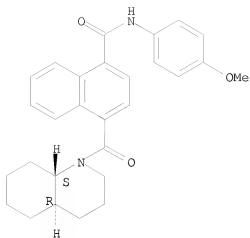


RN 735347-51-0 CAPLUS

CN 1-Naphthalenecarboxamide, N-(4-methoxyphenyl)-4-[[4aR,8aS]-octahydro-1(2H)-quinolinyl]carbonyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

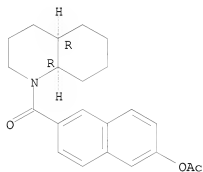




RN 735347-54-3 CAPLUS

CN Methanone, [6-(acetyloxy)-2-naphthalenyl] [(4aR,8aR)-octahydro-1(2H)-quinolinyl]-, rel- (CA INDEX NAME)

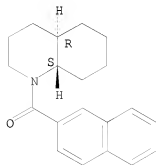
Relative stereochemistry.



RN 735347-56-5 CAPLUS

CN Methanone, 2-naphthalenyl [(4aR,8aS)-octahydro-1(2H)-quinolinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

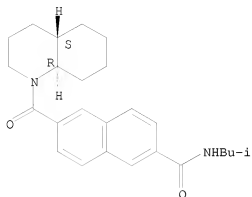


RN 735347-57-6 CAPLUS

CN 2-Naphthalenecarboxamide, N-(2-methylpropyl)-6-[[ (4aR,8aS)-octahydro-1(2H)-

quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

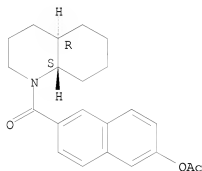
Relative stereochemistry.



RN 735347-58-7 CAPLUS

CN Methanone, [6-(acetyloxy)-2-naphthalenyl] [(4aR, 8aS)-octahydro-1(2H)-quinolinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



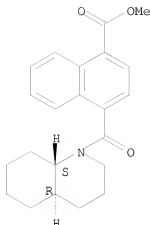
IT 735351-65-2P 735351-66-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of amides as inhibitors of 11-beta-hydroxysteroid dehydrogenase type 1)

RN 735351-65-2 CAPLUS

CN 1-Naphthalenecarboxylic acid, 4-[(4aR, 8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, methyl ester, rel- (CA INDEX NAME)

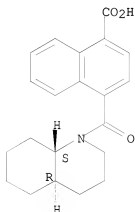
Relative stereochemistry.



RN 735351-66-3 CAPLUS

CN 1-Naphthalenecarboxylic acid, 4-[[[(4aR,8aS)-octahydro-1(2H)-quinolinyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)  
 REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:1006774 CAPLUS

DOCUMENT NUMBER: 140:35993

TITLE: Tetrahydroquinolines for modulating the expression of exogenous genes via an ecdysone receptor complex  
 INVENTOR(S): Michelotti, Enrique L.; Tice, Colin M.; Palli, Subba Reddy; Thompson, Christine S.; Dhadialla, Tarlochan S.  
 PATENT ASSIGNEE(S): Rheogene, Inc., USA  
 SOURCE: PCT Int. Appl., 129 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105849	A1	20031224	WO 2003-US18796	20030613
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20050228016	A1	20051013	US 2003-460820	20030612
CA 2488407	A1	20031224	CA 2003-2488407	20030613
AU 2003236529	A1	20031231	AU 2003-236529	20030613
EP 1513530	A1	20050316	EP 2003-737088	20030613
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006502977	T	20060126	JP 2004-512752	20030613
MX 2004012391	A	20050419	MX 2004-12391	20041209
PRIORITY APPLN. INFO.:			US 2002-388353P	P 20020613
			US 2003-460820	A 20030612
			WO 2003-US18796	W 20030613

OTHER SOURCE(S): MARPAT 140:35993

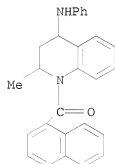
AB This invention relates to a method to modulate exogenous gene expression in which an ecdysone receptor complex comprising: a DNA binding domain; a ligand binding domain; a transactivation domain; and a ligand is contacted with a DNA construct comprising: the exogenous gene and a response element; wherein the exogenous gene is under the control of the response element and binding of the DNA binding domain to the response element in the presence of the ligand results in activation or suppression of the gene. The ligands comprise a class of 4-tetrahydroquinolines.

IT 300718-72-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(tetrahydroquinolines for modulating the expression of exogenous genes via an ecdysone receptor complex)

RN 300718-72-3 CAPLUS

CN Methanone, [3,4-dihydro-2-methyl-4-(phenylamino)-1(2H)-quinolinyl]-1-naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

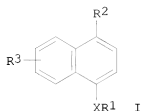
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2002:408625 CAPLUS  
 DOCUMENT NUMBER: 137:6003  
 TITLE: Preparation of naphthalene derivatives as cannabinoid  
 CBI receptor ligands.  
 INVENTOR(S): Brain, Christopher Thomas; Culshaw, Andrew James;  
 Dziadulewicz, Edward Karol; Schopfer, Ulrich  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Erfindungen  
 Verwaltungsgesellschaft m.b.H.  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

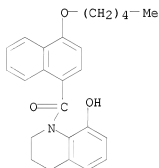
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042248	A2	20020530	WO 2001-EP13605	20011122
WO 2002042248	A3	20021219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
TW 314140	B	20090901	TW 2001-901287/18	20011120
CA 2427844	A1	20020530	CA 2001-2427844	20011122
AU 2002026350	A	20020603	AU 2002-26350	20011122
EP 1339663	A2	20030903	EP 2001-995657	20011122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015605	A	20030916	BR 2001-15605	20011122
HU 2003002125	A2	20031028	HU 2003-2125	20011122
HU 2003002125	A3	20070529		
JP 2004514663	T	20040520	JP 2002-544387	20011122
CN 1224598	C	20051026	CN 2001-819438	20011122
NZ 548553	A	20080328	NZ 2001-548553	20011122
RU 2354646	C2	20090510	RU 2003-117459	20011122
KR 810948	B1	20080310	KR 2003-705038	20030410
ZA 2003002916	A	20040423	ZA 2003-2916	20030411
US 20040053890	A1	20040318	US 2003-432120	20030519
US 7045533	B2	20060516		
IN 2003CN00781	A	20050415	IN 2003-CN781	20030521
IN 222611	A1	20081121		
NO 2003002327	A	20030718	NO 2003-2327	20030522
MX 2003004593	A	20030904	MX 2003-4593	20030523
AU 2006200813	A1	20060316	AU 2006-200813	20060224
JP 2008050361	A	20080306	JP 2007-236718	20070912
AU 2009240832	A1	20091217	AU 2009-240832	20091125
PRIORITY APPLN. INFO.:				A 20001124
				T0 20011122
				A3 20011122
				W 20011122
				A3 20020630
				A3 20060224

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 137:6003

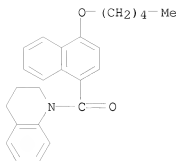
GI



- AB Title compds. [I; X = S, SO, SO<sub>2</sub>, SO<sub>2</sub>NH, P(O)(OMe), P(O)(OH), NH, NMe, NHCONH, CO, CO<sub>2</sub>, NHCO, CH(OH), CH<sub>2</sub>N, CH:CH, CH<sub>2</sub>NH, C(:NH); R1 = aryl, heteroaryl; R2 = H, OR4, NR5R6; R4 = alkyl, alkenyl; R5 R6 = H, alkyl, alkylcarbonyl; R3 = H, cyano, heteroaryl, heterocycloalkyl, COR7, OR8, NR9R10; R7 = OH, alkoxy, NH<sub>2</sub>, NHCH<sub>2</sub>CO<sub>2</sub>H, aryl; R8 = H, alkyl, alkylcarbonyl, arylcarbonyl; R9, R10 = H, alkyl, alkenyl; with the proviso that when X = CO and R2 and R3 = H or R2 = H and R3 = 4-MeO, R1 = neither 1-naphthyl nor 4-methoxy-1-naphthyl], were prepared Thus, (naphthalen-1-yl)(4-hydroxynaphthalen-1-yl)methanone was refluxed 22 h with K<sub>2</sub>CO<sub>3</sub> and 1-bromopentane in acetone to give (naphthalen-1-yl)(4-pentyloxynaphthalen-1-yl)methanone. I showed IC<sub>50</sub> values of 1-100 μM in a CB<sub>1</sub> receptor binding assay.
- IT 432048-51-6P 432048-52-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of naphthalene derivs. as cannabinoid CB<sub>1</sub> receptor ligands)
- RN 432048-51-6 CAPLUS
- CN Methanone, (3,4-dihydro-8-hydroxy-1(2H)-quinolinyl)[4-(pentyloxy)-1-naphthalenyl]- (CA INDEX NAME)



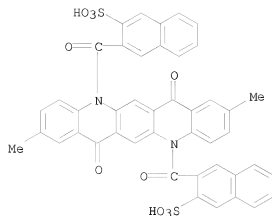
- RN 432048-52-7 CAPLUS
- CN Methanone, (3,4-dihydro-1(2H)-quinolinyl)[4-(pentyloxy)-1-naphthalenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD  
(8 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2001:603689 CAPLUS  
DOCUMENT NUMBER: 135:182179  
TITLE: Storage stable aqueous ink compositions and image  
formation method therewith  
INVENTOR(S): Oya, Hidenobu  
PATENT ASSIGNEE(S): Konica Co., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001226614	A	20010821	JP 2000-39360	20000217
JP 3915364	B2	20070516		
EP 1125995	A2	20010822	EP 2001-301325	20010215
EP 1125995	A3	20011205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 20010023652	A1	20010927	US 2001-785001	20010216
US 6676737	B2	20040113		
PRIORITY APPLN. INFO.:			JP 2000-39360	A 20000217
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):		MARPAT 135:182179		
AB	Title comps. are characterized in that precursors, which are converted to insol. pigments by chemical, thermal, photodecompn., and/or radiation methods, are dissolved in aqueous medium. The comps. have good storage stability and form images with good gloss, light resistance, and no blur after 30 days at 60° and 80% relative humidity.			
IT	355015-58-6 RL: PEP (Physical, engineering or chemical process); TEM (Technical or engineered material use); PROC (Process); USES (Uses) (pigment precursors in storage stable aqueous ink comps. giving images with good quality and storage stability)			
RN	355015-58-6 CAPLUS			
CN	2-Naphthalenesulfonic acid, 3,3'-[(7,14-dihydro-2,9-dimethyl-7,14-dioxoquino[2,3-b]acridine-5,12-diyl)dicarbonyl]bis-, disodium salt (9CI) (CA INDEX NAME)			



● 2 Na

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

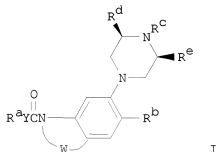
L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2001:247327 CAPLUS  
DOCUMENT NUMBER: 134:280860  
TITLE: Preparation of piperazine derivatives as 5-HT1B antagonists  
INVENTOR(S): Marshall, Howard; Thompson, Mervyn; Wyman, Paul Adrian  
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK  
SOURCE: PCT Int. Appl., 37 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023374	A1	20010405	WO 2000-EP9442	20000921
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2385737	A1	20010405	CA 2000-2385737	20000921
BR 2000014279	A	20020521	BR 2000-14279	20000921
EP 1216239	A1	20020626	EP 2000-967803	20000921
EP 1216239	B1	20040211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 2002000795	T2	20020722	TR 2002-795	20000921
HU 2002002787	A2	20021228	HU 2002-2787	20000921
HU 2002002787	A3	20031229		
JP 2003510317	T	20030318	JP 2001-526526	20000921
AU 765020	B2	20030904	AU 2000-77836	20000921
NZ 517865	A	20031128	NZ 2000-517865	20000921



AT 259363	T	20040215	AT 2000-967803	20000921
PT 1216239	E	20040531	PT 2000-967803	20000921
ES 2211624	T3	20040716	ES 2000-967803	20000921
CN 1190432	C	20050223	CN 2000-816269	20000921
IN 2002MN00325	A	20050318	IN 2002-MN325	20020318
NO 2002001459	A	20020322	NO 2002-1459	20020322
ZA 2002002319	A	20021121	ZA 2002-2319	20020322
MX 2002003175	A	20020930	MX 2002-3175	20020325
US 6747030	B1	20040608	US 2002-89013	20020325
HK 1046909	A1	20041203	HK 2002-108463	20021121
US 20040176388	A1	20040909	US 2004-802236	20040317
PRIORITY APPLN. INFO.:			GB 1999-22831	A 19990925
			GB 2000-1936	A 20000127
			GB 2000-13873	A 20000607
			WO 2000-EP9442	W 20000921
			US 2002-89013	A1 20020325

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 134:280860  
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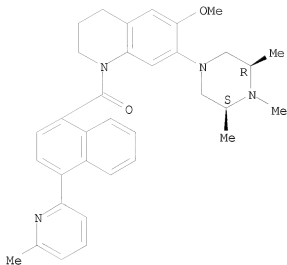
AB Piperazine derivs. I [Ra = R1aP1 where P1 = Ph, naphthyl, heteroaryl and R1 = halo, alkyl, cycloalkyl, etc.; Rb = H, halo, OH, alkyl, etc.; Rc = H, alkyl; Rd, Re = alkyl; Y = bond, CH2, O, NR5; W = (CR9R10)t where t = 2-4 and R9 and R10 = H, alkyl or W = CH:CH], 5-HT1B antagonists, were prepared. All examples tested in the radioligand binding assay were found to have a pKi > 7.3 at 5-HT1B receptors with many demonstrating a pKi in the higher range of 8.0-9.2. E.g., cis-5-methoxy-1-[4-(6-methylpyridin-2-yl)-1-naphthoyl]-6-(3,4,5-trimethylpiperazin-1-yl)indoline was prepared

IT 332397-35-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of piperazine derivs. as 5-HT1B antagonists)

RN 332397-35-0 CAPLUS

CN Methanone, [3,4-dihydro-6-methoxy-7-[(3R,5S)-3,4,5-trimethyl-1-piperazinyl]-1(2H)-quinolinyl][4-(6-methyl-2-pyridinyl)-1-naphthalenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



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OS.CITING REF COUNT:      6      THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
                                (6 CITINGS)
REFERENCE COUNT:          6      THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
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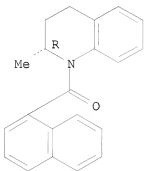
L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1995:69737 CAPLUS  
 DOCUMENT NUMBER: 122:177364  
 ORIGINAL REFERENCE NO.: 122:32240h,32241a  
 TITLE: Enantiomer separation by high-performance liquid  
 chromatography on polysiloxane-based chiral stationary  
 phases  
 AUTHOR(S): Schleimer, Michael; Pirkle, William H.; Schurig,  
 Volker  
 CORPORATE SOURCE: Institut fuer Organische Chemie der Universitaet, Auf  
 der Morgenstelle 18, Tuebingen, 7400, Germany  
 SOURCE: Journal of Chromatography, A (1994), 679(1), 23-34  
 CODEN: JCRAEY; ISSN: 0021-9673  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The synthesis of two polysiloxane-based chiral stationary phases (CSPs) derived from a  $\pi$ -acidic N-(3,5-dinitrobenzoyl)- $\beta$ -amino acid (JEM-1) and a  $\pi$ -basic N-(1-naphthyl)leucine selector is described as is their systematic comparison with the corresponding brush-type CSPs. The enantioselectivity of the polysiloxane-based CSPs is higher under both normal- and reversed-phase conditions. In the normal-phase mode, the greater enantioselectivity stems from smaller retention factors for the least retained enantiomers, presumably because of a reduction of analyte interactions with the support silanols owing to effective shielding of the surface by the polymer. The retention factors of the 2nd-eluted enantiomers are shifted to higher values on the  $\pi$ -basic CSP and to lower values on the  $\pi$ -acidic CSP. The latter CSP shows but a small increase in enantioselectivity relative to the corresponding brush-type CSP having a comparable selector loading. The silanophilic interactions can be further reduced by end-capping with hexamethyldisilazane (HMDS). When lower amts. of polar modifier were used, the resolution of the polymeric CSPs approaches that of the corresponding brush-type CSP. Under reversed-phase conditions enantioselectivity is reduced but not to the extent generally found for brush-type CSPs. The presence of the nonpolar polymeric backbone can introduce hydrophobic interactions which may alter enantioselectivity. It would seem advantageous to use

dimethylpolysiloxanes having a high selector concentration to reduce the extent of any nonchiral contribution by the polysiloxane backbone to analyte retention while enhancing the favorable chiral recognition properties of the polymer.

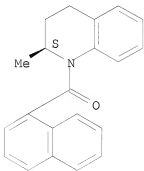
IT 90133-16-7, (R)-N-(1-Naphthoyl)-1,2,3,4-tetrahydro-5,6-benzo- $\alpha$ -picoline 90133-17-8,  
(S)-N-(1-Naphthoyl)-1,2,3,4-tetrahydro-5,6-benzo- $\alpha$ -picoline 123824-35-1, ( $\pm$ )-N-(1-Naphthoyl)-1,2,3,4-tetrahydro-5,6-benzo- $\alpha$ -picoline  
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)  
(enantiomer separation by HPLC on polysiloxane-based chiral stationary phases)  
RN 90133-16-7 CAPLUS  
CN Methanone, [(2R)-3,4-dihydro-2-methyl-1(2H)-quinolinyl]-1-naphthalenyl- (CA INDEX NAME)

Absolute stereochemistry.

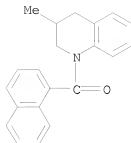


RN 90133-17-8 CAPLUS  
CN Methanone, [(2S)-3,4-dihydro-2-methyl-1(2H)-quinolinyl]-1-naphthalenyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 123824-35-1 CAPLUS  
CN Methanone, (3,4-dihydro-3-methyl-1(2H)-quinolinyl)-1-naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:499785 CAPLUS

DOCUMENT NUMBER: 121:99785

ORIGINAL REFERENCE NO.: 121:17707a,17710a

TITLE: Apoptosis regulator

INVENTOR(S): Nakai, Satoru; Aihara, Koutoku; Tanaka, Hideo; Iba, Hitomi; Kawai, Kazuyoshi; Ichikawa, Hiroyuki; Akamatsu, Seiji; Saito, Fumio; Tominaga, Michiaki; Adachi, Masakazu

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: PCI Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404504	A1	19940303	WO 1993-JP1144	19930812
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9347615	A	19940315	AU 1993-47615	19930812
AU 666577	B2	19960215		
EP 623598	A1	19941109	EP 1994-908099	19930812
R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
US 5464833	A	19951107	US 1994-211818	19940419
US 5691341	A	19971125	US 1995-520478	19950829
PRIORITY APPLN. INFO.:				
			JP 1992-220373	A 19920819
			WO 1993-JP1144	W 19930812
			US 1994-211818	A3 19940419

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 121:99785

AB Carbostyryl derivs. such as 6- [4-(4-ethylbenzoyl)-1-piperazinyl]-3,4-dihydrocarbostyryl (I) and 1-benzyl-6-[4-(3,4-dimethoxybenzoyl)-1-piperazinyl]-3,4-dihydrocarbostyryl(II) are apoptosis regulators useful as neoplasm inhibitors and other therapeutic agents. Thus, I markedly (71.1%) inhibited the growth of human promyelogenic leukemia cells in cultures. Tablets were prepared containing II 150, Avicel 40, corn starch 30, Mg stearate 2, hydroxypropyl Me cellulose 10, PEG 6000 3, castor oil 40, and methanol 40 g.

IT 104797-10-6

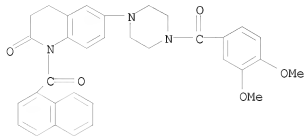
RL: BIOL (Biological study)

(as apoptosis regulator, for cancer and other disease treatment)

RN 104797-10-6 CAPLUS

CN 2(1H)-Quinolinone, 6-[4-(3,4-dimethoxybenzoyl)-1-piperazinyl]-3,4-dihydro-

1-(1-naphthalenylcarbonyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
(11 CITINGS)  
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:163035 CAPLUS

DOCUMENT NUMBER: 120:163035

ORIGINAL REFERENCE NO.: 120:28747a,28750a

TITLE: Regioselectivity in forming dipole-stabilized anions.  
Sites of metalation of indolines,  
tetrahydroquinolines, and benzazepines activated by  
N-formimidoyl or N-Boc groups

AUTHOR(S): Meyers, A. I.; Milot, Guy  
CORPORATE SOURCE: Dep. Chem., Colorado State Univ., Fort Collins, CO,  
80523, USA

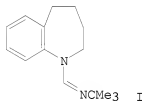
SOURCE: Journal of Organic Chemistry (1993), 58(24), 6538-40  
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:163035

GI

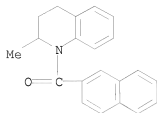


AB Metalation of the title compds. indicated that the formamidine-equipped  
indolines or 1,2,3,4-tetrahydroquinolines give rise solely to C-2  
alkylation products whereas the corresponding N-tert-BOC systems give only  
ortho aryl alkylation. When the (iminomethyl)benzazepine system 1 was  
examined, metalation occurred at both sites albeit the major product was  
derived from C-2 alkylation. Use of bifunctional dihalides led to good  
yields of the 1-azabicyclo systems. Deuteration studies also showed that  
the formamidine moiety totally inhibits ring metalation even though both  
C-2 protons are deuterated.

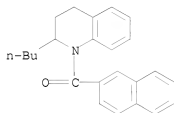
IT 153254-69-4P 153254-71-8P 153254-72-9P  
153254-73-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

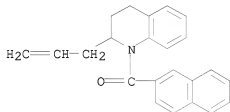
RN 153254-69-4 CAPLUS  
 CN Methanone, (3,4-dihydro-2-methyl-1(2H)-quinolinyl)-2-naphthalenyl- (CA  
 INDEX NAME)



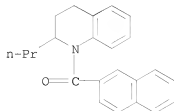
RN 153254-71-8 CAPLUS  
 CN Methanone, (2-butyl-3,4-dihydro-1(2H)-quinolinyl)-2-naphthalenyl- (CA  
 INDEX NAME)



RN 153254-72-9 CAPLUS  
 CN Methanone, [3,4-dihydro-2-(2-propen-1-yl)-1(2H)-quinolinyl]-2-naphthalenyl-  
 (CA INDEX NAME)

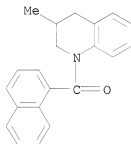


RN 153254-73-0 CAPLUS  
 CN Methanone, (3,4-dihydro-2-propyl-1(2H)-quinolinyl)-2-naphthalenyl- (CA  
 INDEX NAME)



OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS  
 RECORD (27 CITINGS)

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1990:54475 CAPLUS  
 DOCUMENT NUMBER: 112:54475  
 ORIGINAL REFERENCE NO.: 112:9351a,9354a  
 TITLE: An improved chiral stationary phase for the facile separation of enantiomers  
 AUTHOR(S): Pirkle, William H.; McCune, John E.  
 CORPORATE SOURCE: Sch. Chem. Sci., Univ. Illinois, Urbana, IL, 61801, USA  
 SOURCE: Journal of Chromatography (1988), 441(2), 311-22  
 CODEN: JOCRAM; ISSN: 0021-9673  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A chiral stationary phase (CSP) derived from cis-3-(1,1-dimethylethyl)-4-phenyl-2-azetidinone is quite effective for the chromatog. separation of the enantiomers of a variety of compds. This CSP has two stereogenic centers. For many enantiomers, it exhibits superior performance to that of a widely used phenylglycine-derived CSP.  
 IT 123824-35-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (chromatog. resolution of, azetidinone-derived stationary phase for)  
 RN 123824-35-1 CAPLUS  
 CN Methanone, (3,4-dihydro-3-methyl-1(2H)-quinoliny)-1-naphthalenyl- (CA INDEX NAME)



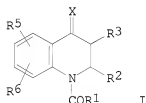
OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L4 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1988:204508 CAPLUS  
 DOCUMENT NUMBER: 108:204508  
 ORIGINAL REFERENCE NO.: 108:33601a,33604a  
 TITLE: Preparation of dihydroquinolinone-4-oximes as diuretics  
 INVENTOR(S): Mochida, Ei; Uemura, Akio; Kato, Kazuo; Tokunaga, Hiroki; Haga, Akinori  
 PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan; Hodogaya Chemical Co., Ltd.  
 SOURCE: Eur. Pat. Appl., 91 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 243982	A1	19871104	EP 1987-106373	19870430

EP 243982	B1	19910417		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 63239270	A	19881005	JP 1987-92788	19870415
JP 04046951	B	19920731		
US 4839368	A	19890613	US 1987-42784	19870427
ZA 8703133	A	19871230	ZA 1987-3133	19870430
AT 62679	T	19910515	AT 1987-106373	19870430
ES 2036542	T3	19930601	ES 1987-106373	19870430
AU 8772441	A	19871105	AU 1987-72441	19870501
AU 596657	B2	19900510		
WO 8706580	A1	19871105	WO 1987-JP276	19870501
W: DK, FI, HU, KR, LK, NO, SU				
HU 47912	A2	19890428	HU 1987-2931	19870501
HU 199803	B	19900328		
IL 82399	A	19920621	IL 1987-82399	19870501
IL 97150	A	19920621	IL 1987-97150	19870501
CA 1314888	C	19930323	CA 1987-536174	19870501
FI 8705771	A	19871230	FI 1987-5771	19871230
FI 90071	B	19930915		
FI 90071	C	19931227		
NO 8705495	A	19880301	NO 1987-5495	19871230
NO 174465	B	19940131		
NO 174465	C	19940511		
DK 8706944	A	19880302	DK 1987-6944	19871230
DK 171379	B1	19961007		
SU 1722227	A3	19920323	SU 1987-4203894	19871230
SU 1779246	A3	19921130	SU 1988-4613166	19881223
US 5077410	A	19911231	US 1989-301125	19890125
AU 9058618	A	19901115	AU 1990-58618	19900702
AU 630716	B2	19921105		
JP 05262737	A	19931012	JP 1992-27135	19920118
JP 08000812	B	19960110		
CA 1333286	C	19941129	CA 1992-616521	19921130
PRIORITY APPLN. INFO.:			JP 1986-102847	A 19860502
			JP 1987-92788	A 19870415
			US 1987-42784	A3 19870427
			EP 1987-106373	A 19870430
			CA 1987-536174	A3 19870501
			IL 1987-82399	A 19870501
			WO 1987-JP276	W 19870501

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 108:204508; MARPAT 108:204508  
 GI



AB The title compds. [I; R1 = alkyl, haloalkyl, cycloalkyl, alkoxy, MeOCH<sub>2</sub>, MeO<sub>2</sub>CCH<sub>2</sub>CH<sub>2</sub>, PhCH<sub>2</sub>, PhCH:CH, naphthyl, pyridyl, thienyl, pyrazinyl, (un)substituted Ph; R2, R3 = H, Me; R5, R6 = H, halo, OH, MeS, MeS(O), MeSO<sub>2</sub>, NMe<sub>2</sub>, NO<sub>2</sub>, Ac, Me, CF<sub>3</sub>, CO<sub>2</sub>Me, MeO; X = NOR<sub>4</sub>; R<sub>4</sub> = CH<sub>2</sub>CO<sub>2</sub>Me, SO<sub>3</sub>H, MeSO<sub>2</sub>, P(O)(OMe)OH] were prepared 2,4-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>COCl was added to 7-chloro-2,3-dihydro-4-1H-quinolinone in dioxane containing pyridine and the mixture stirred 3 h to give I (R1 = 2,4-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, R2 = R3 = R5 = R6 = H, X =



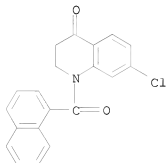
O) to which, in MeOH, was added H2NOSO3H to give, on workup, I (R1 = 2,4-C12C6H3, R2 = R3 = R5 = R6 = H, X = NOSO3K) (II) which, at 0.1 mg/kg i.v., increased urine output of anesthetized dogs by 518%. II 100, lactose 890, and Mg stearate 10 g were mixed to give a 10% powder.

IT 114404-54-5P 114404-55-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, in preparation of diuretics)

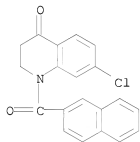
RN 114404-54-5 CAPLUS

CN 4(1H)-Quinolinone, 7-chloro-2,3-dihydro-1-(1-naphthalenylcarbonyl)- (CA INDEX NAME)



RN 114404-55-6 CAPLUS

CN 4(1H)-Quinolinone, 7-chloro-2,3-dihydro-1-(2-naphthalenylcarbonyl)- (CA INDEX NAME)

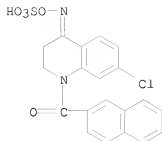


IT 114427-56-4P 114448-59-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as diuretic)

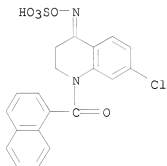
RN 114427-56-4 CAPLUS

CN Hydroxylamine-O-sulfonic acid, N-[7-chloro-2,3-dihydro-1-(2-naphthalenylcarbonyl)-4(1H)-quinolinyldene]-, potassium salt (9CI) (CA INDEX NAME)



● K

RN 114448-59-8 CAPLUS  
 CN Hydroxylamine-O-sulfonic acid, N-[7-chloro-2,3-dihydro-1-(1-naphthalenylcarbonyl)-4(1H)-quinolinylidene]-, potassium salt (9CI) (CA INDEX NAME)



● K

L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1986:572312 CAPLUS  
 DOCUMENT NUMBER: 105:172312  
 ORIGINAL REFERENCE NO.: 105:27769a, 27772a  
 TITLE: Carbostyryl compounds  
 INVENTOR(S): Tominaga, Michiaki; Fujioka, Takafumi; Nagami, Kazuyoshi; Nakagawa, Kazuyuki  
 PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 68 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 187322	A1	19860716	EP 1985-116129	19851218
EP 187322	B1	19900314		

R: CH, DE, FR, GB, IT, LI, NL, SE

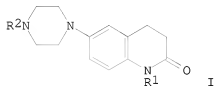
JP 61267556	A	19861127	JP 1985-272086	19851203
JP 07100696	B	19951101		
US 4760064	A	19880726	US 1985-808420	19851213
DK 8505861	A	19860619	DK 1985-5861	19851217
DK 168522	B1	19940411		

PRIORITY APPLN. INFO.: JP 1984-268189 A 19841218  
JP 1985-272086 A 19851203

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 105:172312; MARPAT 105:172312

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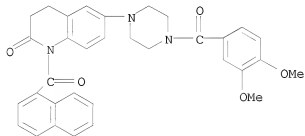


AB The title compds. I [R1 = alkanoyl, alkoxycarbonyl, (un)substituted phenylalkyl, (un)substituted Bz, etc.; R2 = (un)substituted Bz] and their salts, useful as cardiotonic agents, were prepared. Thus, I [R1 = H; R2 = 3,4-(MeO)2C6H3CO] was added to NaH followed by AcCl to give I [R1 = Ac; R2 = 3,4-(MeO)2C6H3CO] (II). In tests for inotropic effect in dogs II at 1 μmol showed 20% in contraction of papillary muscle. Pharmaceutical formulations containing I are given.

IT 104797-10-6P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as cardiotonic)

RN 104797-10-6 CAPLUS

CN 2(1H)-Quinolinone, 6-[4-(3,4-dimethoxybenzoyl)-1-piperazinyl]-3,4-dihydro-1-(1-naphthalenylcarbonyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:423295 CAPLUS

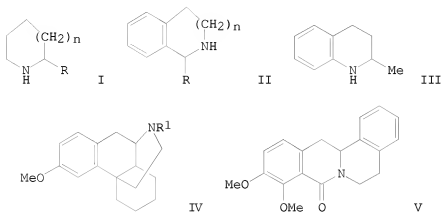
DOCUMENT NUMBER: 101:23295

ORIGINAL REFERENCE NO.: 101:3689a,3692a

TITLE: Chromatographic separation of the enantiomers of N-acylated heterocyclic amines

AUTHOR(S): Pirkle, William H.; Welch, Christopher J.; Mahler, George S.; Meyers, A. I.; Fuentes, Lelia M.; Boes,

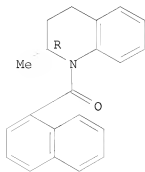
CORPORATE SOURCE: Michael  
 Sch. Chem. Sci., Univ. Illinois, Urbana, IL, 61801,  
 USA  
 SOURCE: Journal of Organic Chemistry (1984), 49(13), 2504-6  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 101:23295  
 GI



AB Racemic heterocyclic amines were chromatog. resolved as their  
 N- $\alpha$ -naphthoyl derivs. with chiral stationary phases derived from  
 (R)-N-(3,5-dinitrobenzoyl)phenylglycine. Resolved by this technique were,  
 e.g., pyrrolidines I ( $n = 0$ ,  $R = \text{Me, Bu}$ ), piperidines I ( $n = 1$ ,  $R = \text{Me, Et, Pr, Bu, Ph}$ ), isoindolines II ( $n = 0$ ,  $R = \text{Me, Et}$ ),  
 tetrahydroisoquinolines II ( $n = 1$ ,  $R = \text{Me, Bu, Me}_2\text{CHCH}_2$ ,  $\text{PhCO}$ ,  $\text{PhCH}_2\text{CH}_2$ ),  
 and tetrahydroquinoline III. Morphinan IV ( $R_1 = \alpha$ -naphthoyl) and  
 dibenzoquinolizininone V were also resolved; the latter required no prior  
 derivatization.

IT 90133-16-7P 90133-17-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 90133-16-7 CAPLUS  
 CN Methanone, [(2R)-3,4-dihydro-2-methyl-1(2H)-quinolinyl]-1-naphthalenyl-  
 (CA INDEX NAME)

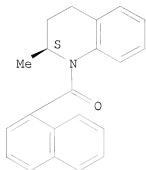
Absolute stereochemistry.



RN 90133-17-8 CAPLUS

CN Methanone, [(2S)-3,4-dihydro-2-methyl-1(2H)-quinolinyl]-1-naphthalenyl-  
(CA INDEX NAME)

Absolute stereochemistry.

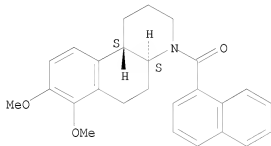


IT 90132-79-9 90132-81-3 90132-82-4  
RL: PROC (Process)  
(resolution of, by chiral stationary phase chromatog.)

RN 90132-79-9 CAPLUS

CN Methanone, [(4aR,10bR)-2,3,4a,5,6,10b-hexahydro-7,8-dimethoxybenzo[f]quinolin-4(1H)-yl]-1-naphthalenyl-, rel- (CA INDEX NAME)

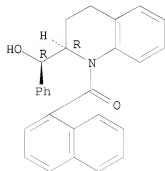
Relative stereochemistry.



RN 90132-81-3 CAPLUS

CN Methanone, [(2R)-3,4-dihydro-2-[(R)-hydroxyphenylmethyl]-1(2H)-quinolinyl]-1-naphthalenyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

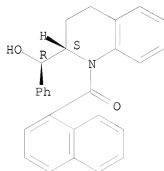


RN 90132-82-4 CAPLUS

CN Methanone, [(2R)-3,4-dihydro-2-[(S)-hydroxyphenylmethyl]-1(2H)-quinolinyl]-

1-naphthalenyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1971:552988 CAPLUS

DOCUMENT NUMBER: 75:152988

ORIGINAL REFERENCE NO.: 75:24129a

TITLE: Light-sensitive photographic material with at least one silver halide emulsion layer containing a cyan coupler

INVENTOR(S): Kunitz, Friedrich W.; Maeder, Helmut; Otto, Rigobert

PATENT ASSIGNEE(S): Agfa-Gevaert A.-G.

SOURCE: Ger. Offen., 26 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1922628	A	19701105	DE 1969-1922628	19690503
CH 528103	A	19720915	CH 1970-528103	19700422
BE 749373	A	19701023	BE 1970-749373	19700423
US 3632347	A	19720104	US 1970-32725	19700428
FR 2047197	A5	19710312	FR 1970-16024	19700430
GB 1277542	A	19720614	GB 1970-1277542	19700430
PRIORITY APPLN. INFO.:			DE 1969-1922628	A 19690503

GI For diagram(s), see printed CA Issue.

AB Light-sensitive photog. materials with  $\geq 1$  Ag halide emulsion layer containing an indole, isoindole, or quinoline cyan coupler and a red-masking azo coupler were prepared Tetrahydroquinoline (266 g) was nitrated with 85.6 ml 98% HNO<sub>3</sub> in H<sub>2</sub>SO<sub>4</sub> to give 7-nitro-1,2,3,4-tetrahydroquinoline (I). A THF solution of 141 g I was treated with 203 ml. Et<sub>3</sub>N and then with 282 g stearoyl chloride and the product was reduced (Raney Ni) to give 1-stearoyl-7-amino-1,2,3,4-tetrahydroquinoline (II). II (210 g) was treated with 141 g 1,2-HOC<sub>10</sub>H<sub>6</sub>CO<sub>2</sub>Ph and 215 g of the product was dissolved in AcOH and treated with SO<sub>2</sub>Cl<sub>2</sub> to form 157 g III. An addnl. 21 couplers of related structure were prepared

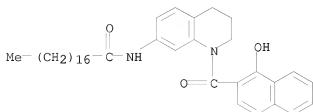
IT 34228-38-1P

RL: IMF (Industrial manufacture); PREP (Preparation)  
(preparation of)

RN 34228-38-1 CAPLUS

CN Octadecanamide, N-[1,2,3,4-tetrahydro-1-[(1-hydroxy-2-

naphthalenyl)carbonyl]-7-quinolinyll)- (CA INDEX NAME)



L4 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1971:498444 CAPLUS

DOCUMENT NUMBER: 75:98444

ORIGINAL REFERENCE NO.: 75:15564h,15565a

TITLE: Antiinflammatory  
1,1a,2,6b-tetrahydrocycloprop[b]indole-1-carboxylic  
compounds

INVENTOR(S): Welstead, John W., Jr.

PATENT ASSIGNEE(S): A. H. Robins Co., Inc.

SOURCE: Ger. Offen., 22 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2103295	A	19710805	DE 1971-2103295	19710125
US 3654304	A	19720404	US 1970-5897	19700126
NL 7100384	A	19710728	NL 1971-384	19710112
GB 1304232	A	19730124	GB 1971-1498	19710112
CA 948208	A1	19740528	CA 1971-102689	19710113
ZA 7100473	A	19711027	ZA 1971-473	19710125
FR 2081458	A5	19711203	FR 1971-2364	19710125
FR 2081458	A1	19711203		
CH 531508	A	19730131	CH 1971-1079	19710125
			US 1970-5897	A 19700126

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

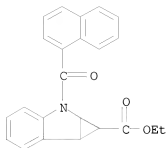
AB Title compds. (I, R = Et or H), useful as antiinflammatory agents or as intermediates for indole-3-acetic acids, were prepared from 1-substituted indoles by reaction with N2CHCO2Et (II) to give Et exo- and endo-1,1a,2,6b-tetrahydrocycloprop[b]indole-1-carboxylates, separation of exo- and endo isomers by chromatog., and hydrolysis to give I (R = H). Thus, 1-benzoylindole treated with II in the presence of CuCN .apprx.1 hr at 50-60° gave 20% exo-I and 10% endo-I (R = Et, R1 = R3 = H, R2 = Ph) (III). exo-III was refluxed in 5N NaOH and 95% EtOH 1 hr to give 62% I (R = R1 = R3 = H, R2 = Ph). Similarly prepared were .apprx.15 I, e.g. I (R = H) (R1-R3 given): Me, p-ClC6H4, H; H, m-CF3C6H4, 5-MeO; H, 1-naphthoyl, H; H, p-ClC6H4NH, H.

IT 33375-48-3P 33375-49-4P 33383-22-1P

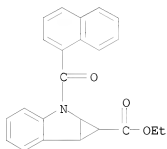
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 33375-48-3 CAPLUS

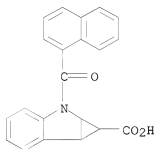
CN Cycloprop[b]indole-1-carboxylic acid,  
1,1a,2,6b-tetrahydro-2-(1-naphthoyl)-, ethyl ester, exo- (8CI) (CA INDEX  
NAME)



RN 33375-49-4 CAPLUS  
 CN Cycloprop[b]indole-1-carboxylic acid,  
 1,1a,2,6b-tetrahydro-2-(1-naphthoyl)-, ethyl ester, endo- (8CI) (CA INDEX  
 NAME)



RN 33383-22-1 CAPLUS  
 CN Cycloprop[b]indole-1-carboxylic acid,  
 1,1a,2,6b-tetrahydro-2-(1-naphthoyl)-, exo- (8CI) (CA INDEX NAME)



=> FIL REGISTRY  
 COST IN U.S. DOLLARS

FULL ESTIMATED COST

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DICTIONARY FILE UPDATES: 16 AUG 2010 HIGHEST RN 1236252-88-2

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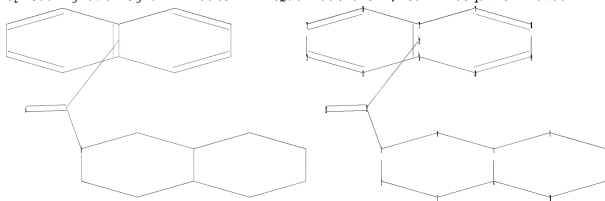
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<http://www.cas.org/support/stngen/stndoc/properties.html>

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ring nodes :  
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chain bonds :  
3-21 21-22  
ring bonds :  
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Match level :

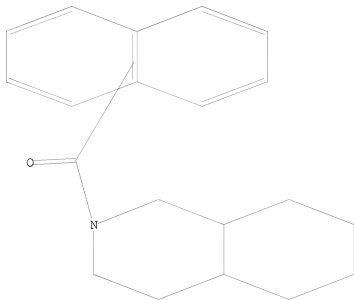
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20:Atom 21:CLASS 22:CLASS 23:Atom

L5 STRUCTURE UPLOADED

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L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l5 sss sam

SAMPLE SEARCH INITIATED 20:34:03 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1948 TO ITERATE

100.0% PROCESSED 1948 ITERATIONS

7 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 36313 TO 41607

PROJECTED ANSWERS: 7 TO 298

L6 7 SEA SSS SAM L5

=> s l5 sss full

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FULL SCREEN SEARCH COMPLETED - 39835 TO ITERATE

100.0% PROCESSED 39835 ITERATIONS

141 ANSWERS

SEARCH TIME: 00.00.01

L7 141 SEA SSS FUL L5

=> fil caplus

COST IN U.S. DOLLARS

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TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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492.03

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SINCE FILE

TOTAL

ENTRY

SESSION

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FILE COVERS 1907 - 17 Aug 2010 VOL 153 ISS 8

FILE LAST UPDATED: 16 Aug 2010 (20100816/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7

L8 35 L7

=> d ibib abs hitstr l-

YOU HAVE REQUESTED DATA FROM 35 ANSWERS - CONTINUE? Y/(N):y

L8 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 2010:682824 CAPLUS

DOCUMENT NUMBER: 153:11891

TITLE: Preparation of 2-(N-substituted piperazinyl)steroid derivatives as anticancer agents

INVENTOR(S): Poirier, Donald; Roy, Jenny; Maltais, Rene

PATENT ASSIGNEE(S): Universite Laval, Can.

SOURCE: PCT Int. Appl., 121pp.

CODEN: PIXXD2

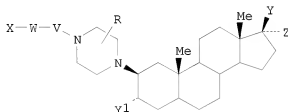
DOCUMENT TYPE: Patent

LANGUAGE: English

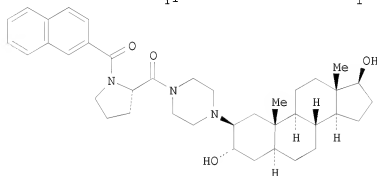
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010060215	A1	20100603	WO 2009-CA1726	20091125
<p>W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p>				
PRIORITY APPLN. INFO.:			US 2008-117837P	P 20081125
OTHER SOURCE(S):			MARPAT 153:11891	
GI				



I



II

AB 2-(N-substituted piperazinyl)pregnane and 2-(N-substituted piperazinyl)androstane derivs. of formula I [Y, Y1 = OH, alkoxy, acyloxy, etc.; Z = H, alkyl, C.tplbond.CH, etc.; R = H, alkyl; V = amino acid; W = CO, SO2, CH2, CONH, CSNH; X = alkyl, alkylthio, alkoxy, aryl, etc.] are prepared which exhibit cytotoxicity on a variety of cancer cell lines. Thus, II was prepared, and had IC50 = 1.9  $\mu$ M against HL-60 cancer cell line.

IT 1228038-04-7P

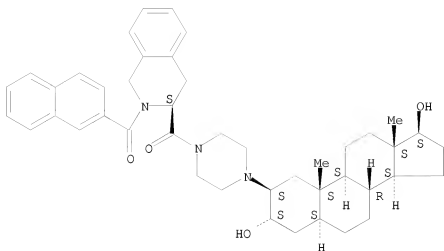
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); PRPH (Prophetic); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of piperazinyl androstanes and pregnanes as anticancer agents)

RN 1228038-04-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:490738 CAPLUS

DOCUMENT NUMBER: 152:501637

TITLE: Preparation of 2,3,11,12-tetrahydrobenzo[h]pyrimido[4,5-c]isoquinoline-2,11-dione nucleosides or nucleotides and polynucleotides containing the same for nucleic acid hybridization probe

INVENTOR(S): Saito, Isao; Okamoto, Akimichi; Tainaka, Kazuki; Iida, Mitsuru; Kato, Teruhisa

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Tokkyo Koho, 19pp.

CODEN: JTXXFF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 4454218	B2	20100421	JP 2002-333326	20021118
JP 2004168672	A	20040617		
WO 2004046147	A1	20040603	WO 2003-JP11472	20030909
W: AU, CA, US				
AU 2003262008	A1	20040615	AU 2003-262008	20030909
PRIORITY APPLN. INFO.:			JP 2002-333326	A 20021118
			JP 2002-333353	A 20021118
			WO 2003-JP11472	W 20030909

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

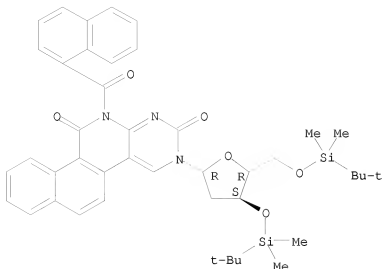
AB The title nucleoside analogs or nucleotide analogs (I; R5 = H, OH; n = an integer of 0-3) and polynucleotides having 1 or 2 nucleotides replaced with the nucleotide (II; R5 = same as above) were prepared There are also disclosed (1) the use of the polynucleotides for nucleic acid hybridization probes, (2) DNA chips having the polynucleotides immobilized

or adsorbed on a substrate, and (3) method for identification of nucleotides in a target nucleic acid which comprises the following steps: (a) hybridization of a target nucleic acid with the polynucleotide described above, (b) measurement of the phosphorescent spectra of the hybridization products, and (c) identification of the nucleotides at the specific position of the target nucleic acid by comparing the phosphorescent spectrum of the polynucleotide before and after the hybridization. Thus, O-silylation of 5-iodo-2'-deoxycytidine by tert-butyldimethylsilyl chloride in the presence of imidazole in DMF at room temperature for 90 min gave 99% 2',5'-di-O-(tert-butyldimethylsilyl)-5-iodo-2'-deoxycytidine which underwent N-acylation by 1-naphthoyl chloride in pyridine at room temperature for 5 h to give 70% N,N-di(2-naphthoyl)-2',5'-di-O-(tert-butyldimethylsilyl)-5-iodo-2'-deoxycytidine (III). Photochem. cyclization of III in the presence of 2-methyloxirane in benzene under irradiation with a mercury lamp for 7 min gave 8% 3-[2',5'-di-O-(tert-butyldimethylsilyl)-2'-deoxy-β-D-ribofuranosyl]-12-(1-naphthoyl)-2,3,11,12-tetrahydrobenzo[h]pyrimido[4,5-c]isoquinoline-2,11-dione (IV). Ammonolysis of IV with a mixture of 30% aqueous NH<sub>3</sub> solution, MeOH, and CHCl<sub>3</sub> at 50° for 20 h gave 3-[2',5'-di-O-(tert-butyldimethylsilyl)-2'-deoxy-β-D-ribofuranosyl]-2,3,11,12-tetrahydrobenzo[h]pyrimido[4,5-c]isoquinoline-2,11-dione which underwent desilylation by treatment with Bu<sub>4</sub>NF/THF at room temperature for 2 h to give 83% 3-(2'-deoxy-β-D-ribofuranosyl)-2,3,11,12-tetrahydrobenzo[h]pyrimido[4,5-c]isoquinoline-2,11-dione (V). 5'-O-tritylation of V by 4,4'-dimethoxytrityl chloride in pyridine at room temperature for 10 h followed by condensation with N,N,N',N'-tetraisopropyl-2-cyanoethylidiphosphoramidite in the presence of tetrazole in MeCN at room temperature for 2 h gave the phosphoramidite (VI). Oligodeoxyribonucleotide analog 5'-CGCAATXTAACGC-3' (VII; X = Q) was prepared by the phosphoramidite method using an Applied biosystem 392DNA/RNA synthesizer and the phosphoramidite VI. VII formed stable duplexes with 5'-GCGTTAGATTGCG-3', 5'-GCGTTAAATTGCG-3', 5'-GCGTTACATTGCG-3', and 5'-GCGTTATATTGCG-3' with melting temperature of 56.1, 55.3, 52.0, and 50.4°, resp.

IT 610303-49-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of  
 2,3,11,12-tetrahydroBenzo[h]pyrimido[4,5-c]isoquinoline-2,11-dione nucleoside and oligonucleotides containing them for nucleic acid hybridization probe)

RN 610303-49-6 CAPLUS  
 CN Benzo[h]pyrimido[4,5-c]isoquinoline-2,11(3H,12H)-dione,  
 3-[2-deoxy-3,5-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-β-D-erythro-pentofuranosyl]-12-(1-naphthalenylcarbonyl)- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1531063 CAPLUS

DOCUMENT NUMBER: 152:37904

TITLE: Preparation of new substituted arylsulphonyl glycolic acids as inhibitors of the interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 and their pharmaceutical compositions useful for treating diabetes

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany

SOURCE: Ger. Offen., 160pp.; Chemical Indexing Equivalent to 151:491399 (WO)

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

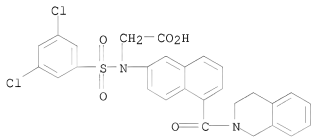
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102008019838	A1	20091210	DE 2008-102008019838	20080419
WO 2009127723	A1	20091022	WO 2009-EP54593	20090417
<p>W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p>				

PRIORITY APPLN. INFO.: DE 2008-102008019838A 20080419

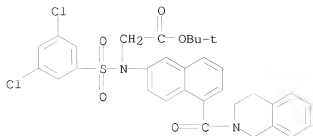
GI

- AB The invention is related to the preparation of substituted arylsulfonylglycines I [R1 = H, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl, (un)substituted alkyl; R2, R3 = independently H, halo, perfluoroalkyl, etc.; A = CH, N, while a total of not more than 4 N atoms may be present in the bicyclic system; Z = CH, CF, N; R4, R5 = independently H, CN, (hetero)aryl, NH2 and derivs., etc.; R6 = H, halo, (un)substituted alk(en/yn)yl, etc.] and their physiol. acceptable salts which have the ability to suppress the interaction of glycogen phosphorylase with the GL subunit of glycogen-associated protein phosphatase 1 (PP1), and to their pharmaceutical compns. useful for treating diabetes mellitus. Thus, a multi-step synthesis was given for arylsulfonylglycine II. In a binding test, arylsulfonylglycines I inhibited the interaction of human liver glycogen phosphorylase with protein PP1R3 (GL subunit of glycogen-associated PP1) with IC50 values < 5  $\mu$ M.
- IT 1192209-19-0P, [(3,5-Dichlorophenylsulfonyl) [5-[(3,4-dihydro-1H-isoquinolin-2-yl)carbonyl]naphthalen-2-yl]amino]acetic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of substituted arylsulfonylglycines as inhibitors of interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 for treating diabetes mellitus)
- RN 1192209-19-0 CAPLUS
- CN Glycine, N-[(3,5-dichlorophenyl)sulfonyl]-N-[5-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]-2-naphthalenyl]- (CA INDEX NAME)



- IT 1192207-56-9P, tert-Butyl  
 2-[(3,5-dichlorophenylsulfonyl) [5-[(3,4-dihydro-1H-isoquinolin-2-yl)carbonyl]naphthalen-2-yl]amino]acetate  
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of substituted arylsulfonylglycines as inhibitors of interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 for treating diabetes mellitus)
- RN 1192207-56-9 CAPLUS
- CN Glycine, N-[(3,5-dichlorophenyl)sulfonyl]-N-[5-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]-2-naphthalenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)





L8 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1298655 CAPLUS

DOCUMENT NUMBER: 151:491399

TITLE: Preparation of new substituted arylsulfonyl glycines as inhibitors of the interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 and their pharmaceutical compositions useful for treating diabetes

INVENTOR(S): Langkopf, Elke; Himmelsbach, Frank; Mack, Juergen; Pautsch, Alexander; Schoelch, Corinna; Schuler-Metz, Annette; Streicher, Ruediger; Wagner, Holger

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: PCI Int. Appl., 227pp.; Chemical Indexing Equivalent to 152:37904 (DE)

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

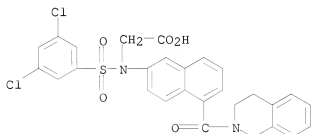
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WO 2009127723	A1	20091022	WO 2009-EP54593	20090417
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
DE 102008019838	A1	20091210	DE 2008-102008019838	20080419
PRIORITY APPLN. INFO.:			DE 2008-102008019838A	20080419
OTHER SOURCE(S):	MARPAT 151:491399			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

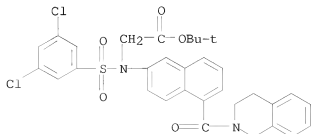
AB The invention is related to the preparation of substituted arylsulfonyl glycines I [R1 = H, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl, (un)substituted alkyl; R2, R3 = independently H, halo, perfluoroalkyl, etc.; A = CH, N, while a

total of not more than 4 N atoms may be present in the bicyclic system; Z = CH, CF, N; R4, R5 = independently H, CN, (hetero)aryl, NH2 and derivs., etc.; R6 = H, halo, (un)substituted alk(en/yn)yl, etc.; J and their physiol. acceptable salts which have the ability to suppress the interaction of glycogen phosphorylase with the GL subunit of glycogen-associated protein phosphatase 1 (PP1), and to their pharmaceutical compns. useful for treating diabetes mellitus. Thus, a multi-step synthesis was given for arylsulfonylglycine II. In a binding test, arylsulfonylglycines I inhibited the interaction of human liver glycogen phosphorylase with protein PP1R3 (GL subunit of glycogen-associated PP1) with IC50 values < 5 µM.

- IT 1192209-19-0P, [(3,5-Dichlorophenylsulfonyl) 5-[ (3,4-dihydro-1H-isoquinolin-2-yl)carbonyl]naphthalen-2-yl]amino]acetic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of substituted arylsulfonylglycines as inhibitors of interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 for treating diabetes mellitus)
- RN 1192209-19-0 CAPLUS
- CN Glycine, N-[(3,5-dichlorophenyl)sulfonyl]-N-[5-[ (3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]-2-naphthalenyl]- (CA INDEX NAME)



- IT 1192207-56-9P, tert-Butyl 2-[(3,5-dichlorophenylsulfonyl) 5-[ (3,4-dihydro-1H-isoquinolin-2-yl)carbonyl]naphthalen-2-yl]amino]acetate  
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of substituted arylsulfonylglycines as inhibitors of interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 for treating diabetes mellitus)
- RN 1192207-56-9 CAPLUS
- CN Glycine, N-[(3,5-dichlorophenyl)sulfonyl]-N-[5-[ (3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]-2-naphthalenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

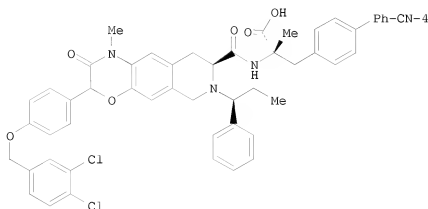
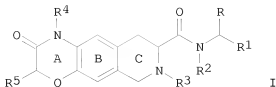
L8 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2009:1107812 CAPLUS  
 DOCUMENT NUMBER: 151:358768  
 TITLE: Oxadiazanthracene derivatives as GLP-1 receptor agonists and their preparation, pharmaceutical compositions and use in the treatment of diabetes  
 INVENTOR(S): Mjalli, Adnan M.M.; Polissetti, Dharma Rao; Yokum, Thomas Scott; Kalpathy, Santhosh; Guzel, Mustafa; Behme, Christopher; Davis, Stephen Thomas  
 PATENT ASSIGNEE(S): TransTech Pharma, Inc., USA  
 SOURCE: PCT Int. Appl., 225 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009111700	A2	20090911	WO 2009-US36333	20090306
WO 2009111700	A3	20100304		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20090306063	A1	20091210	US 2009-399504	20090306
US 7727983	B2	20100601		
US 20100197677	A1	20100805	US 2010-759010	20100413
PRIORITY APPLN. INFO.:			US 2008-34599P	P 20080307
			US 2009-399504	A3 20090306

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 151:358768

GI



AB The invention provides oxadiazaoanthracene derivs. of formula I and the pharmaceutical compns. comprising oxadiazaoanthracene derivs., use of the oxadiazaoanthracene derivs. for the preparation of pharmaceutical compns., methods of use thereof for the treatment and/or prevention of disorders and diseases, such as diabetes, and intermediates useful for the preparation of oxadiazaoanthracene derivs. of formula I. Compds. of the formula I wherein R is -(CH<sub>2</sub>)<sub>0-2</sub>-G1-L1-G2; L1 is a direct bond, CH<sub>2</sub>, O, NH and derivs., CO, CONH and derivs., NHCO and derivs., NHSO<sub>2</sub> and derivs., etc.; G1 is (un)substituted alkynylene, (un)substituted (hetero)arylene, (un)substituted fused arylcycloalkylene, (un)substituted fused cycloalkyl(hetero)arylene, etc.; G2 is (un)substituted (hetero)aryl, (un)substituted fused arylcycloalkyl, (un)substituted fused cycloalkyl(hetero)aryl, etc.; R1 is CO<sub>2</sub>H and derivs., CONH<sub>2</sub> and derivs., tetrazole, and acid isostere; R2 is H, (un)substituted alkyl, (un)substituted Ph, (un)substituted cycloalkyl, (un)substituted alkylene-cycloalkyl and (un)substituted alkylene-phenyl; R3 and R4 are independently H, SO<sub>1</sub>-2H and derivs., SO<sub>3</sub>H and derivs., SO<sub>1</sub>-2NH<sub>2</sub> and derivs., CHO, CO-Cl-10 alkyl, etc.; , R5 is -G3-L2-Q2-L3-G4; L2 and L3 are independently a direct bond, CH<sub>2</sub>, O, NH and derivs., CO, CONH and derivs., NHCO and derivs., etc.; Q2 is a direct bond, Cl-10 alkylene, C2-10 alkenylene and C2-10 alkynylene; G3 and R4 are independently (un)substituted (hetero)arylene, (un)substituted cycloalkylene, (un)substituted heterocyclylene, (un)substituted fused arylcycloalkylene, etc.; ring B and ring C are optionally substituted; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared via cross-coupling of (S)-3-[4-(3,4-dichlorobenzoyloxy)phenyl]-1-methyl-2-oxo-6-[(S)-1-phenylpropyl]-2,3,5,6,7,8-hexahydro-1H-4-oxa-1,6-diazaanthracene-7-carboxylic acid with (S)-2-amino-3-(4'-cyanobiphenyl-4-yl)-2-methylpropionic acid Me ester followed by hydrolysis. All the invention compds. were evaluated for their GLP-1 receptor agonistic activity. From the assay, it was determined that II exhibited the EC<sub>50</sub> value of 38.2 nM.

IT 1187061-00-2P 1187061-01-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

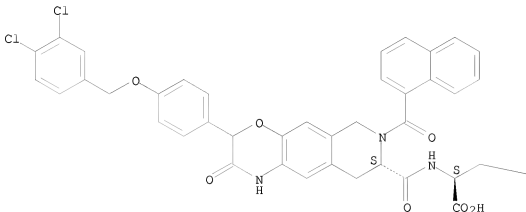
(drug candidate; preparation of oxadiazanthracene derivs. as GLP-1 receptor agonists useful in the treatment of diabetes)

RN 1187061-00-2 CAPLUS

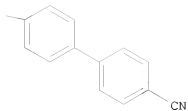
CN [1,1'-Biphenyl]-4-propanoic acid, 4'-cyano- $\alpha$ -[[[(8S)-3-[4-[(3,4-dichlorophenyl)methoxy]phenyl]-2,3,6,7,8,9-hexahydro-7-(1-naphthalenylcarbonyl)-2-oxo-1H-pyrido[4,3-g][1,4]benzoxazin-8-yl]carbonyl]amino]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



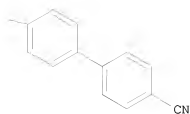
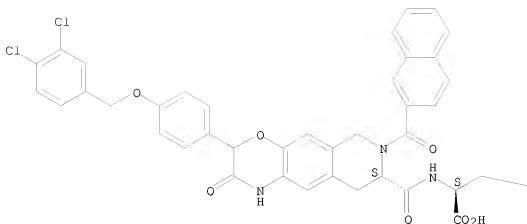
PAGE 1-B



RN 1187061-01-3 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, 4'-cyano- $\alpha$ -[[[(8S)-3-[4-[(3,4-dichlorophenyl)methoxy]phenyl]-2,3,6,7,8,9-hexahydro-7-(2-naphthalenylcarbonyl)-2-oxo-1H-pyrido[4,3-g][1,4]benzoxazin-8-yl]carbonyl]amino]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:521298 CAPLUS

DOCUMENT NUMBER: 149:145824

TITLE: Constrained dansyl derivatives reveal bacterial specificity of highly conserved thymidylate synthases  
 AUTHOR(S): Calo, Sanuele; Tondi, Donatella; Ferrari, Stefania; Venturelli, Alberto; Ghelli, Stefano; Costi, Maria Paola

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita degli Studi di Modena e Reggio Emilia, Modena, 41100, Italy

SOURCE: ChemBioChem (2008), 9(5), 779-790

CODEN: CBCHFX; ISSN: 1439-4227

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:145824

AB The elucidation of the structural/functional specificities of highly conserved enzymes remains a challenging area of investigation, and enzymes involved in cellular replication are important targets for functional studies and drug discovery. Thymidylate synthase (TS, ThyA) governs the synthesis of thymidylate for use in DNA synthesis. The present study focused on *Lactobacillus casei* TS (LcTS) and *Escherichia coli* TS (EcTS), which exhibit 50% sequence identity and strong folding similarity. The authors have successfully designed and validated a chemical model in which linear, but not constrained, dansyl derivs. specifically complement the LcTS active site. Conversely, chemical constrained dansyl derivs. showed up to 1000-fold improved affinity for EcTS relative to the inhibitory activity of linear derivs. This study demonstrates that the accurate design of small ligands can uncover functional features of highly conserved enzymes.

IT 1038452-86-6

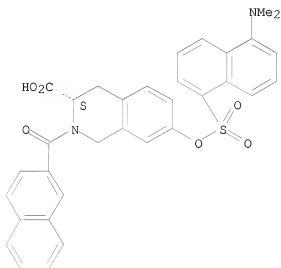
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(inhibitor; constrained dansyl derivs. preparation and inhibition of highly conserved thymidylate synthases of *Escherichia coli* and *Lactobacillus casei*)

RN 1038452-86-6 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 7-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]oxy]-1,2,3,4-tetrahydro-2-(2-naphthalenylcarbonyl)-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

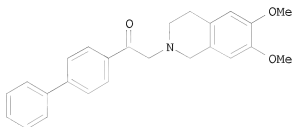
ACCESSION NUMBER: 2008:465942 CAPLUS

DOCUMENT NUMBER: 149:44260

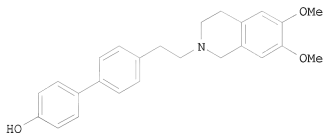
TITLE: 4-Biphenyl and 2-naphthyl substituted 6,7-dimethoxytetrahydroisoquinoline derivatives as potent P-gp modulators

AUTHOR(S): Colabufo, Nicola Antonio; Berardi, Francesco; Cantore, Mariangela; Perrone, Maria Grazia; Contino, Marialessandra; Inglese, Carmela; Niso, Mauro; Perrone, Roberto; Azzariti, Amalia; Simone, Grazia

CORPORATE SOURCE: Maria; Paradiso, Angelo  
 Dipartimento Farmacochimico, Università degli Studi di  
 Bari, Bari, 70125, Italy  
 SOURCE: Bioorganic & Medicinal Chemistry (2008), 16(7),  
 3732-3743  
 CODEN: BMECEP; ISSN: 0968-0896  
 PUBLISHER: Elsevier Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 149:44260  
 GI



I



II

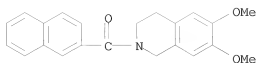
AB Starting from lead compound 1 (I) (EC50 = 1.64  $\mu$ M), its non-basic nucleus has been conformationally restricted by 4-biphenyl and 2-naphthyl moieties. In each series we investigated if the presence of H-bond donor or acceptor substituents, the basicity and the lipophilicity (c log P) were correlated with the P-gp inhibiting activity of tested compds. In the biphenyl series, derivative 4d (II) displayed the best results (EC50 = 0.05  $\mu$ M). The corresponding amide 3d was found less active (EC50 = 3.5  $\mu$ M) (III) ascertaining the importance of basicity in this series while the presence of hydroxy or methoxy substituents seems to be negligible. In the naphthyl series, both the basicity and the presence of H-bond donor or acceptor groups seem to be negligible. Moreover, the lipophilicity did not influence the P-gp inhibition activity of each series. Specific biol. assays have been carried out to establish the P-gp interacting mechanism of tested compds. discriminating between substrates and inhibitors. Moreover, compound 4d displayed a potent P-gp inhibition activity with good selectivity towards BCRP pump.

IT 1001580-26-2P 1031367-73-3P 1031367-75-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (4-Biphenyl and 2-naphthyl substituted  
 6,7-dimethoxytetrahydroisoquinoline derivs. as potent P-gp modulators)

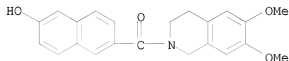
RN 1001580-26-2 CAPLUS

CN Methanone, (3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-2-naphthalenyl-  
 (CA INDEX NAME)

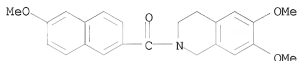




RN 1031367-73-3 CAPLUS  
 CN Methanone, (3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl) (6-hydroxy-2-naphthalenyl)- (CA INDEX NAME)



RN 1031367-75-5 CAPLUS  
 CN Methanone, (3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl) (6-methoxy-2-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)  
 REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2007:1086611 CAPLUS  
 DOCUMENT NUMBER: 147:406705  
 TITLE: Preparation of bicycloheteroaryl compounds as P2X7 modulators  
 INVENTOR(S): Kelly, Michael G.; Kincaid, John; Fang, Yunfeng; Cao, Yeyu; Kaub, Carl; Gowlugari, Sumithra; Wang, Zhan  
 PATENT ASSIGNEE(S): Renovis, Inc., USA  
 SOURCE: PCT Int. Appl., 149 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

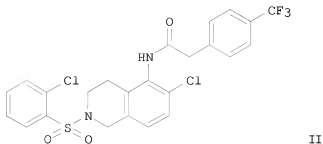
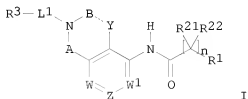
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007109182	A2	20070927	WO 2007-US6721	20070316
WO 2007109182	A3	20071129		

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IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,  
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-782782P P 20060316  
 US 2006-782973P P 20060316  
 US 2006-783304P P 20060316  
 US 2007-918124P P 20070315

OTHER SOURCE(S): MARPAT 147:406705  
 GI

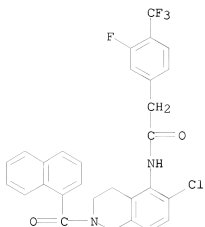


AB The title compds. I [A = (un)substituted CH<sub>2</sub>; B and Y = (un)substituted CH and CH<sub>2</sub>; W, W1 and Z = CR<sub>4</sub> and N, provided that all three of W, W1 and Z can not be N at the same time; L1 = CO, SO, SO<sub>2</sub>, (un)substituted alkylene; n = 0-4; R1 = (un)substituted 5-13 membered (hetero)aryl; R21, R22 = H, halo, (un)substituted alkyl; or R21 and R22 join together to form a cycloalkyl or cycloheteroalkyl; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl, acyl, etc.] which may be used for the prevention and treatment of a variety of conditions in mammals including humans, including by way of non-limiting example, pain, inflammation, traumatic injury, and others, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 4-chlorobenzaldehyde, was given. II showed IC<sub>50</sub> of 49.28 nM when tested in IL-1β release assay.

IT 950988-57-5P 950989-23-8P 950989-82-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of novel bicycloheteroaryl compds. as P2X7 modulators useful in prevention and treatment of diseases)

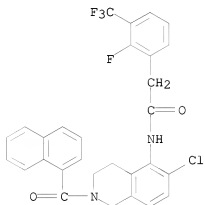
RN 950988-57-5 CAPLUS

CN Benzeneacetamide, N-[6-chloro-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-5-isoquinolinyl]-3-fluoro-4-(trifluoromethyl)- (CA INDEX NAME)



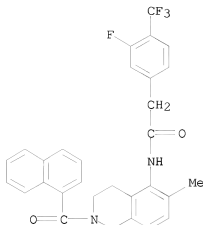
RN 950989-23-8 CAPLUS

CN Benzeneacetamide, N-[6-chloro-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-5-isoquinolinyl]-2-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)



RN 950989-82-9 CAPLUS

CN Benzeneacetamide, 3-fluoro-N-[1,2,3,4-tetrahydro-6-methyl-2-(1-naphthalenylcarbonyl)-5-isoquinolinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L8 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:846100 CAPLUS

DOCUMENT NUMBER: 147:212293

TITLE: Preparation of 1-[2'-(N-acylamino)acyl]-2-pyrrolidine  
and 1-[2'-(N-carbamoylamino)acyl]-2-pyrrolidine  
carbonitriles, boronic acids, carbaldehydes and  
analogues as fibroblast activation protein alpha  
inhibitors for treating cancer

INVENTOR(S): Evans, David Michael; Horton, John; Trim, Julie  
Elizabeth

PATENT ASSIGNEE(S): Ferring B.V., Neth.

SOURCE: PCT Int. Appl., 200 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

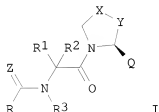
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007085895	A2	20070802	WO 2006-IB3512	20060831
WO 2007085895	A3	20080417		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 1760076	A1	20070307	EP 2005-108049	20050902
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
AU 2006336854	A1	20070802	AU 2006-336854	20060831
CA 2627607	A1	20070802	CA 2006-2627607	20060831

EP 1919864	A2	20080514	EP 2006-849433	20060831
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009507011	T	20090219	JP 2008-528601	20060831
IN 2008DN02735	A	20080725	IN 2008-DN2735	20080401
KR 2008043383	A	20080516	KR 2008-707975	20080402
NO 2008001636	A	20080529	NO 2008-1636	20080402
US 20100081701	A1	20100401	US 2009-991286	20090915
PRIORITY APPLN. INFO.:			EP 2005-108049	A 20050902
			US 2005-713324P	P 20050902
			WO 2006-1B3512	W 20060831

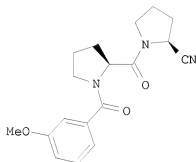
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:212293

GI



I



II

AB Dipeptide nitriles, boronic acids, aldehydes, and analogs I [X = a bond, CH<sub>2</sub>, S, CF<sub>2</sub>, CHF, SO, SO<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub> and Y = CH<sub>2</sub>; or XY = CH:CH; Q1 = CN, B(OH)<sub>2</sub>, COX1; X1 = H, alk(en)yl, hetero/aryl, CH<sub>2</sub>NR<sub>4</sub>R<sub>5</sub>, CH<sub>2</sub>OR<sub>6</sub>, etc.; R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> = independently H, alk(en)yl, hetero/aryl, arylalkyl, heteroarylalkyl; or R<sub>4</sub>R<sub>5</sub> = (CH<sub>2</sub>)<sub>m</sub>; m = 2-7; Z = O, S; when Z = O, R = H, aryl/alkyl, NR<sub>4</sub>R<sub>5</sub>, aryl, etc.; when Z = S, R = NR<sub>4</sub>R<sub>5</sub>; R<sub>1</sub> = H, alk(en)yl, aryl, (CH<sub>2</sub>)<sub>n</sub>NH<sub>2</sub>, CH(Me)OW<sub>4</sub>, etc.; a = 2-5; W<sub>1</sub> = H, COW<sub>6</sub>, CO<sub>2</sub>W<sub>6</sub>, SO<sub>2</sub>W<sub>6</sub>; W<sub>4</sub> = H, W<sub>6</sub>; W<sub>6</sub> = alkyl, benzyl, hetero/aryl; R<sub>2</sub> = H, alkyl; R<sub>3</sub> = H, alkyl, arylalkyl, etc.; or R<sub>1</sub>R<sub>3</sub> = (CH<sub>2</sub>)<sub>p</sub>; p = 3-4; R<sub>1</sub>R<sub>2</sub> = (CH<sub>2</sub>)<sub>q</sub>; q = 3-6; R<sub>1</sub>R<sub>3</sub> = 1,2-phenylene, 2,3-pyrrolidinylene, 1,2-cyclopentylene, etc.; and their tautomers, stereoisomers, and their pharmaceutically acceptable salts] were prepared as fibroblast activation protein alpha (FAPα) inhibitors for treating especially cancer. Thus, amide II was prepared from N-(tert-butoxycarbonyl)-L-proline, L-prolinamide, and 3-anisoyl chloride. Preferred I were competitive inhibitors with IC<sub>50</sub><1 μM for FAPα and IC<sub>50</sub>>1 μM for DP1V, DP8 and DP9 in a fluorogenic assay.

IT 928371-48-6P 928371-51-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

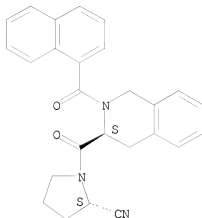
(Uses)

(drug candidates; preparation of N-acyl- and N-carbamoylaminoacyl pyrrolidine carbonitriles, boronic acids, carbaldehydes and analogs as FAP $\alpha$  inhibitors for treating cancer)

RN 928371-48-6 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[ (3S)-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-3-isoquinolinyl]carbonyl]-, (2S)- (CA INDEX NAME)

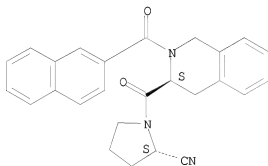
Absolute stereochemistry.



RN 928371-51-1 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[ (3S)-1,2,3,4-tetrahydro-2-(2-naphthalenylcarbonyl)-3-isoquinolinyl]carbonyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L8 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:247921 CAPLUS

DOCUMENT NUMBER: 146:317223

TITLE: Preparation of 1-[2'-(N-acylamino)acyl]-2-pyrrolidine and 1-[2'-(N-carbamoylamino)acyl]-2-pyrrolidine carbonitriles, boronic acids, carbaldehydes and analogs as fibroblast activation protein alpha inhibitors for treating cancer

INVENTOR(S): Evans, David Michael

PATENT ASSIGNEE(S): Ferring B.V., Neth.

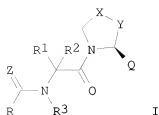
SOURCE: Eur. Pat. Appl., 192pp.

CODEN: EPXXDW

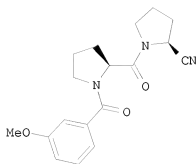
DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1760076	A1	20070307	EP 2005-108049	20050902
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AU 2006336854	A1	20070802	AU 2006-336854	20060831
CA 2627607	A1	20070802	CA 2006-2627607	20060831
WO 2007085895	A2	20070802	WO 2006-IB3512	20060831
WO 2007085895	A3	20080417		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 1919864	A2	20080514	EP 2006-849433	20060831
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
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IN 2008DN02735	A	20080725	IN 2008-DN2735	20080401
ZA 2008002867	A	20081231	ZA 2008-2867	20080401
KR 2008043383	A	20080516	KR 2008-707975	20080402
NO 2008001636	A	20080529	NO 2008-1636	20080402
US 20100081701	A1	20100401	US 2009-991286	20090915
PRIORITY APPLN. INFO.:			EP 2005-108049	A 20050902
			US 2005-713324P	P 20050902
			WO 2006-IB3512	W 20060831

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 146:317223  
 GI



I

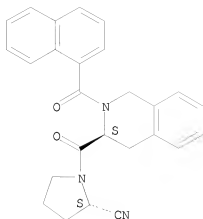


II

- AB Dipeptide nitriles, boronic acids, aldehydes, and analogs I [X = a bond, CH<sub>2</sub>, S, CF<sub>2</sub>, CHF, SO, SO<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub> and Y = CH<sub>2</sub>; or XY = CH:CH; Q1 = CN, B(OH)<sub>2</sub>, COX1; X1 = H, alk(en)yl, hetero/aryl, CH<sub>2</sub>NR<sub>4</sub>R<sub>5</sub>, CH<sub>2</sub>OR<sub>6</sub>, etc.; R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> = independently H, alk(en)yl, hetero/aryl, arylalkyl, heteroarylalkyl; or R<sub>4</sub>R<sub>5</sub> = (CH<sub>2</sub>)<sub>m</sub>; m = 2-7; Z = O, S; when Z = O, R = H, aryl/alkyl, NR<sub>4</sub>R<sub>5</sub>, aryl, etc.; when Z = S, R = NR<sub>4</sub>R<sub>5</sub>; R<sub>1</sub> = H, alk(en)yl, aryl, (CH<sub>2</sub>)<sub>a</sub>NH<sub>2</sub>, CH(Me)OW<sub>4</sub>, etc.; a = 2-5; W<sub>1</sub> = H, COW<sub>6</sub>, CO<sub>2</sub>W<sub>6</sub>, SO<sub>2</sub>W<sub>6</sub>; W<sub>4</sub> = H, W<sub>6</sub>; W<sub>6</sub> = alkyl, benzyl, hetero/aryl; R<sub>2</sub> = H, alkyl; R<sub>3</sub> = H, alkyl, arylalkyl, etc.; or R<sub>1</sub>R<sub>3</sub> = (CH<sub>2</sub>)<sub>p</sub>; p = 3-4; R<sub>1</sub>R<sub>2</sub> = (CH<sub>2</sub>)<sub>q</sub>; q = 3-6; R<sub>1</sub>R<sub>3</sub> = 1,2-phenylene, 2,3-pyrrolidinylene, 1,2-cyclopentylene, etc.; and their tautomers, stereoisomers, and their pharmaceutically acceptable salts] were prepared as fibroblast activation protein alpha (FAPα) inhibitors for treating especially cancer. Thus, amide II was prepared from N-(tert-butoxycarbonyl)-L-proline, L-prolinamide, and 3-anisoyl chloride. Preferred I were competitive inhibitors with IC<sub>50</sub> < 1 μM for FAPα and IC<sub>50</sub> > 1 μM for DP1V, DP8 and DP9 in a fluorogenic assay.
- IT 928371-48-6P 928371-51-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidates; preparation of N-acyl- and N-carbamoylaminoacyl pyrrolidine carbonitriles, boronic acids, carbaldehydes and analogs as FAPα inhibitors for treating cancer)
- RN 928371-48-6 CAPLUS
- CN 2-Pyrrolidinecarbonitrile, 1-[[[(3S)-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-3-isoquinolinyl]carbonyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

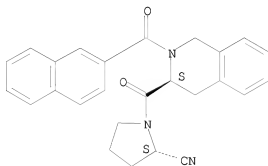




RN 928371-51-1 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[(3S)-1,2,3,4-tetrahydro-2-(2-naphthalenylcarbonyl)-3-isoquinolinyl]carbonyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:365100 CAPLUS

DOCUMENT NUMBER: 144:390755

TITLE: Preparation of quinolinecarboxamides as histamine H3R receptor antagonists and/or inverse agonists.

INVENTOR(S): McArthur, Silvia Gatti; Hertel, Cornelia; Nettekoven, Matthias Heinrich; Raab, Susanne; Richter, Hans; Roche, Olivier; Rodriguez-Sarmiento, Rosa Maria; Schuler, Franz

PATENT ASSIGNEE(S): Hoffman-La Roche Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

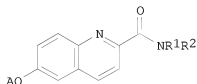
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060084679	A1	20060420	US 2005-251509	20051014
US 7534891	B2	20090519		

AU 2005299018	A1	20060504	AU 2005-299018	20051007
CA 2584318	A1	20060504	CA 2005-2584318	20051007
WO 2006045416	A1	20060504	WO 2005-EP10814	20051007
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1805166	A1	20070711	EP 2005-798160	20051007
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101044135	A	20070926	CN 2005-80035690	20051007
JP 2008517003	T	20080522	JP 2007-537151	20051007
BR 2005018222	A	20081104	BR 2005-18222	20051007
RU 2391338	C2	20100610	RU 2007-118538	20051007
MX 2007004465	A	20070507	MX 2007-4465	20070413
KR 2007059155	A	20070611	KR 2007-708809	20070418
KR 867071	B1	20081104		
IN 2007DN03162	A	20070831	IN 2007-DN3162	20070427
PRIORITY APPLN. INFO.:				
			EP 2004-105145	A 20041019
			WO 2005-EP10814	W 20051007

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:390755

GI

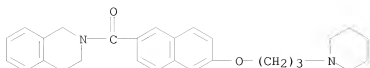


AB Title compds. [I; R1, R2 = H, alkyl, alkenyl, cycloalkyl, hydroxyalkyl, alkoxyalkyl, (substituted) cycloalkylalkyl, heterocyclylalkyl, etc.; R1R2N = atoms to form (substituted) 4-7 membered heterocyclyl; A = (substituted) azetidiny(alkyl), pyrrolidinyl(alkyl), piperidinyl(alkyl)], were prepared Thus, azetidin-1-yl [6-(1-isopropylpiperidin-4-yloxy)quinolin-2-yl]methanone (preparation outlined) showed H3R inverse agonist activity with Ki = 78 nM.

IT 871119-91-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (claimed compound; preparation of quinolinecarboxamides as histamine H3R receptor antagonists and/or inverse agonists)

RN 871119-91-4 CAPLUS

CN Methanone, (3,4-dihydro-2(1H)-isoquinolinyl) [6-[3-(1-piperidinyl)propoxy]-2-naphthalenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1314324 CAPLUS

DOCUMENT NUMBER: 144:51333

TITLE: Preparation of naphthalene derivatives as histamine-3  
receptor ligands

INVENTOR(S): Gatti McArthur, Silvia; Hertel, Cornelia; Nettekoven,  
Matthias Heinrich; Plancher, Jean-Marc; Raab, Susanne;  
Roche, Olivier; Rodriguez-Sarmiento, Rosa Maria;  
Schuler, Franz

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005117865	A1	20051215	WO 2005-EP5594	20050524
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005249196	A1	20051215	AU 2005-249196	20050524
CA 2566526	A1	20051215	CA 2005-2566526	20050524
EP 1755593	A1	20070228	EP 2005-775021	20050524
EP 1755593	B1	20080116		
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV			
CN 1960727	A	20070509	CN 2005-80017816	20050524
BR 2005011778	A	20080115	BR 2005-11778	20050524
JP 20080501649	T	20080124	JP 2007-513783	20050524
AT 383857	T	20080215	AT 2005-775021	20050524
PT 1755593	E	20080317	PT 2005-775021	20050524
ES 2299074	T3	20080516	ES 2005-775021	20050524
NZ 550764	A	20090925	NZ 2005-550764	20050524

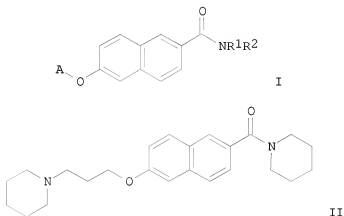
RU 2387638	C2	20100427	RU 2006-146621	20050524
AR 49433	A1	20060802	AR 2005-102236	20050531
TW 299992	B	20080821	TW 2005-94117927	20050531
US 20060009449	A1	20060112	US 2005-142738	20050601
US 7259158	B2	20070821		
ZA 2006009678	A	20080625	ZA 2006-9678	20061121
IN 2006DN06979	A	20070803	IN 2006-DN6979	20061122
MX 2006014017	A	20070208	MX 2006-14017	20061130
KR 2007020057	A	20070216	KR 2006-725300	20061130
KR 854212	B1	20080826		
NO 2006005733	A	20061219	NO 2006-5733	20061212
US 20070265254	A1	20071115	US 2007-821263	20070622
US 7608617	B2	20091027		

PRIORITY APPLN. INFO.:

EP 2004-102460	A	20040602
WO 2005-EP5594	W	20050524
US 2005-142738	A3	20050601

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): CASREACT 144:51333; MARPAT 144:51333

GI



AB Title compds. represented by the formula I [wherein R1 = H, alkyl, (un)substituted phenyl(alkyl) or alkoxyalkyl; R2 = H, (cyclo)alkyl, alkylsulfanyalkyl, etc.; or R1R2 = (un)saturated heterocyclyl; A = (un)substituted piperidinyl, pyrrolidinyl, piperazinyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as histamine-3 (H3) receptor ligands. For example, reaction of (6-hydroxynaphthalen-2-yl)piperidin-1-ylmethanone (preparation given) with 3-(piperidin-1-yl)propan-3-ol gave II•HCl in 46% yield. II showed binding affinity with 3H-(R)α-methylhistamine (Ki = 26 nM). Thus, I and their pharmaceutical compns. are useful for the treatment and/or prevention of diseases which are associated with the modulation of H3 receptors.

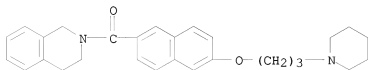
IT 871119-91-4P 871119-92-5P 871119-93-6P  
871120-21-7P 871120-22-8P 871120-23-9P  
871120-24-0P 871120-25-1P 871121-91-4P  
871121-92-5P 871121-99-2P 871122-00-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of naphthalene derivs. as histamine-3 receptor ligands)

RN 871119-91-4 CAPLUS

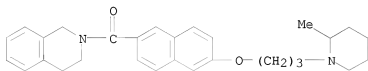
CN Methanone, (3,4-dihydro-2(1H)-isoquinoliny1)[6-[3-(1-piperidiny1)propoxy]-2-naphthaleny1]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 871119-92-5 CAPLUS

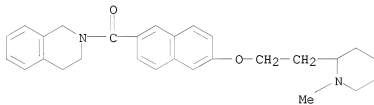
CN Methanone, (3,4-dihydro-2(1H)-isoquinoliny1)[6-[3-(2-methyl-1-piperidiny1)propoxy]-2-naphthaleny1]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 871119-93-6 CAPLUS

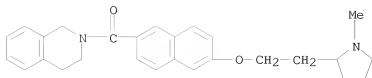
CN Methanone, (3,4-dihydro-2(1H)-isoquinoliny1)[6-[2-(1-methyl-2-piperidiny1)ethoxy]-2-naphthaleny1]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

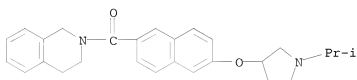
RN 871120-21-7 CAPLUS

CN Methanone, (3,4-dihydro-2(1H)-isoquinoliny1)[6-[2-(1-methyl-2-pyrrolidiny1)ethoxy]-2-naphthaleny1]-, hydrochloride (1:1) (CA INDEX NAME)



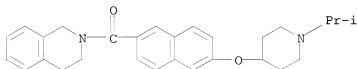
● HCl

RN 871120-22-8 CAPLUS  
 CN Methanone, (3,4-dihydro-2(1H)-isoquinolinyl)[6-[[1-(1-methylethyl)-3-pyrrolidinyl]oxy]-2-naphthalenyl]-, hydrochloride (1:1) (CA INDEX NAME)



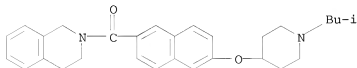
● HCl

RN 871120-23-9 CAPLUS  
 CN Methanone, (3,4-dihydro-2(1H)-isoquinolinyl)[6-[[1-(1-methylethyl)-4-piperidinyl]oxy]-2-naphthalenyl]-, hydrochloride (1:1) (CA INDEX NAME)



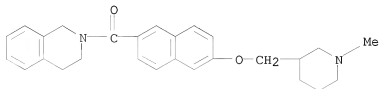
● HCl

RN 871120-24-0 CAPLUS  
 CN Methanone, (3,4-dihydro-2(1H)-isoquinolinyl)[6-[[1-(2-methylpropyl)-4-piperidinyl]oxy]-2-naphthalenyl]-, hydrochloride (1:1) (CA INDEX NAME)



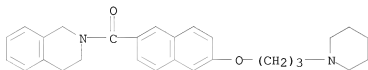
● HCl

RN 871120-25-1 CAPLUS  
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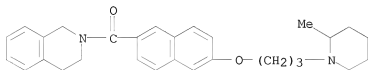


● HCl

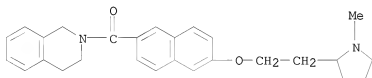
RN 871121-91-4 CAPLUS  
 CN Methanone, (3,4-dihydro-2(1H)-isoquinolinyl) [6-[3-(1-piperidinyl)propoxy]-2-naphthalenyl]- (CA INDEX NAME)



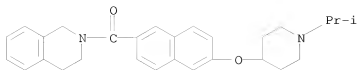
RN 871121-92-5 CAPLUS  
 CN Methanone, (3,4-dihydro-2(1H)-isoquinolinyl) [6-[3-(2-methyl-1-piperidinyl)propoxy]-2-naphthalenyl]- (CA INDEX NAME)



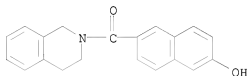
RN 871121-99-2 CAPLUS  
 CN Methanone, (3,4-dihydro-2(1H)-isoquinolinyl) [6-[2-(1-methyl-2-pyrrolidinyl)ethoxy]-2-naphthalenyl]- (CA INDEX NAME)



RN 871122-00-8 CAPLUS  
 CN Methanone, (3,4-dihydro-2(1H)-isoquinolinyl) [6-[[1-(1-methylethyl)-4-piperidinyl]oxy]-2-naphthalenyl]- (CA INDEX NAME)



IT 871121-74-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of naphthalene derivs. as histamine-3 receptor ligands)  
 RN 871121-74-3 CAPLUS  
 CN Methanone, (3,4-dihydro-2(1H)-isoquinolinyl) (6-hydroxy-2-naphthalenyl)-  
 (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
 (4 CITINGS)  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:1193399 CAPLUS  
 DOCUMENT NUMBER: 143:440276  
 TITLE: Phenanthridine analogues, their preparation,  
 pharmaceutical compositions, and uses as inhibitors of  
 hyperproliferation of T cells and keratinocytes  
 INVENTOR(S): Pegoraro, Stefano; Lang, Martin; Feurle, Juliane;  
 Krauss, Juergen  
 PATENT ASSIGNEE(S): 4SC AG, Germany; Switch Biotech AG  
 SOURCE: PCT Int. Appl., 92 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005105752	A1	20051110	WO 2004-EP11121	20041005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1652841	A1	20060503	EP 2004-10341	20040430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				



AU 2004319072	A1	20051110	AU 2004-319072	20041005
CA 2562400	A1	20051110	CA 2004-2562400	20041005
EP 1740548	A1	20070110	EP 2004-790131	20041005
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1934087	A	20070321	CN 2004-80042522	20041005
BR 2004018782	A	20071009	BR 2004-18782	20041005
JP 2007538007	T	20071227	JP 2007-509886	20041005
NZ 551399	A	20090828	NZ 2004-551399	20041005
US 20050282801	A1	20051222	US 2005-118421	20050502
US 7276606	B2	20071002		
IN 2006MN01096	A	20070622	IN 2006-MN1096	20060913
MX 2006011763	A	20070413	MX 2006-11763	20061011

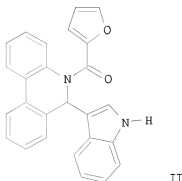
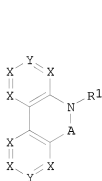
PRIORITY APPLN. INFO.:

EP 2004-10341	A	20040430
US 2004-566820P	P	20040430
WO 2004-EP11121	W	20041005

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:440276; MARPAT 143:440276

GI



AB The invention relates to phenanthridine analogs, e.g., general formula I, which are inhibitors of T cell hyperproliferation and keratinocyte hyperproliferation. In compds. I, A is SO2 or substituted C; R1 is alkyl, alkoxy, OH, SH, acyl, carboxy, aryl, heteroaryl, etc.; and X and Y are independently N or (un)substituted C. The invention also relates to the preparation of I, pharmaceutical compns. containing I, optionally with appropriate adjuvants and additives, as well as to the use of the compns. for the inhibition of T cell or keratinocyte hyperproliferation. Addition of indole to phenanthridine and acylation with 2-furoyl chloride gave phenanthridine analog II. Several compds. of the invention express more than 50% inhibition of keratinocyte proliferation and seven of those compds., e.g., II, also express EC50 value below 25  $\mu$ M in a T cell proliferation assay.

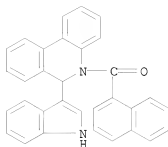
IT 868853-64-9P, [6-(1H-Indol-3-yl)-6H-phenanthridin-5-yl]naphthalen-1-ylmethanone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of phenanthridine analogs as inhibitors of hyperproliferation of T cells and keratinocytes)

RN 868853-64-9 CAPLUS

CN Methanone, [6-(1H-indol-3-yl)-5(6H)-phenanthridinyl]-1-naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)  
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:735326 CAPLUS

DOCUMENT NUMBER: 143:229730

TITLE: Preparation of tetrahydroisoquinoline derivatives for  
treating diseases mediated by protein trafficking or  
chloride channel activity

INVENTOR(S): Pregel, Marko J.; Hirth, Bradford H.; Kane, John L.;  
Qiao, Shuang; Gregory, Jill; Cuff, Lisa

PATENT ASSIGNEE(S): Genzyme Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 52 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

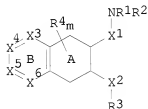
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050176761	A1	20050811	US 2004-6042	20041207
US 7541466	B2	20090602		
PRIORITY APPLN. INFO.:			US 2003-531873P	P 20031223
OTHER SOURCE(S):			CASREACT 143:229730; MARPAT 143:229730	

GI

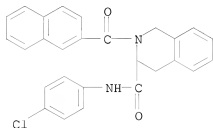


I

AB Tetrahydroisoquinoline derivs. I (variables defined below), pharmaceutical compns. comprising them and methods of treating disease are disclosed herein. The disclosed compds. are useful in the treatment and prevention of diseases mediated by chloride channel activity and/or protein trafficking, including, but not limited to, diseases associated with impaired mucociliary clearance such as cystic fibrosis, bronchitis, emphysema, and the like. For I the variables are: X1 = CH2, CO, SO, SO2; X2 = CH2, CO, COCH2, CO2, COS, O, S, SO; X3, X4, X5, X6 = N, CH, wherein at least 1 of

X3, X4, X5, X6 = CH; Ring B is optionally substituted in any substitutable carbon; R1 and R2 = H or an optionally substituted aliphatic, aryl, heteroaryl, heterocyclic, cycloalkyl, peptide, or amino acid group, provided that R1 and R2 are not both H; or, R1 and R2, taken together with the nitrogen to which they are bonded, are an optionally substituted heterocyclic group; R3 = optionally substituted aryl, heteroaryl, cycloalkyl, or heterocyclic group; m = 0-2; each R4 = halogen, OH, SH, Ra, ORa, SRA, NH2, NHRa, NRA2, C(O)NRA2, CF3, CN, or NO2; and Ra = C1-C5 branched or linear alkyl group.

IT 862504-24-3P, 2-[(Naphthalen-2-yl)carbonyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid N-(4-chlorophenyl)amide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of tetrahydroisoquinoline derivs. for treating diseases mediated by protein trafficking or chloride channel activity)  
 RN 862504-24-3 CAPLUS  
 CN 3-Isoquinolinecarboxamide, N-(4-chlorophenyl)-1,2,3,4-tetrahydro-2-(2-naphthalenylcarbonyl)- (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:612285 CAPLUS

DOCUMENT NUMBER: 143:133293

TITLE: Preparation of spiroindoline and spiroisoquinoline compounds as Mas receptor ligands

INVENTOR(S): Boatman, Douglas P.; Adams, John W.; Moody, Jeanne V.; Babych, Eric D.; Schrader, Thomas O.

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 224 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063745	A2	20050714	WO 2004-US43609	20041222
WO 2005063745	A3	20060316		
WO 2005063745	A9	20070201		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

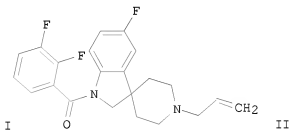
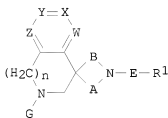
AU 2004309419	A1	20050714	AU 2004-309419	20041222
CA 2546147	A1	20050714	CA 2004-2546147	20041222
EP 1716148	A2	20061102	EP 2004-815636	20041222

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU

CN 1972944	A	20070530	CN 2004-80038166	20041222
JP 2007516298	T	20070621	JP 2006-547461	20041222
IN 2006KN02015	A	20070518	IN 2006-KN2015	20060718
US 20070254903	A1	20071101	US 2007-583839	20070308

PRIORITY APPLN. INFO.: US 2003-532546P P 20031223  
US 2004-539554P P 20040126  
US 2004-565251P P 20040423  
WO 2004-US43609 W 20041222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): CASREACT 143:133293; MARPAT 143:133293  
GI



AB Title compds. I [wherein R1 = H, halo, OH, NO2, (un)substituted alkyl, etc.; A, B = (un)substituted alkylene; E = bond or (un)substituted alkylene; G = H, (un)substituted aryl, etc.; W, X, Y, Z = N or (un)substituted CH; n = 0 or 1, or pharmaceutically acceptable salts, free bases, solvates, hydrates or stereoisomers thereof] were prepared as Mas receptor ligands. For instance, II was synthesized and had IC50 of 297.67 nM in the Mas receptor IP3 assay. Therefore, I and their pharmaceutical compns. are useful for treating, preventing and/or managing vascular, cardiovascular or neurol. diseases or disorders.

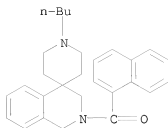
IT 858350-88-6P 858350-89-7P 858350-90-0P  
858350-99-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of spiroindoline and spiroisoquinoline compds. as Mas receptor ligands)

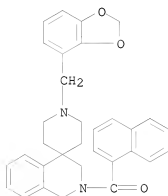
RN 858350-88-6 CAPLUS

CN Methanone, (1'-butyl-2,3-dihydrospiro[isoquinoline-4(1H),4'-piperidin]-2-yl)-1-naphthalenyl- (CA INDEX NAME)



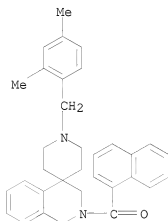
RN 858350-89-7 CAPLUS

CN Methanone, [1'-(1,3-benzodioxol-4-ylmethyl)-2,3-dihydrospiro[isoquinoline-4(1H),4'-piperidin]-2-yl]-1-naphthalenyl- (CA INDEX NAME)



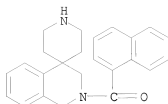
RN 858350-90-0 CAPLUS

CN Methanone, [1'-[(2,4-dimethylphenyl)methyl]-2,3-dihydrospiro[isoquinoline-4(1H),4'-piperidin]-2-yl]-1-naphthalenyl- (CA INDEX NAME)



RN 858350-99-9 CAPLUS

CN Methanone, (2,3-dihydrospiro[isoquinoline-4(1H),4'-piperidin]-2-yl)-1-naphthalenyl- (CA INDEX NAME)

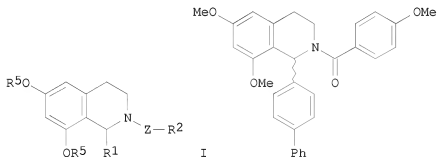


OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
(8 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2005:284144 CAPLUS  
DOCUMENT NUMBER: 142:355176  
TITLE: Preparation of 6,8-dimethoxyisoquinolines as novel  
potassium channels modulators  
INVENTOR(S): Garcia, Gabriel; Saeb, Wael; Kramer, Bernd  
PATENT ASSIGNEE(S): 4SC AG, Germany  
SOURCE: U.S. Pat. Appl. Publ., 54 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050070570	A1	20050331	US 2004-869914	20040618
PRIORITY APPLN. INFO.:			US 2003-479159P	P 20030618
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): MARPAT 142:355176				

GI



AB The invention relates to compds. I [Z = carbonyl, thiocarbonyl or sulfonyl; R1 = alkyl, alkenyl, alkynyl, aryl, H, halo, etc.; R2 = H, OH, CH2SO2alkyl, CH2SO2cycloalkyl, etc.; R5 = alkyl, alkenyl or alkynyl] which are useful for the prevention, alleviation or treatment of diseases, conditions or disorders which are associated with, or dependent on the membrane potential or conductance of cells in mammals, including a human. The general methods for synthesis of compds. I are described. One hundred sixty five compds. I (such as II) were prepared Biol. data were given for representative compds. I. The pharmaceutical composition comprising the compound

I is claimed.

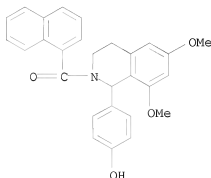
IT 808753-91-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 6,8-dimethoxyisoquinolines as novel potassium channels modulators)

RN 808753-91-5 CAPLUS

CN Methanone, [3,4-dihydro-1-(4-hydroxyphenyl)-6,8-dimethoxy-2(1H)-isoquinolinyl]-1-naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L8 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:1118825 CAPLUS

DOCUMENT NUMBER: 142:56196

TITLE: Preparation of N-substituted 3,4-dihydro-1H-isoquinolines as potassium channel modulators

INVENTOR(S): Garcia, Gabriel; Saeb, Wael; Kramer, Bernd; Rauer, Heiko; Vincek, Adam

PATENT ASSIGNEE(S): 4SC AG, Germany

SOURCE: Eur. Pat. Appl., 31 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1489071	A1	20041222	EP 2003-13842	20030618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CA 2524700	A1	20041229	CA 2004-2524700	20040617
WO 2004113302	A1	20041229	WO 2004-EP6552	20040617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,				

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

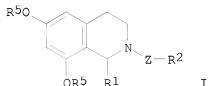
EP 1663983	A1	20060607	EP 2004-740010	20040617
EP 1663983	B1	20090121		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

AT 421503	T	20090215	AT 2004-740010	20040617
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PRIORITY APPLN. INFO.: EP 2003-13842 A 20030618  
 WO 2004-EP6552 W 20040617

OTHER SOURCE(S): CASREACT 142:56196; MARPAT 142:56196  
 GI

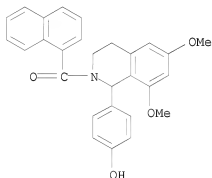


AB Title compds. I [Z = CO, SO2; R1 = alk(en/yn)yl, aryl, H, etc.; R2 = CH2SO2alkyl, CH2SO2aryl, etc.; R5 = alk(en/yn)yl] are prepared General synthetic procedures and data are provided for 40 example compds. I are useful for the treatment of asthma, cystic fibrosis, etc.

IT 808753-91-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-substituted 3,4-dihydro-1H-isoquinolines as potassium channel modulators)

RN 808753-91-5 CAPLUS

CN Methanone, [3,4-dihydro-1-(4-hydroxyphenyl)-6,8-dimethoxy-2(1H)-isoquinolinyl]-1-naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:857399 CAPLUS

DOCUMENT NUMBER: 141:343478

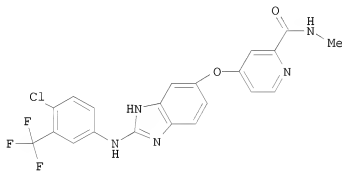
TITLE: Use of small molecule compounds for immunopotentialiation

INVENTOR(S): Valiante, Nicholas



PATENT ASSIGNEE(S): Chiron Corporation, USA  
 SOURCE: PCT Int. Appl., 146 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087153	A2	20041014	WO 2004-US10331	20040329
WO 2004087153	A3	20050317		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2520124	A1	20041014	CA 2004-2520124	20040329
US 20050136065	A1	20050623	US 2004-814480	20040329
EP 1608369	A2	20051228	EP 2004-758593	20040329
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
PRIORITY APPLN. INFO.:			US 2003-458888P	P 20030328
			WO 2004-US10331	W 20040329
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):	MARPAT 141:343478			
GI				

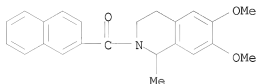


I

AB The invention provides immunostimulatory compns. comprising a small mol. immunopotentiator (SMIP) compound and methods of administration thereof. Also provided are methods of administering a SMIP compound in an effective amount to enhance the immune response of a subject to an antigen. Further provided are compns. and methods of administering SMIP compds. alone or in combination with another agent for the treatment of cancer, infectious diseases and/or allergies/asthma. Preparation of selected compds., e.g. I, is included.

IT 190274-31-8  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (small mol. compds. for immunopotentialiation)

RN 190274-31-8 CAPLUS  
CN Methanone, (3,4-dihydro-6,7-dimethoxy-1-methyl-2(1H)-isoquinolinyl)-2-naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)  
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:627033 CAPLUS

DOCUMENT NUMBER: 139:302587

TITLE: Synthesis and properties of a novel fluorescent nucleobase, naphthopyridopyrimidine

AUTHOR(S): Okamoto, Akimitsu; Tainaka, Kazuki; Saito, Isao

CORPORATE SOURCE: Faculty of Engineering, Department of Synthetic Chemistry and Biological Chemistry, Kyoto University and SORST, Japan Science and Technology Corporation, Kyoto, 606-8501, Japan

SOURCE: Tetrahedron Letters (2003), 44(36), 6871-6874

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A new base-discriminating fluorescent nucleoside, NPP, that can sharply distinguish between A and G bases opposite NPP is described. The hybridization of an ODN probe containing NPP with a target DNA facilitates the judgment of the type of purine base located at a specific site on the target DNA.

IT 610303-49-6P

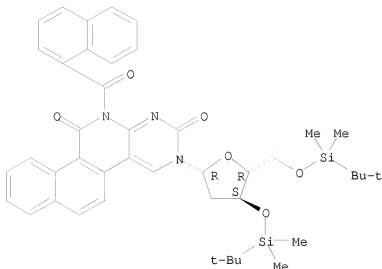
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and properties of a fluorescent nucleobase, naphthopyridopyrimidine)

RN 610303-49-6 CAPLUS

CN Benzo[h]pyrimido[4,5-c]isoquinoline-2,11(3H,12H)-dione, 3-[2-deoxy-3,5-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-β-D-erythro-pentofuranosyl]-12-(1-naphthalenylcarbonyl)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 36 THERE ARE 36 CAPLUS RECORDS THAT CITE THIS RECORD (36 CITINGS)  
 REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:491215 CAPLUS  
 DOCUMENT NUMBER: 139:69277  
 TITLE: Preparation of 3,4-dihydro-1H-isoquinolin-2-yl derivatives as NK2 antagonists.  
 INVENTOR(S): Kehler, Jan; Poulsen, Anders; Bjornholm, Berith; Kroll, Friedrich; Bang Norgaard, Morten  
 PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.  
 SOURCE: PCT Int. Appl., 89 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051869	A1	20030626	WO 2002-DK858	20021216
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2470723	A1	20030626	CA 2002-2470723	20021216
AU 2002351733	A1	20030630	AU 2002-351733	20021216
EP 1458714	A1	20040922	EP 2002-787450	20021216
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
BR 2002015037	A	20041214	BR 2002-15037	20021216
HU 2004002643	A2	20050428	HU 2004-2643	20021216

CN 1620452	A	20050525	CN 2002-828152	20021216
CN 100509805	C	20090708		
JP 2005518378	T	20050623	JP 2003-552753	20021216
NZ 533358	A	20070531	NZ 2002-533358	20021216
ZA 2004004333	A	20050602	ZA 2004-4333	20040602
MX 2004005988	A	20040927	MX 2004-5988	20040618
IN 2004CN01546	A	20060210	IN 2004-CN1546	20040712
IN 222647	A1	20081121		
NO 2004002980	A	20040714	NO 2004-2980	20040714
US 2005007013	A1	20050331	US 2004-499880	20041028
US 7384957	B2	20080610		

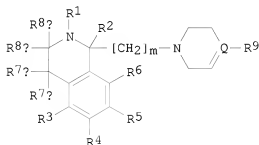
PRIORITY APPLN. INFO.:

DK 2001-1916	A	20011219
US 2001-341905P	P	20011219
WO 2002-DK858	W	20021216

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:69277

GI



I

AB The title compds. I [R1 = R11CO, R11CS, R11SO2, R11OCO, R11SCO, or R11COCR12R13; R11 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R2 = H, CF3, alkyl; R3-R6, R7a, R7b, R8a, R8b are independently selected from H, halo, CN, NO2, alkyl, alkenyl, etc.; m = 2-6; R9 = (un)substituted PhCH2, benzoyl, 2,3-dihydrobenzofuranyl, or mono- or bicyclic aryl or heteroaryl; Q = C, N, or CR10, wherein R10 = H, halo, CN, NO2, alkyl, cycloalkyl, etc.; or R9 and R10 taken together form a heterocyclic structure] and their pharmaceutically acceptable acid salts are prepared as NK2 antagonists. Two methods were applied for preparation of these compds.: (a) alkylating a piperidine derivative with (RS)-1-(2-bromoethyl)-3,4-dihydro-1H-isoquinoline-2-carboxylic acid-tert-Bu ester, and (b) acylating an amine derivative by using a carboxylic acid, a coupling reagent, an activated ester, an acid chloride or an isocyanate. In assays of I to determine inhibition of binding of 125I-NKA to human NK2 receptors, the majority of the compds. possessed IC50 values of 50 nM or less, and for a large group of the compds. the IC50 values were 10 nM or less.

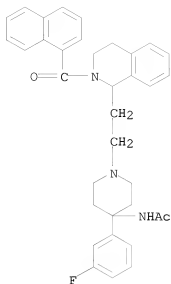
IT 551962-72-2P 551962-88-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted dihydroisoquinolinyl derivs. via alkylation and acylation methods and their inhibition activities as NK2 antagonists)

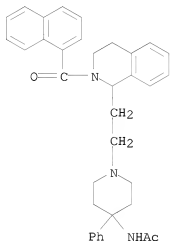
RN 551962-72-2 CAPLUS

CN Acetamide, N-[4-(3-fluorophenyl)-1-[2-[1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-1-isoquinolinyl]ethyl]-4-piperidinyl]- (CA INDEX NAME)



RN 551962-88-0 CAPLUS

CN Acetamide, N-[4-phenyl-1-[[2-[[1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-1-isoquinolinyl]ethyl]-4-piperidinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:396659 CAPLUS

DOCUMENT NUMBER: 138:401613

TITLE: Preparation of tetrahydroisoquinoline analogs as modulators of chemokine receptor activity for treatment of inflammatory diseases

INVENTOR(S): Hermsmeier, Mark Alden; Rawlins, David B.; Wityak, John

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 163 pp.

DOCUMENT TYPE: CODEN: PIXXD2  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: English  
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003041641	A2	20030522	WO 2002-US35779	20021107
WO 2003041641	A3	20040304		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002357692	A1	20030526	AU 2002-357692	20021107
US 6649606	B1	20031118	US 2002-289671	20021107
PRIORITY APPLN. INFO.:			US 2001-346377P	P 20011109
			WO 2002-US35779	W 20021107

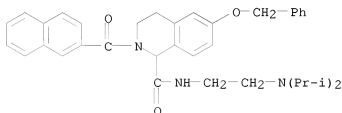
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 138:401613  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

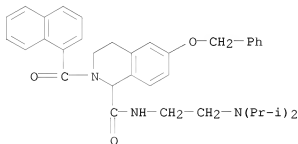
AB Title compds. I [wherein R1 = (un)substituted (aryl)alkyl, (aryl)alkenyl, alkynyl, aryl, (aryl)cycloalkyl, cycloalkylalkyl, cycloalkylalkoxy, alkoxyalkyl, alkylthioalkyl, aryloxyalkyl, arylalkoxyalkyl, heterocyclyl(alkyl), or heteroaryl(alkyl); R2 = H or (un)substituted (aryl)alkyl, (aryl)alkenyl, alkynyl, aryl, cycloalkyl(alkyl), alkoxyalkyl, cycloalkylalkoxy, aryloxyalkyl, arylalkoxyalkyl, heterocyclyl(alkyl), or heteroaryl(alkyl); X = a bond, O, or NR4; R3 and R3a = independently H, alkoxy, halo, CF3, alkyl, or aryl; R4 = independently alkyl or aryl; m, n, and p = independently 0-1; Y = a bond, (CH2)xCGH4(CH2)y, (CH2)xCR5R5a(CH2)y, or (CH2)xCR4=CR4(CH2)z; x and y = independently 0-3; z = 1-3; R5 and R5a = independently H, (cyclo)alkyl, alkoxy, OH, halo, CF3, or (alk)aryl; or R5 and R5a may be independently joined to R6 and R7 to form an alkylene bridge; or CR5R5a = cycloalkyl; X2 = (un)substituted aryl, heterocyclyl, pyridinyl, NR6R7, or (un)substituted imidazolyl; R6 and R7 = independently H or (un)substituted alkyl; or NR6R7 = heterocyclyl; X3 = a bond, CO, CO2, CONR4, SO2, or SO2NR4; X4 = a bond, O, OCO, NR4, NR4CO, NR4CONR4, NR4SO2, NR4SO2NR4, OCONR4, CO, CONR4, S, SO2, or SO2NR4; with provisos; and enantiomers, diastereomers, and pharmaceutically acceptable salts thereof] were prepared as modulators of chemokine receptor activity (no data). For example, reaction of 3-methoxyphenethylamine with HBr gave 3-(2-aminoethyl)phenol•HBr (100%). Cyclization with glyoxylic acid monohydrate in a 5% HCl solution, followed by esterification with MeOH provided Me 6-hydroxy-1,2,3,4-tetrahydroisoquinoline-1-carboxylate (35%). N-protection with di-tert-Bu dicarbonate in THF, etherification with benzyl bromide using K2CO3 in DMF (93%), and saponification using NaOH in H2O and MeOH afforded 6-(benzyloxy)-1,2,3,4-tetrahydroisoquinoline-1,2-dicarboxylic acid 2-tert-Bu ester (83%). Amidation with

diisopropylethylenediamine in the presence of 1-hydroxy-7-azabenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide•HCl in DMF gave II (79%). Thus, I and comps. containing I are useful for the treatment of inflammatory diseases, such as asthma, COPD, allergic disease, allergic rhinitis, rheumatoid arthritis, atherosclerosis, psoriasis, solid organ transplant rejection, osteoarthritis, and inflammatory bowel syndrome (no data).

IT 373635-89-3P 373635-91-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (antiinflammatory; preparation of tetrahydroisoquinoline analogs as modulators of chemokine receptor activity for treatment of inflammatory diseases)  
 RN 373635-89-3 CAPLUS  
 CN 1-Isoquinolinecarboxamide, N-[2-[bis(1-methylethyl)amino]ethyl]-1,2,3,4-tetrahydro-2-(2-naphthalenylcarbonyl)-6-(phenylmethoxy)- (CA INDEX NAME)



RN 373635-91-7 CAPLUS  
 CN 1-Isoquinolinecarboxamide, N-[2-[bis(1-methylethyl)amino]ethyl]-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-6-(phenylmethoxy)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2001:833285 CAPLUS  
 DOCUMENT NUMBER: 135:371650  
 TITLE: Preparation of tetrahydroisoquinoline analogs for therapeutic use in stimulating endogenous production or release of growth hormone  
 INVENTOR(S): Li, James J.; Tino, Joseph A.  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA  
 SOURCE: PCT Int. Appl., 146 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent

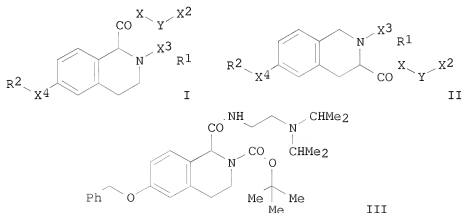
LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085695	A1	20011115	WO 2001-US14709	20010507
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2408486	A1	20011115	CA 2001-2408486	20010507
EP 1280777	A1	20030205	EP 2001-933145	20010507
EP 1280777	B1	20051123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010638	A	20030325	BR 2001-10638	20010507
JP 2004507456	T	20040311	JP 2001-582296	20010507
AU 2001259592	B2	20050224	AU 2001-259592	20010507
AT 310728	T	20051215	AT 2001-933145	20010507
CN 1244561	C	20060308	CN 2001-809334	20010507
ES 2252230	T3	20060516	ES 2001-933145	20010507
US 20020022637	A1	20020221	US 2001-852565	20010510
US 6469024	B2	20021022		
MX 2002010452	A	20030606	MX 2002-10452	20021023
PRIORITY APPLN. INFO.:			US 2000-203335P	P 20000511
			WO 2001-US14709	W 20010507

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:371650

GI

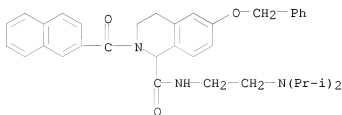


AB Tetrahydroisoquinoline analogs, such as I and II [R1 = alkyl, alkenyl, alkynyl, aryl cycloalkyl, etc.; R2 = alkyl, alkenyl, alkynyl, aryl cycloalkyl, etc.; X = bond, linking group, such as O, NR4; Y = linking group, such as alkylphenylenealkyl, alkylene, alkenylene, etc.; X2 = NR6R7, N-bonded-heterocyclyl; X3 = bond, linking group, such as CO, COO, CONR4, etc.; X4 = bond, linking group, such as O, OCO, SO2, S, NR4, NR4CO, etc.; R4 = H, alkyl, aryl; R6, R7 = H, alkyl], were prepared for

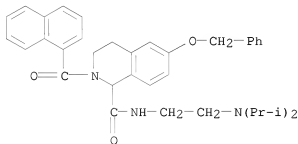


pharmaceutical use in stimulating endogenous production or release of growth hormone and, therefore, useful in treating obesity, osteoporosis, i.e. improving bone density, and in improving muscle mass and muscle strength (no biol. testing data presented). Thus, tetrahydroisoquinoline II was prepared via a series of synthetic steps which included cyclocondensation of HO-3-C<sub>6</sub>H<sub>4</sub>(CH<sub>2</sub>)<sub>2</sub>NH<sub>2</sub>.HBr with OHCO<sub>2</sub>H using 5% HCl solution and MeOH in toluene to form 1,2,3,4-tetrahydro-6-hydroxy-1-isoquinolinecarboxylic acid Me ester in 35% yield, followed by N-carboxylation with (Me<sub>3</sub>CO)<sub>2</sub>CO, O-alkylation with PhCH<sub>2</sub>Br, ester hydrolysis with NaOH, and amidation with H<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>N(CHMe<sub>2</sub>)<sub>2</sub>.

IT 373635-89-3P 373635-91-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of tetrahydroisoquinoline analogs for therapeutic use in stimulating endogenous production or release of growth hormone)  
 RN 373635-89-3 CAPLUS  
 CN 1-Isoquinolinecarboxamide, N-[2-[bis(1-methylethyl)amino]ethyl]-1,2,3,4-tetrahydro-2-(2-naphthalenylcarbonyl)-6-(phenylmethoxy)- (CA INDEX NAME)



RN 373635-91-7 CAPLUS  
 CN 1-Isoquinolinecarboxamide, N-[2-[bis(1-methylethyl)amino]ethyl]-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-6-(phenylmethoxy)- (CA INDEX NAME)



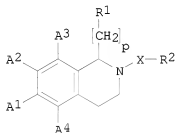
OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)  
 REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2001:488632 CAPLUS  
 DOCUMENT NUMBER: 135:92550  
 TITLE: Preparation of tetrahydroisoquinolines as estrogen agonists/antagonists  
 INVENTOR(S): Chesworth, Richard; Cameron, Kimberly O'Keefe; Da Silva-Jardine, Paul Andrew; Day, Robert Francis; Lefker, Bruce Allen; Zawistoski, Michael Paul  
 PATENT ASSIGNEE(S): Pfizer Inc., USA

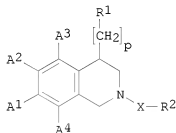
SOURCE: Eur. Pat. Appl., 66 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1113007	A1	20010704	EP 2000-311197	20001214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 20010039285	A1	20011108	US 2000-745396	20001221
US 6608203	B2	20030819		
CA 2329516	A1	20010624	CA 2000-2329516	20001222
JP 2001294575	A	20011023	JP 2000-389883	20001222
BR 2000006265	A	20020305	BR 2000-6265	20001222
MX 2001000150	A	20020806	MX 2001-150	20010108
US 20030220494	A1	20031127	US 2003-405308	20030402
US 20040192685	A1	20040930	US 2004-820277	20040408
PRIORITY APPLN. INFO.:				
			US 1999-173063P	P 19991224
			US 2000-745396	A3 20001221
			US 2003-405308	B1 20030402

OTHER SOURCE(S): MARPAT 135:92550  
 GI



I



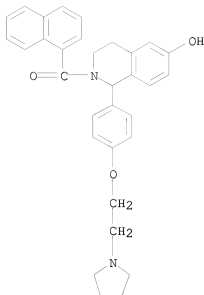
II

AB The title compds. [I; A1 = H, OH, alkoxy, etc.; A2-A4 = H, OH, alkoxy, halo; R1 = (un)substituted Ph, pyridyl, piperidinyl, etc.; X = a bond, (CH2)<sub>n</sub> (n = 1-3), CO2, etc.; R2 = alkyl, alkenyl, benzhydryl, etc.; p = 0-2], useful for treating or preventing obesity, breast cancer, osteoporosis, endometriosis, cardiovascular disease, prostatic disease, and the like, were prepared. Thus, hydrogenation of 1-[1-(4-benzoyloxyphenyl)-6-methoxy-3,4-dihydro-1H-isoquinolin-2-yl]-2,2,2-trifluoroethanone over 10% Pd/C in EtOH afforded 88% I [A1 = OMe; A2-A4 = H; R1 = 4-HOC6H4; p = 0; X = CO; R2 = CF3].

IT 347978-24-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of tetrahydroisoquinolines as estrogen agonists/antagonists)

RN 347978-24-9 CAPLUS

CN Methanone, [3,4-dihydro-6-hydroxy-1-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-2(1H)-isoquinolinyl]-1-naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)  
 REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:580342 CAPLUS

DOCUMENT NUMBER: 129:316128

ORIGINAL REFERENCE NO.: 129:64511a, 64514a

TITLE: Asymmetric synthesis and enantioselectivity of binding of 1-aryl-1,2,3,4-tetrahydroisoquinolines at the PCP site of the NMDA receptor complex  
 Wanner, Klaus T.; Beer, Herbert; Hoefner, Georg; Ludwig, Matthias

CORPORATE SOURCE: Inst. Pharmazie, Zentrum Pharmaforschung, Univ. Muenchen, Munich, D-80333, Germany

SOURCE: European Journal of Organic Chemistry (1998), (9), 2019-2029

CODEN: EJOCFK; ISSN: 1434-193X

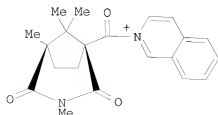
PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

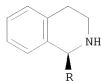
OTHER SOURCE(S): CASREACT 129:316128

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II

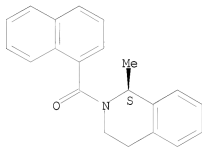
AB A new method for the asym. synthesis of 1-substituted tetrahydroisoquinolines is presented. It is based on stereoselective addition reactions of organometallic compds. to the intermediate N-acyliminium ion I, which is provided with an N-acyl group as a chiral auxiliary. In addition reactions with organomagnesium and organozinc reagents, diastereoselectivities from 70:30 to 95:5 were observed with the Zn reagents, in general leading to markedly improved stereoselectivities. By catalytic hydrogenation and after removal of the chiral auxiliary, the target compds. II and ent-II (R = Ph, 4-MeOC<sub>6</sub>H<sub>4</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>, 2-thienyl, 2-naphthyl) were obtained (>99% ee). Enantiomerically pure II and ent-II were evaluated for their affinity to the PCP [1-(1-phenylcyclohexyl)piperidine] binding site of the NMDA (N-Me D-aspartate) receptor. In each case, II exhibited a higher affinity than ent-II, with the potencies of the enantiomers differing by a factor of 4-27. The absolute configuration of more potent II is in accordance with the stereochem. requirement found for FR 115427 which is a close analog.

IT 90133-03-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (asym. synthesis and enantioselectivity of binding of  
 aryltetrahydroisoquinolines with methylaspartate receptor)

RN 90133-03-2 CAPLUS

CN Isoquinoline, 1,2,3,4-tetrahydro-1-methyl-2-(1-naphthalenylcarbonyl)-,  
 (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)

L8 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:241430 CAPLUS

DOCUMENT NUMBER: 127:12695

ORIGINAL REFERENCE NO.: 127:2441a,2444a

TITLE: Liquid chromatographic resolution of racemic cyclic amines

AUTHOR(S): Hyun, Myung Ho; Jin, Jong Sung; Lee, Wonjae

CORPORATE SOURCE: Dep. Chem., Pusan National Univ., Pusan, 609-735, S. Korea

SOURCE: Bulletin of the Korean Chemical Society (1997), 18(3), 336-339

CODEN: BKCSDE; ISSN: 0253-2964

PUBLISHER: Korean Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The (S,S)-Whelk-O chiral stationary phase was used to resolve enantiomers of racemic cyclic amines as their N- $\alpha$ - or N- $\beta$ -naphthoyl derivs. A possible chiral recognition mechanism was proposed based on the chromatog. resolution results and study of CPK mol. models. Resolution of the corresponding derivs. of cyclic amino esters, which are structurally

similar to cyclic amines, is also reported.

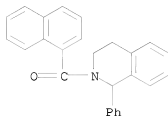
IT 190273-18-8 190273-21-3 190273-25-7  
190274-19-2 190274-24-9 190274-30-7  
190274-31-8 190274-33-0 190274-34-1

RL: ANT (Analyte); ANST (Analytical study)

(racemic cyclic amines resolution by liquid chromatog. on (S,S)-Whelk-O  
chiral stationary phase using N- $\alpha$ - or N- $\beta$ -naphthoyl derivs.)

RN 190273-18-8 CAPLUS

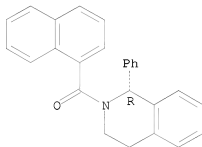
CN Methanone, (3,4-dihydro-1-phenyl-2(1H)-isoquinolinyl)-1-naphthalenyl- (CA  
INDEX NAME)



RN 190273-21-3 CAPLUS

CN Methanone, [(1R)-3,4-dihydro-1-phenyl-2(1H)-isoquinolinyl]-1-naphthalenyl-  
(CA INDEX NAME)

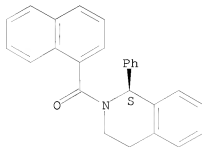
Absolute stereochemistry.



RN 190273-25-7 CAPLUS

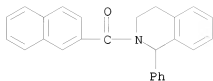
CN Methanone, [(1S)-3,4-dihydro-1-phenyl-2(1H)-isoquinolinyl]-1-naphthalenyl-  
(CA INDEX NAME)

Absolute stereochemistry.



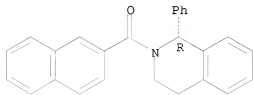
RN 190274-19-2 CAPLUS

CN Methanone, (3,4-dihydro-1-phenyl-2(1H)-isoquinolinyl)-2-naphthalenyl- (CA  
INDEX NAME)



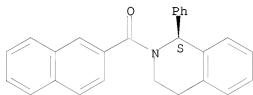
RN 190274-24-9 CAPLUS  
 CN Methanone, [(1R)-3,4-dihydro-1-phenyl-2(1H)-isoquinolinyl]-2-naphthalenyl-  
 (CA INDEX NAME)

Absolute stereochemistry.

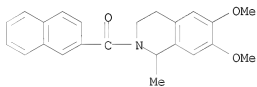


RN 190274-30-7 CAPLUS  
 CN Methanone, [(1S)-3,4-dihydro-1-phenyl-2(1H)-isoquinolinyl]-2-naphthalenyl-  
 (CA INDEX NAME)

Absolute stereochemistry.

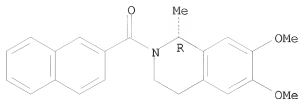


RN 190274-31-8 CAPLUS  
 CN Methanone, (3,4-dihydro-6,7-dimethoxy-1-methyl-2(1H)-isoquinolinyl)-2-naphthalenyl- (CA INDEX NAME)



RN 190274-33-0 CAPLUS  
 CN Methanone, [(1R)-3,4-dihydro-6,7-dimethoxy-1-methyl-2(1H)-isoquinolinyl]-2-naphthalenyl- (CA INDEX NAME)

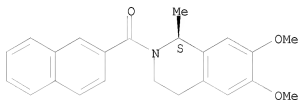
Absolute stereochemistry.



RN 190274-34-1 CAPLUS

CN Methanone, [(1S)-3,4-dihydro-6,7-dimethoxy-1-methyl-2(1H)-isoquinolinyl]-2-naphthalenyl- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)  
 REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:26681 CAPLUS

DOCUMENT NUMBER: 124:202688

ORIGINAL REFERENCE NO.: 124:37481a,37484a

TITLE: Total Synthesis of (-)-Tetrahydropalmatine via Chiral Formamidinium Carbanions: Unexpected Behavior with Certain Ortho-Substituted Electrophiles

AUTHOR(S): Matulenko, Mark A.; Meyers, A. I.  
 CORPORATE SOURCE: Department of Chemistry, Colorado State University, Fort Collins, CO, 80523, USA

SOURCE: Journal of Organic Chemistry (1996), 61(2), 573-80  
 CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:202688

AB A method has been developed by alkylation of chiral lithioformamidines to construct protoberberine alkaloids with a C(9) and C(10) D-ring substitution pattern. This ring pattern was established using an ortho-substituted hydroxymethylbenzene electrophile protected as a silyl ether to ultimately provide (-)-tetrahydropalmatine in 88% ee. Limitations with ortho-substituted electrophiles in the asym. formamidinium alkylation were discussed. These electrophiles have the potential to disrupt the lithium formamidinium chelate and cause the selectivity in the alkylation to be uncharacteristically low. The total synthesis of (±)-canadine and (-)-tetrahydropalmatine along with the limitations to the formamidinium alkylation technol. are delineated herein.

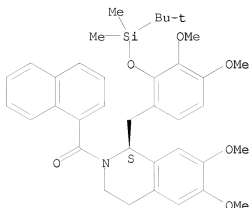
IT 173737-59-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (total synthesis of (-)-tetrahydropalmatine via chiral formamidinium carbanions)

RN 173737-59-2 CAPLUS

CN Isoquinoline, 1-[[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3,4-dimethoxyphenyl]methyl]-1,2,3,4-tetrahydro-6,7-dimethoxy-2-(1-naphthalenylcarbonyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 38 THERE ARE 38 CAPLUS RECORDS THAT CITE THIS RECORD (38 CITINGS)

L8 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:540977 CAPLUS

DOCUMENT NUMBER: 123:9739

ORIGINAL REFERENCE NO.: 123:2047a,2050a

TITLE: Catalytic iron-mediated enediene carbocyclizations: the enantioselective synthesis of a homolog of the alkaloid (-)-protoemetinol

AUTHOR(S): Takacs, James M.; Boito, Scott C.

CORPORATE SOURCE: Dep. Chem., Univ. Nebraska, Lincoln, NE, 68588-0304, USA

SOURCE: Tetrahedron Letters (1995), 36(17), 2941-4

CODEN: TELEAY; ISSN: 0040-4039

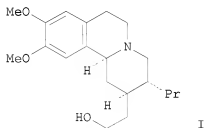
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 123:9739

GI



AB The efficient enantioselective synthesis of the benzoquinolizidine I highlights the utility of the stereoselective iron-catalyzed cyclization of enedienes and affords the opportunity to prepare analogs of protoemetinol, psychotrine, and related natural products.

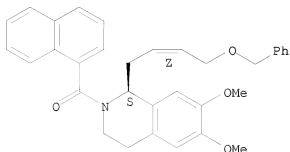
IT 163814-77-5P



RL: SPN (Synthetic preparation); PREP (Preparation)  
(enantioselective synthesis of a homolog of the alkaloid protoemetinol  
via catalytic iron-mediated enediene carbocyclizations)

RN 163814-77-5 CAPLUS  
CN Isoquinoline, 1,2,3,4-tetrahydro-6,7-dimethoxy-2-(1-naphthalenylcarbonyl)-  
1-[4-(phenylmethoxy)-2-butenyl]-, [S-(Z)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS  
RECORD (13 CITINGS)

L8 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:656334 CAPLUS

DOCUMENT NUMBER: 121:256334

ORIGINAL REFERENCE NO.: 121:46815a

TITLE: CCK and/or gastrin receptor ligands

INVENTOR(S): Ryder, Hamish; Kendrick, David Alan; Semple, Graeme;  
Miyata, Keiji; Batt, Andrzej Roman; Mathews, Elizabeth  
Alice; Rooker, David Philip; Nishida, Akito  
PATENT ASSIGNEE(S): Ferring B. V., Neth.; Yamanouchi Pharmaceutical Co.  
Ltd.

SOURCE: PCT Int. Appl., 282 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

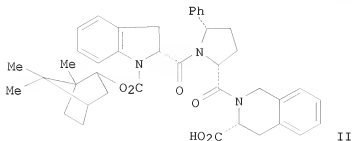
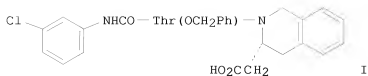
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9320099	A2	19931014	WO 1993-GB614	19930325
WO 9320099	A3	19931125		
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9337645	A	19931108	AU 1993-37645	19930325
PRIORITY APPLN. INFO.:				
			GB 1992-6757	A 19920327
			WO 1993-GB614	A 19930325

OTHER SOURCE(S): MARPAT 121:256334

GI



AB Peptide analogs ABC [A = aromatic, azaarom., aromatic amino acid, aralkyl, azaaralkyl, aralkanoyl, azaaralkanoyl; B = amino, aminoalkyl; C = amino] (175 compds.) were prepared. Thus, the threonine derivative I was prepared from D-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid, Me3CO2C-Thr(OCH2Ph)-OH, and 3-ClC6H4NCO in 6 steps. I had binding affinities for cholecystokinin A and B receptors of 170 and 20 nM resp. Selective cholecystokinin B receptor antagonists also inhibit pentagastrin-stimulated gastric secretion; the indole derivative II had an ED50 of 0.20  $\mu$ mole/kg in rats.

IT 158457-41-1 158457-42-2 158457-43-3

158457-44-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation as intermediate in preparation of cholecystokinin antagonist

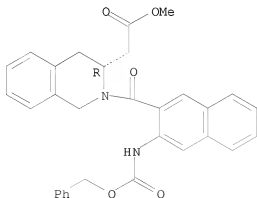
peptide

analog)

RN 158457-41-1 CAPLUS

CN 3-Isoquinolineacetic acid, 1,2,3,4-tetrahydro-2-[[3-[[[phenylmethoxy]carbonyl]amino]-2-naphthalenyl]carbonyl]-, methyl ester, (R)- (9CI) (CA INDEX NAME)

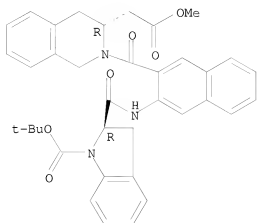
Absolute stereochemistry.



RN 158457-42-2 CAPLUS

CN 3-Isoquinolineacetic acid, 2-[[3-[[[1,1-dimethylethoxy]carbonyl]-2,3-dihydro-1H-indol-2-yl]carbonyl]amino]-2-naphthalenyl]carbonyl]-1,2,3,4-tetrahydro-, methyl ester, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

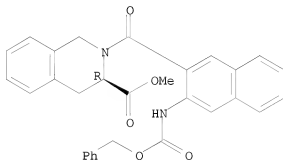
Absolute stereochemistry.



RN 158457-43-3 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 1,2,3,4-tetrahydro-2-[[3-[[[(phenylmethoxy)carbonyl]amino]-2-naphthalenyl]carbonyl]-, methyl ester, (R)- (9CI) (CA INDEX NAME)

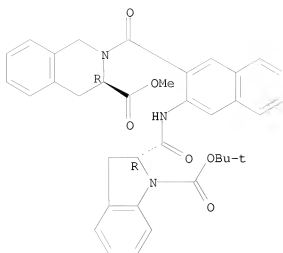
Absolute stereochemistry.



RN 158457-44-4 CAPLUS

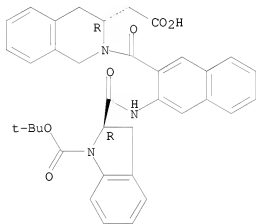
CN 3-Isoquinolinecarboxylic acid, 2-[[3-[[[1-[(1,1-dimethylethoxy)carbonyl]-2,3-dihydro-1H-indol-2-yl]carbonyl]amino]-2-naphthalenyl]carbonyl]-1,2,3,4-tetrahydro-, methyl ester, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



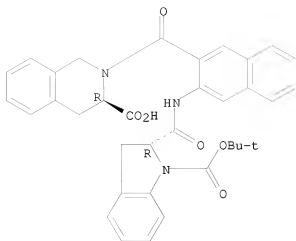
IT 158460-20-9P 158460-21-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 158460-20-9 CAPLUS  
 CN 3-Isoquinolineacetic acid, 2-[[3-[[[1-[(1,1-dimethylethoxy)carbonyl]-2,3-dihydro-1H-indol-2-yl]carbonyl]amino]-2-naphthalenyl]carbonyl]-1,2,3,4-tetrahydro-, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158460-21-0 CAPLUS  
 CN 3-Isoquinolinecarboxylic acid, 2-[[3-[[[1-[(1,1-dimethylethoxy)carbonyl]-2,3-dihydro-1H-indol-2-yl]carbonyl]amino]-2-naphthalenyl]carbonyl]-1,2,3,4-tetrahydro-, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS  
RECORD (14 CITINGS)  
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1990:54475 CAPLUS

DOCUMENT NUMBER: 112:54475

ORIGINAL REFERENCE NO.: 112:9351a,9354a

TITLE: An improved chiral stationary phase for the facile  
separation of enantiomers

AUTHOR(S): Pirkle, William H.; McCune, John E.

CORPORATE SOURCE: Sch. Chem. Sci., Univ. Illinois, Urbana, IL, 61801,  
USA

SOURCE: Journal of Chromatography (1988), 441(2), 311-22  
CODEN: JOCRAM; ISSN: 0021-9673

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A chiral stationary phase (CSP) derived from  
cis-3-(1,1-dimethylethyl)-4-phenyl-2-azetidinone is quite effective for  
the chromatog. separation of the enantiomers of a variety of compds. This CSP  
has two stereogenic centers. For many enantiomers, it exhibits superior  
performance to that of a widely used phenylglycine-derived CSP.

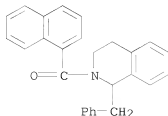
IT 123880-09-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(chromatog. resolution of, azetidinone-derived stationary phase for)

RN 123880-09-1 CAPLUS

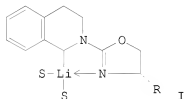
CN Methanone, [3,4-dihydro-1-(phenylmethyl)-2(1H)-isoquinolinyl]-1-  
naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD

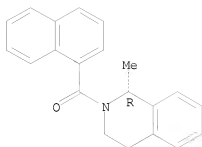
## (8 CITINGS)

L8 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1989:172405 CAPLUS  
 DOCUMENT NUMBER: 110:172405  
 ORIGINAL REFERENCE NO.: 110:28589a,28592a  
 TITLE: Chiral dipole-stabilized anions: experiment and theory in benzylic and allylic systems. Stereoselective deprotonations, pyramidal inversions, and stereoselective alkylations of lithiated (tetrahydroisoquinolyl)oxazolines  
 AUTHOR(S): Rein, Kathleen; Goicoechea-Pappas, Marta; Ankleskar, Tarakeshwar V.; Hart, Georgina C.; Smith, Gregory A.; Gawley, Robert E.  
 CORPORATE SOURCE: Dep. Chem., Univ. Miami, Coral Gables, FL, 33124, USA  
 SOURCE: Journal of the American Chemical Society (1989), 111(6), 2211-17  
 CODEN: JACSAT; ISSN: 0002-7863  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 110:172405  
 GI



AB The mechanism of the stereoselective alkylation of chiral (tetrahydroisoquinolyl)oxazolines was examined. The following details are discussed: the effect of temperature and oxazoline substituent structure on the alkylation diastereoselectivity, a comparison of monodentate vs. bidentate chelation of the organolithium, an evaluation of the effect of solvent and chelating solvent additives, the regiochem. of alkylation of (3,4-dehydropiperidino)oxazolines, lithiation-alkylation expts. on stereoselectively deuterated monodentate and bidentate isoquinolinylloxazolines, and semiempirical MO calcs. on the organolithium diastereomers I (S = solvent mols.). There are two distinct stereoselective processes involved in the overall transformation. The proposed mechanism includes an oxazoline-alkyllithium coordination complex that controls the selectivity of the deprotonation step; the selectivity of the electrophilic quench is governed by Curtin-Hammett kinetics.  
 IT 90133-02-1P 90133-04-3P 119110-19-9P  
 119110-20-2P 119110-21-3P 119110-22-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 90133-02-1 CAPLUS  
 CN Isoquinoline, 1,2,3,4-tetrahydro-1-methyl-2-(1-naphthalenylcarbonyl)-, (R)- (9CI) (CA INDEX NAME)

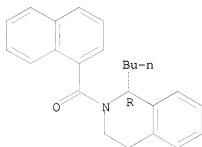
Absolute stereochemistry.



RN 90133-04-3 CAPLUS

CN Isoquinoline, 1-butyl-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-, (R)-  
(9CI) (CA INDEX NAME)

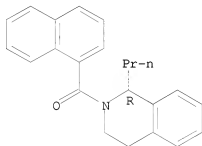
Absolute stereochemistry.



RN 119110-19-9 CAPLUS

CN Isoquinoline, 1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-1-propyl-,  
(R)- (9CI) (CA INDEX NAME)

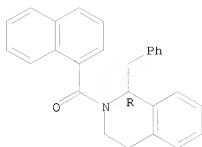
Absolute stereochemistry.



RN 119110-20-2 CAPLUS

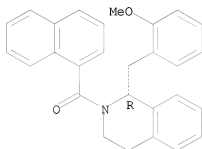
CN Isoquinoline, 1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-1-  
(phenylmethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



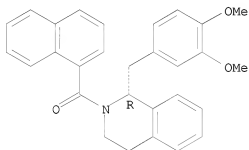
RN 119110-21-3 CAPLUS  
 CN Isoquinoline, 1,2,3,4-tetrahydro-1-[(2-methoxyphenyl)methyl]-2-(1-naphthalenylcarbonyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 119110-22-4 CAPLUS  
 CN Isoquinoline, 1-[(3,4-dimethoxyphenyl)methyl]-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

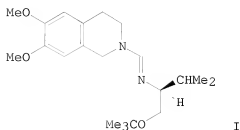


OS.CITING REF COUNT: 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS RECORD (35 CITINGS)

L8 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1988:529406 CAPLUS  
 DOCUMENT NUMBER: 109:129406  
 ORIGINAL REFERENCE NO.: 109:21577a, 21580a  
 TITLE: Asymmetric synthesis of isoquinoline alkaloids  
 AUTHOR(S): Meyers, A. I.; Dickman, Daniel A.; Boes, Michael  
 CORPORATE SOURCE: Dep. Chem., Colorado State Univ., Ft. Collins, CO, 80523, USA



SOURCE: Tetrahedron (1987), 43(21), 5095-108  
 CODEN: TETRAB; ISSN: 0040-4020  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 109:129406  
 GI



AB The use of chiral formamidines affixed to variously substituted tetrahydroisoquinolines, e.g. I, allows asym. C-C bond forming reactions to occur  $\alpha$ - to the amino group. In this manner, a wide variety of (S)-1-alkyl-1,2,3,4-tetrahydroisoquinolines were constructed in >90% enantiomeric excess. Choosing the proper substituents and skeletal features, an efficient entry into the benzyloisoquinoline, tetrahydroprotoberberine, aporphine, and isopavine class of alkaloids was achieved.

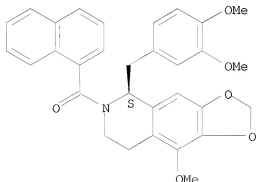
IT 107485-94-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 107485-94-9 CAPLUS

CN 1,3-Dioxolo[4,5-g]isoquinoline, 5-[(3,4-dimethoxyphenyl)methyl]-5,6,7,8-tetrahydro-9-methoxy-6-(1-naphthalenylcarbonyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 37 THERE ARE 37 CAPLUS RECORDS THAT CITE THIS RECORD (38 CITINGS)

L8 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1987:156735 CAPLUS

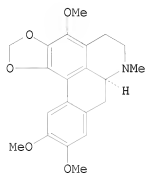
DOCUMENT NUMBER: 106:156735

ORIGINAL REFERENCE NO.: 106:25517a,25520a

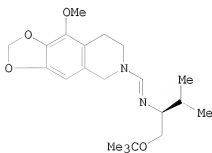
TITLE: An asymmetric synthesis of (+)-ocotene

AUTHOR(S): Dickman, Daniel A.; Meyers, A. I.

CORPORATE SOURCE: Dep. Chem., Colorado State Univ., Fort Collins, CO,  
80523, USA  
SOURCE: Tetrahedron Letters (1986), 27(13), 1465-8  
CODEN: TELEAY; ISSN: 0040-4039  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 106:156735  
GI



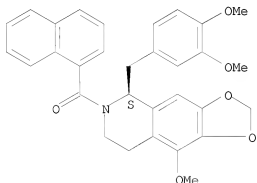
I



II

AB Asym synthesis of (+)-ocoteine (I) was achieved starting from  
2-methoxy-3,4-methylenedioxy- $\beta$ -phenethylamine via benzylation of  
chiral formamidine II with 3,4-(MeO)2C6H3CH2Br.  
IT 107485-94-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 107485-94-9 CAPLUS  
CN 1,3-Dioxolo[4,5-g]isoquinoline, 5-[(3,4-dimethoxyphenyl)methyl]-5,6,7,8-  
tetrahydro-9-methoxy-6-(1-naphthalenylcarbonyl)-, (S)- (9CI) (CA INDEX  
NAME)

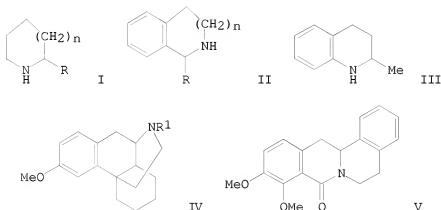
Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)

L8 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1984:423295 CAPLUS  
DOCUMENT NUMBER: 101:23295  
ORIGINAL REFERENCE NO.: 101:3689a,3692a  
TITLE: Chromatographic separation of the enantiomers of  
N-acylated heterocyclic amines

AUTHOR(S): Pirkle, William H.; Welch, Christopher J.; Mahler, George S.; Meyers, A. I.; Fuentes, Lelia M.; Boes, Michael  
 CORPORATE SOURCE: Sch. Chem. Sci., Univ. Illinois, Urbana, IL, 61801, USA  
 SOURCE: Journal of Organic Chemistry (1984), 49(13), 2504-6  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 101:23295  
 GI



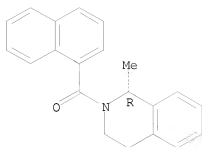
AB Racemic heterocyclic amines were chromatog. resolved as their N- $\alpha$ -naphthoyl derivs. with chiral stationary phases derived from (R)-N-(3,5-dinitrobenzoyl)phenylglycine. Resolved by this technique were, e.g., pyrrolidines I ( $n = 0$ , R = Me, Bu), piperidines I ( $n = 1$ , R = Me, Et, Pr, Bu, Ph), isoindolines II ( $n = 0$ , R = Me, Et), tetrahydroisoquinolines II ( $n = 1$ , R = Me, Bu, MeCH<sub>2</sub>CH<sub>2</sub>, PhCO, PhCH<sub>2</sub>CH<sub>2</sub>), and tetrahydroquinoline III. Morphinan IV (R<sub>1</sub> =  $\alpha$ -naphthoyl) and dibenzoquinolizininone V were also resolved; the latter required no prior derivatization.

IT 90133-02-1P 90133-03-2P 90133-04-3P  
 90133-05-4P 90133-06-5P 90133-07-6P  
 90133-08-7P 90133-09-8P 90133-10-1P  
 90133-11-2P 90192-91-9P 90192-92-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 90133-02-1 CAPLUS

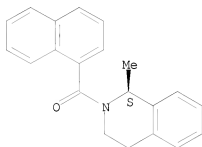
CN Isoquinoline, 1,2,3,4-tetrahydro-1-methyl-2-(1-naphthalenylcarbonyl)-,  
 (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



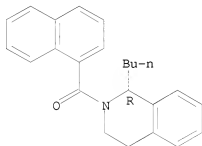
RN 90133-03-2 CAPLUS  
 CN Isoquinoline, 1,2,3,4-tetrahydro-1-methyl-2-(1-naphthalenylcarbonyl)-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



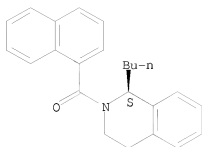
RN 90133-04-3 CAPLUS  
 CN Isoquinoline, 1-butyl-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 90133-05-4 CAPLUS  
 CN Isoquinoline, 1-butyl-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-, (S)- (9CI) (CA INDEX NAME)

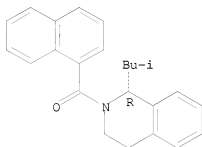
Absolute stereochemistry.



RN 90133-06-5 CAPLUS

CN Isoquinoline, 1,2,3,4-tetrahydro-1-(2-methylpropyl)-2-(1-naphthalenylcarbonyl)-, (R)- (9CI) (CA INDEX NAME)

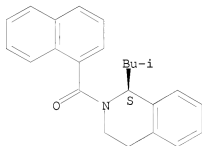
Absolute stereochemistry.



RN 90133-07-6 CAPLUS

CN Isoquinoline, 1,2,3,4-tetrahydro-1-(2-methylpropyl)-2-(1-naphthalenylcarbonyl)-, (S)- (9CI) (CA INDEX NAME)

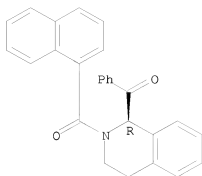
Absolute stereochemistry.



RN 90133-08-7 CAPLUS

CN Isoquinoline, 1-benzoyl-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-, (R)- (9CI) (CA INDEX NAME)

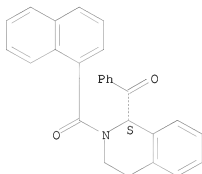
Absolute stereochemistry.



RN 90133-09-8 CAPLUS

CN Isoquinoline, 1-benzoyl-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-, (S)- (9CI) (CA INDEX NAME)

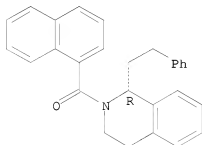
Absolute stereochemistry.



RN 90133-10-1 CAPLUS

CN Isoquinoline, 1-benzoyl-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-1-(2-phenylethyl)-, (R)- (9CI) (CA INDEX NAME)

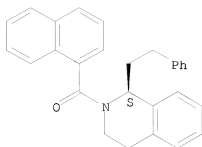
Absolute stereochemistry.



RN 90133-11-2 CAPLUS

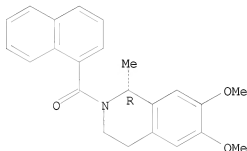
CN Isoquinoline, 1-benzoyl-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)-1-(2-phenylethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



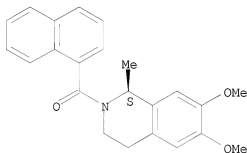
RN 90192-91-9 CAPLUS  
 CN Isoquinoline, 1,2,3,4-tetrahydro-6,7-dimethoxy-1-methyl-2-(1-naphthalenylcarbonyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

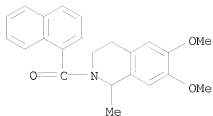


RN 90192-92-0 CAPLUS  
 CN Isoquinoline, 1,2,3,4-tetrahydro-6,7-dimethoxy-1-methyl-2-(1-naphthalenylcarbonyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

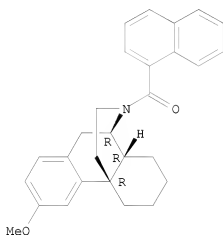


IT 90132-80-2 90147-60-7  
 RL: PROC (Process)  
 (resolution of, by chiral stationary phase chromatog.)  
 RN 90132-80-2 CAPLUS  
 CN Methanone, (3,4-dihydro-6,7-dimethoxy-1-methyl-2(1H)-isoquinolinyl)-1-naphthalenyl- (CA INDEX NAME)



RN 90147-60-7 CAPLUS  
 CN Morphinan, 3-methoxy-17-(1-naphthalenylcarbonyl)-, (±)- (9CI) (CA  
 INDEX NAME)

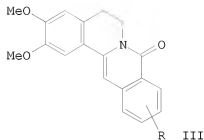
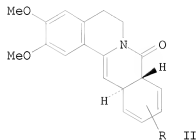
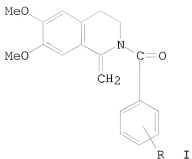
Relative stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
 (4 CITINGS)

L8 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1983:452758 CAPLUS  
 DOCUMENT NUMBER: 99:52758  
 ORIGINAL REFERENCE NO.: 99:8233a,8236a  
 TITLE: Nonoxidative photocyclization of  
 2-aryl-1-methylene-1,2,3,4-tetrahydroisoquinolines  
 AUTHOR(S): Naito, Takeaki; Katsumi, Kotomi; Tada, Yukiko;  
 Ninomiya, Ichiya  
 CORPORATE SOURCE: Kobe Women's Coll. Pharm., Kobe, 658, Japan  
 SOURCE: Heterocycles (1983), 20(5), 775-8  
 CODEN: HTCYAM; ISSN: 0385-5414  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



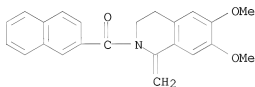


AB Nonoxidative photocyclization of enamides I [R = m-OMe, p-OMe, 3,4-(OMe)<sub>2</sub>] in C<sub>6</sub>H<sub>6</sub> at low temperature gave lactams II, which were readily transformed into the corresponding dehydrolactams III. Similar results were obtained with the N-β-naphthylcarbonyl analog of I.

IT 86425-89-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (nonoxidative photocyclization of)

RN 86425-89-0 CAPLUS

CN Methanone, (3,4-dihydro-6,7-dimethoxy-1-methylene-2(1H)-isoquinolinyl)-2-naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

L8 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1971:99903 CAPLUS

DOCUMENT NUMBER: 74:99903

ORIGINAL REFERENCE NO.: 74:16261a,16264a

TITLE: Hypoglycemic 2-acyl-7-(ureidosulfonyl)-1,2,3,4-tetrahydroisoquinolines

INVENTOR(S): Grell, Wolfgang; Griss, Gerhart; Kleemann, Manfred; Kutter, Eberhard

PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H.

SOURCE: Ger. Offen., 34 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1933388	A	19710121	DE 1969-1933388	19690701
FI 49828	B	19750630	FI 1970-1712	19700617
SE 357745	B	19730709	SE 1970-8771	19700624
SU 399122	A3	19730927	SU 1970-1452672	19700625
RO 56857	A1	19741215	RO 1970-63739	19700625
CH 536842	A	19730629	CH 1970-9824	19700629
BE 752760	A	19701230	BE 1970-752760	19700630
AT 301568	B	19720911	AT 1970-5868	19700630
GB 1313539	A	19730411	GB 1970-31722	19700630
IL 34820	A	19730829	IL 1970-34820	19700630
DK 127928	B	19740204	DK 1970-3389	19700630
NO 132094	B	19750609	NO 1970-2575	19700630
PL 81112	B1	19750830	PL 1970-141708	19700630
NL 7009704	A	19710105	NL 1970-9704	19700701
ZA 7004523	A	19710428	ZA 1970-4523	19700701
FR 2059465	A5	19710604	FR 1970-24368	19700701
FR 2059465	B1	19740322		
RO 62631	A2	19771025	RO 1971-74524	19711208

PRIORITY APPLN. INFO.:

DE 1969-1933388	A	19690701
DE 1970-2027436	A	19700604

GI For diagram(s), see printed CA Issue.

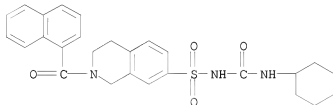
AB The hypoglycemic title compds. (I) were prepared from the corresponding 7-sulfamoyl compds. and R1NCO or from a 7-sulfonylcarbamate and R1NH2. Thus, II (R2 = Ac, X = Cl), prepared from 2-acetyl-1,2,3,4-tetrahydroisoquinoline and ClSO3H, was added to NH4OH to give II (R2 = Ac, X = NH2), which was hydrolyzed with HCl to give II (R2 = H, X = NH2 (III)). Reaction of III with PhCH2CH2COCl gave II (R2 = PhCH2CH2CO, X = NH2), which reacted with cyclohexyl isocyanate in PhNO2 to give I (R = PhCH2CH2, R1 = cyclohexyl). Among .apprx.40 I prepared were (R and R1 given): p-MeC6H4, cyclohexyl; Ph2CHCH2, cyclohexyl; EtPhCH, cyclohexyl; PhCH2CH2, Bu; PhCH2CH2, 1-adamantyl; PhCH2CH2, cycloheptyl.

IT 31398-54-6P 31581-46-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

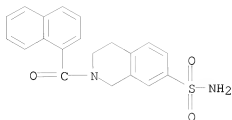
RN 31398-54-6 CAPLUS

CN 7-Isoquinolinesulfonamide, N-[(cyclohexylamino)carbonyl]-1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)- (CA INDEX NAME)



RN 31581-46-1 CAPLUS

CN 7-Isoquinolinesulfonamide, 1,2,3,4-tetrahydro-2-(1-naphthalenylcarbonyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
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=>

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NEWS 4	APR 02	DWPI: New display format ALLSTR available
NEWS 5	APR 02	New Thesaurus Added to Derwent Databases for Smooth Sailing through U.S. Patent Codes
NEWS 6	APR 02	EMBASE Adds Unique Records from MEDLINE, Expanding Coverage back to 1948
NEWS 7	APR 07	CA/Caplus CLASS Display Streamlined with Removal of Pre-IPC 8 Data Fields
NEWS 8	APR 07	50,000 World Traditional Medicine (WTM) Patents Now Available in Caplus
NEWS 9	APR 07	MEDLINE Coverage Is Extended Back to 1947
NEWS 10	JUN 16	WPI First View (File WPIFV) will no longer be available after July 30, 2010
NEWS 11	JUN 18	DWPI: New coverage - French Granted Patents
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NEWS 13	JUN 18	IPC codes have been added to the INSPEC backfile (1969-2009)
NEWS 14	JUN 21	Removal of Pre-IPC 8 data fields streamline displays in CA/Caplus, CASREACT, and MARPAT
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NEWS 16	JUN 28	Introducing "CAS Chemistry Research Report": 40 Years of Biofuel Research Reveal China Now Atop U.S. in Patenting and Commercialization of Bioethanol
NEWS 17	JUN 29	Enhanced Batch Search Options in dGENE, USGENE,

and PCTGEN  
NEWS 18 JUL 19 Enhancement of citation information in INPADOC  
databases provides new, more efficient competitor  
analyses  
NEWS 19 JUL 26 CAS coverage of global patent authorities has  
expanded to 61 with the addition of Costa Rica  
NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,  
AND CURRENT DISCOVER FILE IS DATED 07 JULY 2010.  
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FULL ESTIMATED COST	0.22	0.22

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STRUCTURE FILE UPDATES: 16 AUG 2010 HIGHEST RN 1236252-88-2  
DICTIONARY FILE UPDATES: 16 AUG 2010 HIGHEST RN 1236252-88-2

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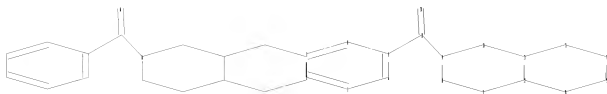
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predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\STNEXP\Queries\10-542,759-2 isoquinoline open phenyl.str



```

chain nodes :
17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
5-17 9-17 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-16
13-14 14-15 15-16
exact/norm bonds :
7-8 7-12 8-9 9-10 9-17 10-11 11-12 11-13 12-16 13-14 14-15 15-16 17-18
exact bonds :
5-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

```

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS

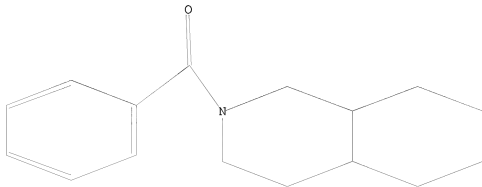
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sss sam
SAMPLE SEARCH INITIATED 20:56:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 81227 TO ITERATE
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2.5% PROCESSED 2000 ITERATIONS 6 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH **COMPLETE**
PROJECTED ITERATIONS: 1607559 TO 1641521
PROJECTED ANSWERS: 3937 TO 5809
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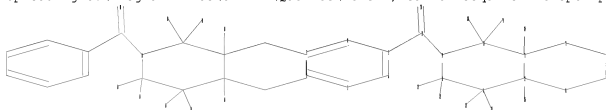
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L2 6 SEA SSS SAM L1
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=> s l1 sss full
FULL SEARCH INITIATED 20:56:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1629323 TO ITERATE
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100.0% PROCESSED 1629323 ITERATIONS 8732 ANSWERS
SEARCH TIME: 00.00.04
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L3 8732 SEA SSS FUL L1
```

```
=>
Uploading C:\Program Files\STNEXP\Queries\10-542,759-2a isoquinoline open phenyl.str
```



```
chain nodes :
17 18 19 20 21 22 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
5-17 7-23 7-24 8-21 8-22 9-17 10-19 10-20 11-25 12-26 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-16
13-14 14-15 15-16
exact/norm bonds :
7-8 7-12 8-9 9-10 9-17 10-11 11-12 11-13 12-16 13-14 14-15 15-16 17-18
exact bonds :
5-17 7-23 7-24 8-21 8-22 10-19 10-20 11-25 12-26
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
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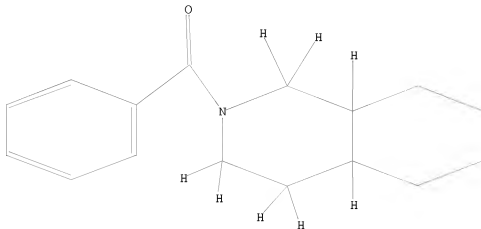
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS
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L4 STRUCTURE UPLOADED

=> d l4

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4 sss sam

SAMPLE SEARCH INITIATED 21:01:36 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 81227 TO ITERATE

2.5% PROCESSED 2000 ITERATIONS 0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1607559 TO 1641521  
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s l4 sss full

FULL SEARCH INITIATED 21:01:46 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1629323 TO ITERATE

100.0% PROCESSED 1629323 ITERATIONS 524 ANSWERS  
SEARCH TIME: 00.00.04

L6 524 SEA SSS FUL L4

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
387.00	387.22

FULL ESTIMATED COST

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FILE LAST UPDATED: 16 Aug 2010 (20100816/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16

L7 57 L6

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 57 ANSWERS - CONTINUE? Y/(N):y

L7 ANSWER 1 OF 57 CAPLUS COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 2009:1590349 CAPLUS

DOCUMENT NUMBER: 152:278601

TITLE: Novel sulfamoyl benzamides as selective CB2 agonists with improved in vitro metabolic stability

AUTHOR(S): Sellitto, Ian; Le Bourdonnec, Bertrand; Worm, Karin; Goodman, Allan; Savolainen, Markku A.; Chu, Guo-Hua; Ajello, Christopher W.; Saeui, Christopher T.; Leister, Lara K.; Cassel, Joel A.; DeHaven, Robert N.; LaBuda, Christopher J.; Koblish, Michael; Little, Patrick J.; Brogdon, Bernice L.; Smith, Steven A.; Dolle, Roland E.

CORPORATE SOURCE: Department of Chemistry, Adolor Corporation, Exton, PA, 19341, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2010), 20(1), 387-391  
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

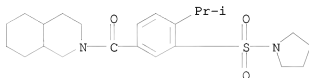
OTHER SOURCE(S): CASREACT 152:278601

AB A lead optimization campaign in our previously reported sulfamoyl benzamide class of CB2 agonists was conducted to improve the in vitro metabolic stability profile in this series while retaining high potency and selectivity for the CB2 receptor. From this study, compound 14, N-(3,4-dimethyl-5-(morpholinosulfonyl)phenyl)-2,2-dimethylbutanamide, was



identified as a potent and selective CB2 agonist exhibiting moderate in vitro metabolic stability and oral bioavailability. Compound 14 demonstrated in vivo efficacy in a rat model of post-surgical pain.

IT 1021298-22-5  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (sulfamoyl benzamides preparation as selective CB2 agonists with improved in vitro metabolic stability)  
 RN 1021298-22-5 CAPLUS  
 CN Methanone, [4-(1-methylethyl)-3-(1-pyrrolidinylsulfonyl)phenyl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 57 CAPLUS COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 2009:1329504 CAPLUS

DOCUMENT NUMBER: 151:508598

TITLE: Novel derivatives of benzimidazole and imidazo-pyridine as MCR receptors modulators and their preparation, pharmaceutical compositions and use in the treatment of MC4R

INVENTOR(S): Poitout, Lydie; Brault, Valerie; Sackur, Carole; Pierre, Roubert; Plas, Pascale

PATENT ASSIGNEE(S): Societe de Conseils de Recherches Et, Fr.

SOURCE: U.S. Pat. Appl. Publ., 206pp., Cont.-in-part of U.S. Ser. No. 504,033.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

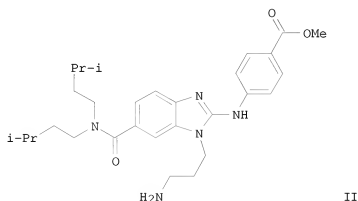
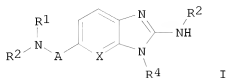
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090270372	A1	20091029	US 2009-356964	20090121
FR 2851563	A1	20040827	FR 2003-2320	20030226
FR 2851563	B1	20050422		
WO 2004075823	A2	20040910	WO 2004-FR418	20040225
WO 2004075823	A3	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NG, NH, NL, NO, NZ, OC, OM, OS, PA, PE, PG, PH, PK, PL, PT, PW, PY, RE, RO, RU, RW, SA, SB, SC, SD, SE, SG, SH, SI, SK, SL, SM, SN, SR, SS, ST, SV, SW, SY, TD, TE, TG, TH, TJ, TK, TL, TM, TN, TR, TT, TV, TW, TZ, UA, UG, UJ, UM, UN, US, UY, UZ, VC, VE, VG, VI, VN, VU, WJ, WF, WI, WO, WS, WU, WY, XA, XB, XC, XD, XE, XF, XG, XH, XI, XJ, XK, XL, XM, XN, XO, XP, XQ, XR, XS, XT, XU, XV, XW, XX, XY, XZ, YD, YE, YF, YG, YH, YI, YJ, YK, YL, YM, YN, YO, YP, YQ, YR, YS, YT, YU, YV, YW, YY, ZA, ZB, ZC, ZD, ZE, ZF, ZG, ZH, ZI, ZJ, ZK, ZL, ZM, ZN, ZO, ZP, ZQ, ZR, ZS, ZT, ZU, ZV, ZW, ZZ				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050065179	A1	20050324	US 2004-915920	20040811
US 7501524	B2	20090310		
US 20050267147	A1	20051201	US 2004-504033	20040928
US 7355052	B2	20080408		

PRIORITY APPLN. INFO.: FR 2003-2320 A 20030226  
 WO 2004-FR418 W 20040225

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 151:508598  
 GI



AB A subject of the application is derivs. of benzimidazole and imidazopyridine of formula I, which have a good affinity for certain sub-types of melanocortin receptors, in particular the MC4 receptors. They are particularly useful for treating pathol. conditions and diseases in which one or more melanocortin receptors are involved. The invention also relates to pharmaceutical compns. containing said products. Compds. of formula I wherein A is CO, COCRAb; Ra and Rb are independently H, and C1-6 alkyl; R1 is H, (un)substituted C1-8 alkyl, (un)substituted C1-8 alkoxy, etc.; R2 is (un)substituted C1-8 alkoxy, C2-6 alkenyl, and (CH2)0-6-adamantyl, etc.; X is CH; R3 is C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, etc.; R4 is (CH2)0-4-R'4; R'4 is guanidine, heterocycloalkyl, aralkyl, etc.; and racemic and enantiomeric forms or any combination of these forms, and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their MC4R modulatory activity.

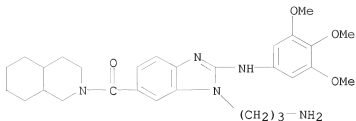
IT 746660-21-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole and imidazopyridine derivs. as MC4 receptor modulators useful in treatment of MC4R-mediated diseases)

RN 746660-21-9 CAPLUS

CN Methanone, [1-(3-aminopropyl)-2-[(3,4,5-trimethoxyphenyl)amino]-1H-benzimidazol-6-yl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
(6 CITINGS)

L7 ANSWER 3 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1119833 CAPLUS

DOCUMENT NUMBER: 151:417502

TITLE: Discovery of 1-[4-(3-Chlorophenylamino)-1-methyl-1H-pyrrolo[3,2-c]pyridin-7-yl]-1-morpholin-4-ylmethanone (GSK554418A), a Brain Penetrant 5-Azaindole CB2 Agonist for the Treatment of Chronic Pain

AUTHOR(S): Giblin, Gerard M. P.; Billinton, Andrew; Briggs, Michael; Brown, Andrew J.; Chessell, Iain P.; Clayton, Nick M.; Eatherton, Andrew J.; Goldsmith, Paul; Haslam, Carl; Johnson, Matthew R.; Mitchell, William L.; Naylor, Alan; Perboni, Alcide; Slingsby, Brian P.; Wilson, Alex W.

CORPORATE SOURCE: Neurosciences CEDD, GlaxoSmithKline, Essex, CM19 5AW, UK

SOURCE: Journal of Medicinal Chemistry (2009), 52(19), 5785-5788

CODEN: JMCMAR; ISSN: 0022-2623

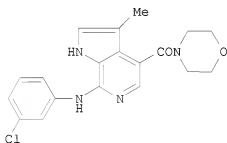
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

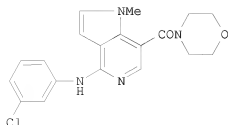
LANGUAGE: English

OTHER SOURCE(S): CASREACT 151:417502

GI



I



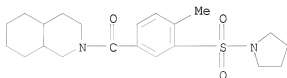
II

AB We report the synthesis and SAR of a series of novel azaindole CB2 agonists. 6-Azaindole 18 (I) showed activity in an acute pain model but was inactive in a chronic model. 18 Is a Pgp substrate with low brain penetration. The template was redesigned, and the resulting 5-azaindole 36 (II) was a potent CB2 agonist with high CNS penetration. This compound was efficacious in the acute model and the chronic joint pain model.

IT 1021298-13-4  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (discovery of 1-[4-(3-chlorophenylamino)-1-methyl-1H-pyrrolo[3,2-c]pyridin-7-yl]-1-morpholin-4-ylmethanone (GSK54418A), a brain penetrant 5-Azaindole CB2 agonist for the treatment of chronic pain)

RN 1021298-13-4 CAPLUS

CN Methanone, [4-methyl-3-(1-pyrrolidinylsulfonyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 57 CAPLUS COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 2009:6177 CAPLUS

DOCUMENT NUMBER: 150:274891

TITLE: CB2 selective sulfamoyl benzamides: Optimization of the amide functionality

AUTHOR(S): Goodman, Allan J.; Ajello, Christopher W.; Worm, Karin; Le Bourdonnec, Bertrand; Savolainen, Markku A.; O'Hare, Heather; Cassel, Joel A.; Stabley, Gabriel J.; De Haven, Robert N.; La Buda, Christopher J.; Koblish, Michael; Little, Patrick J.; Brogdon, Bernice L.; Smith, Steven A.; Dolle, Roland E.

CORPORATE SOURCE: Department of Chemistry, Adolor Corporation, Exton, PA, 19341, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(2), 309-313

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 150:274891

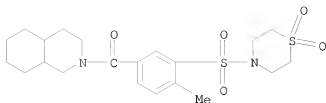
AB Previous research within our labs. identified sulfamoyl benzamides as novel cannabinoid receptor ligands. Optimization of the amide linkage led to the reverse amide 40. The compound exhibited robust antiallodynic activity in a rodent pain model when administered i.p. Efficacy after oral administration was observed only when ABT, a cytochrome P 450 suicide inhibitor, was coadministered.

IT 1046270-77-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (CB2 selective sulfamoyl benzamides: optimization of amide functionality)

RN 1046270-77-2 CAPLUS

CN Methanone, [3-[(1,1-dioxido-4-thiomorpholinyl)sulfonyl]-4-methylphenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
(6 CITINGS)  
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:5978 CAPLUS

DOCUMENT NUMBER: 150:136095

TITLE: Pyridine-3-carboxamides as novel CB2 agonists for  
analgesia

AUTHOR(S): Mitchell, William L.; Giblin, Gerard M. P.; Naylor,  
Alan; Eatherton, Andrew J.; Slingsby, Brian P.;  
Rawlings, Anthony D.; Jandu, Karamjit S.; Haslam, Carl  
P.; Brown, Andrew J.; Goldsmith, Paul; Clayton, Nick  
M.; Wilson, Alex W.; Chessell, Iain P.; Green, Richard  
H.; Whittington, Andrew R.; Wall, Ian D.

CORPORATE SOURCE: Neurosciences Centre of Excellence for Drug Discovery,  
GlaxoSmithKline PLC, Harlow, Essex, CM19 5AW, UK  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2009),  
19(1), 259-263

CODEN: BMCLE8; ISSN: 0960-894X

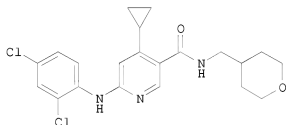
PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 150:136095

GI



I

AB We describe herein the medicinal chemical approach which led to the discovery  
of a novel pyridine-3-carboxamide series of CB2 receptor agonists. The  
SAR of this new template was evaluated and culminated in the  
identification of analog 14a (I) which demonstrated efficacy in an in vivo  
model of inflammatory pain.

IT 1021298-13-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

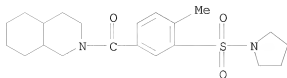
(Biological study); USES (Uses)

(Pyridine-3-carboxamides as novel CB2 agonists for analgesia)

RN 1021298-13-4 CAPLUS

CN Methanone, [4-methyl-3-(1-pyrrolidinylsulfonyl)phenyl](octahydro-2(1H)-

isoquinolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)  
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1476533 CAPLUS

DOCUMENT NUMBER: 150:35377

TITLE: Benzamide derivatives as mGluR5 positive allosteric  
modulators and their preparation, pharmaceutical  
compositions and use in the treatment of diseases  
INVENTOR(S): Conn, P. Jeffrey; Lindsley, Craig W.; Weaver, Charles  
David; Rodriguez, Alice L.; Niswender, Colleen M.;  
Jones, Carrie K.; Williams, Richard

PATENT ASSIGNEE(S): Vanderbilt University, USA

SOURCE: PCT Int. Appl., 324pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

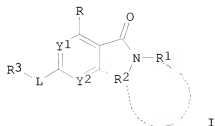
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

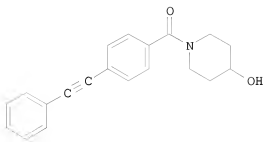
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008151184	A1	20081211	WO 2008-US65647	20080603
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2008259776	A1	20081211	AU 2008-259776	20080603
AU 2008259776	A2	20100128		
CA 2689282	A1	20081211	CA 2008-2689282	20080603
US 20090042855	A1	20090212	US 2008-132289	20080603
EP 2162136	A1	20100317	EP 2008-770045	20080603
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS				
KR 2010033981	A	20100331	KR 2009-727281	20080603
CN 101795689	A	20100804	CN 2008-80100770	20080603
US 20090270362	A1	20091029	US 2008-263224	20081031
MX 2009013169	A	20100430	MX 2009-13169	20091203
IN 2009DN08495	A	20100716	IN 2009-DN8495	20091224
PRIORITY APPLN. INFO.:			US 2007-941686P	P 20070603

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 150:35377  
 GI



I



II

AB The invention relates to compds. of formula I, which are useful as pos. allosteric modulators of the metabotropic glutamate receptor subtype 5 (mGluR5), to methods for making the compds., to pharmaceutical compns. comprising the compds., and to methods of treating neurol. and psychiatric disorders associated with glutamate dysfunction using the compds. and compns. Compds. of formula I wherein dotted line is an optional covalent bond; Y1 and Y2 are independently N and (un)substituted CH; R1 and R2 are independently H and (un)substituted C1-12 organic radical; R3 is (un)substituted C4-14 organic radical; L is C1-7 organic radical, ethynyl, (un)substituted (hetero)cyclic ring, 1,2,4-oxadiazolyl, and amido; and their pharmaceutically acceptable salts and N-oxides thereof, are claimed. Example compound II was prepared by amidation of 4(phenylethynyl)benzoic acid with 4-hydroxypiperidine. All the invention compds. were evaluated for their mGluR5 pos. allosteric modulating activity. From the assay, it was determined that II exhibited an EC50 value of 1.43E-08 nM.

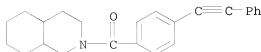
IT 1092551-26-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(drug candidate; preparation of benzamide derivs. as mGluR5 pos. allosteric modulators useful in the treatment of diseases)

RN 1092551-26-2 CAPLUS

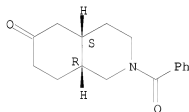
CN Methanone, (octahydro-2(1H)-isoquinolinyl) [4-(2-phenylethynyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2008:1384638 CAPLUS  
DOCUMENT NUMBER: 149:532793  
TITLE: Synthesis of cis-4a(S),8a(R)-perhydro-6(2H)-  
isoquinolinones from quinine:  
4a(S),8a(R)-2-benzoyloctahydro-6(2H)-isoquinolinone  
AUTHOR(S): Hutchinson, Darrell R.; Khau, Vien V.; Martinelli,  
Michael J.; Nayyar, Naresh K.; Peterson, Barry C.;  
Sullivan, Keven A.  
CORPORATE SOURCE: Lilly Res. Lab., Indianapolis, IN, USA  
SOURCE: Organic Syntheses (1998), 75, No pp. given  
CODEN: OSRYAV  
URL: <http://www3.interscience.wiley.com/cgi-bin/mrwhome/104554793/HOME>  
PUBLISHER: John Wiley & Sons, Inc.  
DOCUMENT TYPE: Journal; General Review; (online computer file)  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 149:532793  
AB A review of the article Synthesis of  
cis-4a(S),8a(R)-perhydro-6(2H)-isoquinolinones from quinine:  
4a(S),8a(R)-2-benzoyloctahydro-6(2H)-isoquinolinone.  
IT 52390-26-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(Synthesis of cis-4a(S),8a(R)-perhydro-6(2H)-isoquinolinones from  
quinine: 4a(S),8a(R)-2-benzoyloctahydro-6(2H)-isoquinolinone)  
RN 52390-26-8 CAPLUS  
CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aS,8aR)- (CA INDEX NAME)

Absolute stereochemistry.

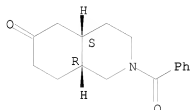


L7 ANSWER 8 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2008:1383594 CAPLUS  
DOCUMENT NUMBER: 149:555100  
TITLE: The Baeyer-Villiger oxidation of ketones and aldehydes  
AUTHOR(S): Krow, Grant R.  
CORPORATE SOURCE: Temple Univ., Philadelphia, PA, USA  
SOURCE: Organic Reactions (Hoboken, NJ, United States) (1993),  
43, No pp. given  
CODEN: ORHNBA  
URL: <http://www3.interscience.wiley.com/cgi-bin/mrwhome/107610747/HOME>  
PUBLISHER: John Wiley & Sons, Inc.  
DOCUMENT TYPE: Journal; General Review; (online computer file)  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 149:555100  
AB A review of the article The Baeyer-Villiger oxidation of ketones and



aldehydes.  
 IT 52390-26-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (The Baeyer-Villiger Oxidation of Ketones and Aldehydes)  
 RN 52390-26-8 CAPLUS  
 CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aS,8aR)- (CA INDEX NAME)

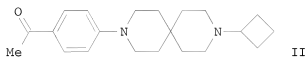
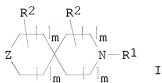
Absolute stereochemistry.



L7 ANSWER 9 OF 57 CAPLUS COPYRIGHT 2010 ACS ON STN  
 ACCESSION NUMBER: 2008:1223105 CAPLUS  
 DOCUMENT NUMBER: 149:448228  
 TITLE: Preparation of substituted azaspiro derivatives as  
 histamine H3 receptors modulators  
 INVENTOR(S): Xu, Yuelian; Caldwell, Timothy M.; Xie, Linghong;  
 Chenard, Bertrand L.  
 PATENT ASSIGNEE(S): Neurogen Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 97 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080247964	A1	20081009	US 2007-745448	20070507
AU 2007249925	A1	20071122	AU 2007-249925	20070508
CA 2651654	A1	20071122	CA 2007-2651654	20070508
WO 2007133561	A3	20081002	WO 2007-US11135	20070508
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AP, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, EA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, EP, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, OA, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 2021004	A2	20090211	EP 2007-756232	20070508
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009536651	T	20091015	JP 2009-509820	20070508
IN 2008DN09302	A	20090612	IN 2008-DN9302	20081106
KR 2009015956	A	20090212	KR 2008-729820	20081205
CN 101466375	A	20090624	CN 2007-80021760	20081211
PRIORITY APPLN. INFO.:			US 2006-746680P	P 20060508

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 149:448228  
 GI



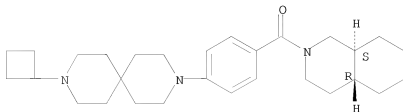
AB The title compds. I [Z = CHR3 or NR4; R1 = alkyl, alkenyl, cycloalkylalkyl, etc.; R2 = alkyl, haloalkyl; R3 = alkyl, alkoxy, alkylthio, etc.; R4 = alkyl, alkenyl, alkylsulfonyl, etc.; each m = 0-3] which may be used to modulate ligand binding to histamine H3 receptors in vivo or in vitro, and are particularly useful in the treatment of a variety of central nervous system (CNS) and other disorders in humans, domesticated companion animals and livestock animals, were prepared E.g., a multi-step synthesis of II, starting from Et cyanoacetate and 1-benzyl-4-piperidone, was given. All over three-hundred compds. I listed in tables showed Ki of < 1  $\mu$ M when tested in chimeric human H3 receptor GTP binding assay. Compds. I may be administered alone or in combination with one or more other CNS agents to potentiate the effects of the other CNS agent(s). Pharmaceutical compns. and methods for treating such disorders are provided, as are methods for using such ligands for detecting histamine H3 receptors (e.g., receptor localization studies).

IT 1067896-91-6P 1067896-93-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted azaspiro derivs. as histamine H3 receptors modulators)

RN 1067896-91-6 CAPLUS

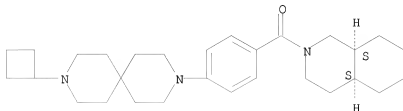
CN Methanone, [4-(9-cyclobutyl-3,9-diazaspiro[5.5]undec-3-yl)phenyl] [(4aR,8aS)-octahydro-2(1H)-isoquinoliny]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1067896-93-8 CAPLUS  
 CN Methanone, [4-(9-cyclobutyl-3,9-diazaspiro[5.5]undec-3-yl)phenyl] [(4aS,8aS)-octahydro-2(1H)-isoquinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

L7 ANSWER 10 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:974346 CAPLUS

DOCUMENT NUMBER: 149:259468

TITLE: Arylsulfonamide compounds which modulate the CB2 receptor

INVENTOR(S): Thomson, David; Riether, Doris; Zindell, Renee M.; Hickey, Eugene Richard; Ermann, Monika; Jenkins, James Edward; Mushi, Innocent; Taylor, Malcolm; Amouzegh, Patricia; Walker, Edward

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.

SOURCE: PCT Int. Appl., 98pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

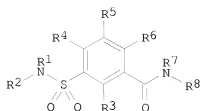
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008098025	A1	20080814	WO 2008-US53117	20080206
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

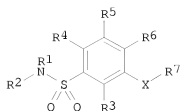
PRIORITY APPLN. INFO.: US 2007-888830P P 20070208

OTHER SOURCE(S): MARPAT 149:259468

GI



I



II

AB Compds. are provided which bind to and are agonists, antagonists or inverse agonists of the CB2 receptor, the compds. having the general formula (I) and the formula (II) wherein, R1, R2, R3, R4, R5, R6, R7, R8 and X have the meanings given in the specification, and the preparation and use thereof. The compds. are valuable CB2 receptor modulators.

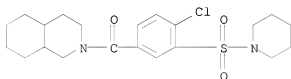
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(arylsulfonamide compds. which modulate the cb2 receptor)

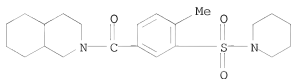
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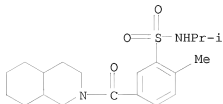
RN 1021298-08-7 CAPLUS

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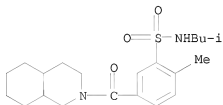
RN 1021298-11-2 CAPLUS

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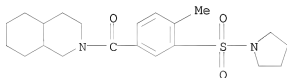
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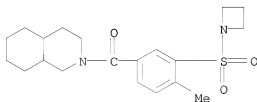
RN 1021298-13-4 CAPLUS

CN Methanone, [4-methyl-3-(1-pyrrolidinylsulfonyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



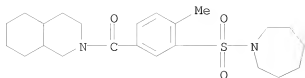
RN 1021298-14-5 CAPLUS

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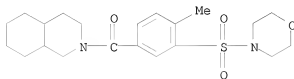


RN 1021298-15-6 CAPLUS

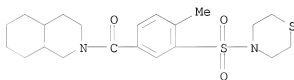
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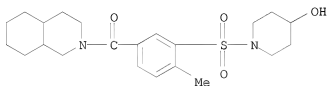
RN 1021298-16-7 CAPLUS  
 CN Methanone, [4-methyl-3-(4-morpholinylsulfonyl)phenyl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



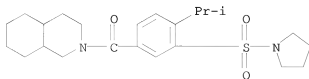
RN 1021298-17-8 CAPLUS  
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RN 1021298-19-0 CAPLUS  
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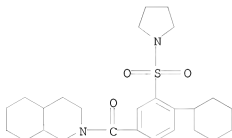


RN 1021298-22-5 CAPLUS  
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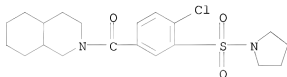
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CN Methanone, [4-cyclohexyl-3-(1-pyrrolidinylsulfonyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



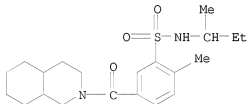
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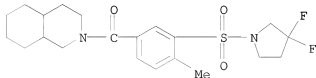
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CN Benzenesulfonamide, 2-methyl-N-(1-methylpropyl)-5-[(octahydro-2(1H)-isoquinolinyl)carbonyl]- (CA INDEX NAME)



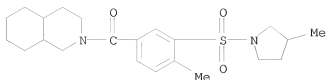
RN 1046270-70-5 CAPLUS

CN Methanone, [3-[(3,3-difluoro-1-pyrrolidinyl)sulfonyl]-4-methylphenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



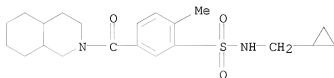
RN 1046270-71-6 CAPLUS

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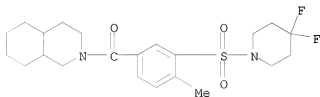
RN 1046270-72-7 CAPLUS

CN Benzenesulfonamide, N-(cyclopropylmethyl)-2-methyl-5-[(octahydro-2(1H)-isoquinolinyl)carbonyl]- (CA INDEX NAME)



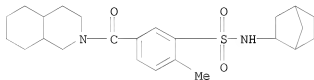
RN 1046270-73-8 CAPLUS

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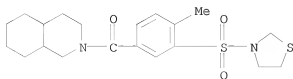
RN 1046270-74-9 CAPLUS

CN Benzenesulfonamide, N-bicyclo[2.2.1]hept-2-yl-2-methyl-5-[(octahydro-2(1H)-isoquinolinyl)carbonyl]- (CA INDEX NAME)



RN 1046270-75-0 CAPLUS

CN Methanone, [4-methyl-3-(3-thiazolidinylsulfonyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)

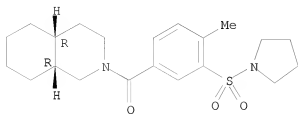


RN 1046270-76-1 CAPLUS



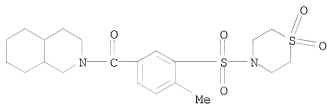
CN Methanone, [4-methyl-3-(1-pyrrolidinylsulfonyl)phenyl][(4aR,8aR)-octahydro-2(1H)-isoquinoliny]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1046270-77-2 CAPLUS

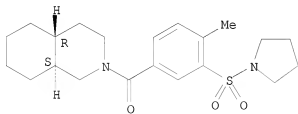
CN Methanone, [3-[(1,1-dioxido-4-thiomorpholinyl)sulfonyl]-4-methylphenyl](octahydro-2(1H)-isoquinoliny)- (CA INDEX NAME)



RN 1046270-78-3 CAPLUS

CN Methanone, [4-methyl-3-(1-pyrrolidinylsulfonyl)phenyl][(4aR,8aS)-octahydro-2(1H)-isoquinoliny]- (CA INDEX NAME)

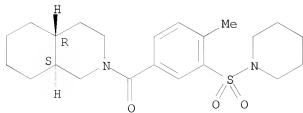
Absolute stereochemistry.



RN 1046270-79-4 CAPLUS

CN Methanone, [4-methyl-3-(1-piperidinylsulfonyl)phenyl][(4aR,8aS)-octahydro-2(1H)-isoquinoliny]- (CA INDEX NAME)

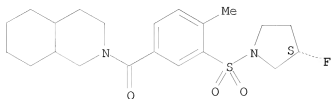
Absolute stereochemistry.



RN 1046270-80-7 CAPLUS

CN Methanone, [3-[(3S)-3-fluoro-1-pyrrolidinyl]sulfonyl]-4-methylphenyl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)

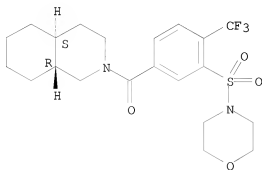
Absolute stereochemistry.



RN 1046270-81-8 CAPLUS

CN Methanone, [3-(4-morpholinylsulfonyl)-4-(trifluoromethyl)phenyl] [(4aS,8aR)-octahydro-2(1H)-isoquinolinyl]- (CA INDEX NAME)

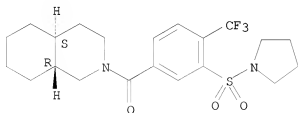
Absolute stereochemistry.



RN 1046270-82-9 CAPLUS

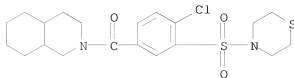
CN Methanone, [(4aS,8aR)-octahydro-2(1H)-isoquinolinyl] [3-(1-pyrrolidinylsulfonyl)-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1046270-83-0 CAPLUS

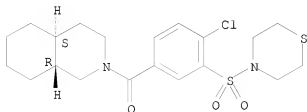
CN Methanone, [4-chloro-3-(4-thiomorpholinylsulfonyl)phenyl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



RN 1046270-84-1 CAPLUS

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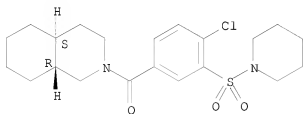
Absolute stereochemistry.



RN 1046270-85-2 CAPLUS

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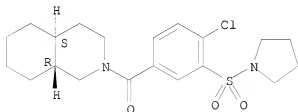
Absolute stereochemistry.



RN 1046270-86-3 CAPLUS

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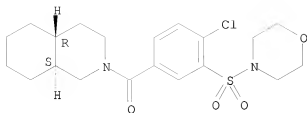
Absolute stereochemistry.



RN 1046270-87-4 CAPLUS

CN Methanone, [4-chloro-3-(4-morpholinylsulfonyl)phenyl][(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]- (CA INDEX NAME)

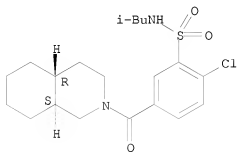
Absolute stereochemistry.



RN 1046270-88-5 CAPLUS

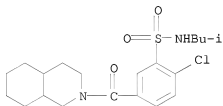
CN Benzenesulfonamide, 2-chloro-N-(2-methylpropyl)-5-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



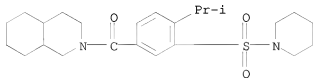
RN 1046270-89-6 CAPLUS

CN Benzenesulfonamide, 2-chloro-N-(2-methylpropyl)-5-[(octahydro-2(1H)-isoquinolinyl)carbonyl]- (CA INDEX NAME)



RN 1046270-90-9 CAPLUS

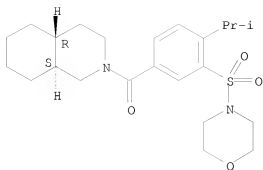
CN Methanone, [4-(1-methylethyl)-3-(1-piperidinylsulfonyl)phenyl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



RN 1046270-91-0 CAPLUS

CN Methanone, [4-(1-methylethyl)-3-(4-morpholinylsulfonyl)phenyl] [(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]- (CA INDEX NAME)

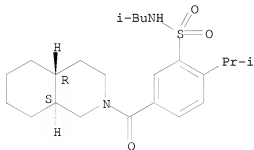
Absolute stereochemistry.



RN 1046270-92-1 CAPLUS

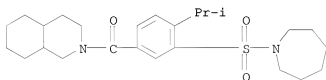
CN Benzenesulfonamide, 2-(1-methylethyl)-N-(2-methylpropyl)-5-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



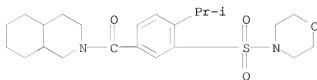
RN 1046270-93-2 CAPLUS

CN Methanone, [3-[(hexahydro-1H-azepin-1-yl)sulfonyl]-4-(1-methylethyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



RN 1046270-94-3 CAPLUS

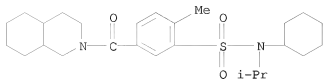
CN Methanone, [4-(1-methylethyl)-3-(4-morpholinylsulfonyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



RN 1046270-95-4 CAPLUS

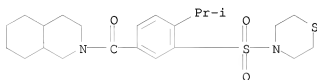
CN Benzenesulfonamide, N-cyclohexyl-2-methyl-N-(1-methylethyl)-5-[(octahydro-

2(1H)-isoquinoliny]carbonyl]- (CA INDEX NAME)



RN 1046270-96-5 CAPLUS

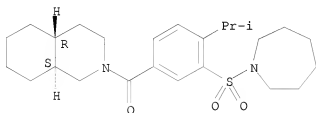
CN Methanone, [4-(1-methylethyl)-3-(4-thiomorpholinylsulfonyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



RN 1046270-97-6 CAPLUS

CN Methanone, [3-[(hexahydro-1H-azepin-1-yl)sulfonyl]-4-(1-methylethyl)phenyl] [(4aR, 8aS)-octahydro-2(1H)-isoquinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.



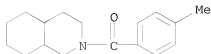
IT 1046271-25-3P 1046271-26-4P 1046271-27-5P

1046271-28-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(arylsulfonamide compds. which modulate the cb2 receptor)

RN 1046271-25-3 CAPLUS

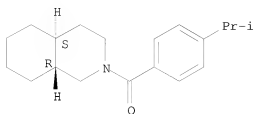
CN Methanone, (4-methylphenyl)(octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



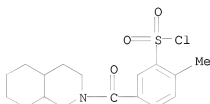
RN 1046271-26-4 CAPLUS

CN Methanone, [4-(1-methylethyl)phenyl] [(4aS, 8aR)-octahydro-2(1H)-isoquinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.

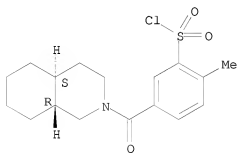


RN 1046271-27-5 CAPLUS  
CN Benzenesulfonyl chloride, 2-methyl-5-[(octahydro-2(1H)-  
isoquinolinyl)carbonyl]- (CA INDEX NAME)



RN 1046271-28-6 CAPLUS  
CN Benzenesulfonyl chloride, 2-methyl-5-[[ (4aS,8aR)-octahydro-2(1H)-  
isoquinolinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:708755 CAPLUS

DOCUMENT NUMBER: 149:53994

TITLE: Preparation of benzimidazoles and imidazopyridines  
having affinity for melanocortin (MC), in particular  
MC4<sub>1</sub> receptors

INVENTOR(S): Poitout, Lydie; Brault, Valerie; Sackur, Carole;  
Roubert, Pierre; Plas, Pascale

PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications  
(S.C.R.A.S.), Fr.

SOURCE: U.S. Pat. Appl. Publ., 204pp., Cont.-in-part of U.S.  
Ser. No. 504,033.

DOCUMENT TYPE: CODEN: USXXCO  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: 4 English  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080139619	A1	20080612	US 2008-12184	20080131
US 7501525	B2	20090310		
FR 2851563	A1	20040827	FR 2003-2320	20030226
FR 2851563	B1	20050422		
WO 2004075823	A2	20040910	WO 2004-FR418	20040225
WO 2004075823	A3	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050065179	A1	20050324	US 2004-915920	20040811
US 7501524	B2	20090310		
US 20050267147	A1	20051201	US 2004-504033	20040928
US 7355052	B2	20080408		

PRIORITY APPLN. INFO.:

FR 2003-2320	A	20030226
WO 2004-FR418	W	20040225
US 2004-915920	A3	20040811
US 2004-504033	A2	20040928

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:53994  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

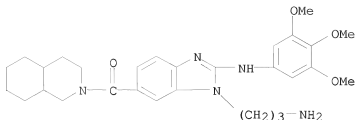
AB Title compds. I [wherein A = CH<sub>2</sub>, CO, (un)substituted COCH<sub>2</sub>; X = CH, N; R<sub>1</sub>, R<sub>2</sub> = independently H, alkyl optionally substituted by OH, alkenyl, etc.; or R<sub>1</sub>R<sub>2</sub> = (un)substituted hetero(bi)cycloalkyl; R<sub>3</sub> = alkyl, alkoxy, alkylthio, heteroaryl, (un)substituted hetero/cycloalkyl, aryl, etc.; R<sub>4</sub> = (CH<sub>2</sub>)<sub>s</sub>R<sub>5</sub>; R<sub>5</sub> = heterocycloalkyl, heteroaryl, etc.; s = 0-6] were prepared as melanocortin (MC), in particular MC<sub>4</sub>, receptor modulators (no data given). For example, II was prepared, in 2 steps, by amination of 3-fluoro-N,N-bis(3-methylbutyl)-4-nitrobenzamide (preparation given) with 3-(piperidino)propylamine in CH<sub>3</sub>CN at reflux, followed by one-step hydrogenation/coupling with 4-acetylphenyl isothiocyanate. I are useful in the treatment of pathol. states and the diseases in which one or more melanocortin receptors are involved. The invention also relates to pharmaceutical compns. containing compds. I.

IT 746660-21-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of benzimidazoles and imidazopyridines having affinity for melanocortin (MC), in particular MC<sub>4</sub>, receptors)

RN 746660-21-9 CAPLUS

CN Methanone, [1-(3-aminopropyl)-2-[(3,4,5-trimethoxyphenyl)amino]-1H-benzimidazol-6-yl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)





OS.CITING REF COUNT: 0 THERE ARE 0 CAPLUS RECORDS THAT CITE THIS RECORD  
(0 CITINGS)

L7 ANSWER 12 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:324799 CAPLUS

DOCUMENT NUMBER: 148:486374

TITLE: Arylsulfonamide CB2 receptor agonists: SAR and optimization of CB2 selectivity

AUTHOR(S): Ermann, Monika; Riether, Doris; Walker, Edward R.; Mushi, Innocent F.; Jenkins, James E.; Noya-Marino, Beatriz; Brewer, Mark L.; Taylor, Malcolm G.; Amouzegh, Patricia; East, Stephen P.; Dymock, Brian W.; Gemkow, Mark J.; Kahrs, Andreas F.; Ebnet, Andreas; Loebbe, Sabine; O'Shea, Kathy; Shih, Daw-Tsun; Thomson, David

CORPORATE SOURCE: Evotec (UK) Ltd., Abingdon, Oxfordshire, OX14 4SA, UK  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(5), 1725-1729

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:486374

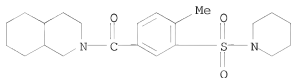
AB A high-throughput screening campaign resulted in the discovery of a highly potent dual cannabinoid receptor 1 (CB1) and 2 (CB2) agonist. Following a thorough SAR exploration, a series of selective CB2 full agonists were identified.

IT	1021298-08-7P	1021298-09-8P	1021298-10-1P
	1021298-11-2P	1021298-12-3P	1021298-13-4P
	1021298-14-5P	1021298-15-6P	1021298-16-7P
	1021298-17-8P	1021298-18-9P	1021298-19-0P
	1021298-20-3P		

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(arylsulfonamide CB2 receptor agonists: SAR and optimization of CB2 selectivity)

RN 1021298-08-7 CAPLUS

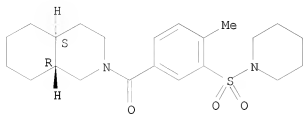
CN Methanone, [4-methyl-3-(1-piperidinylsulfonyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



RN 1021298-09-8 CAPLUS

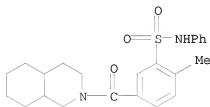
CN Methanone, [4-methyl-3-(1-piperidinylsulfonyl)phenyl] [(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



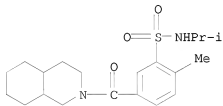
RN 1021298-10-1 CAPLUS

CN Benzenesulfonamide, 2-methyl-5-[(octahydro-2(1H)-isoquinolinyl)carbonyl]-N-phenyl- (CA INDEX NAME)



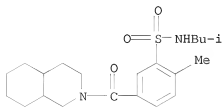
RN 1021298-11-2 CAPLUS

CN Benzenesulfonamide, 2-methyl-N-(1-methylethyl)-5-[(octahydro-2(1H)-isoquinolinyl)carbonyl]- (CA INDEX NAME)



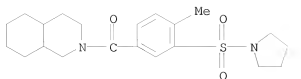
RN 1021298-12-3 CAPLUS

CN Benzenesulfonamide, 2-methyl-N-(2-methylpropyl)-5-[(octahydro-2(1H)-isoquinolinyl)carbonyl]- (CA INDEX NAME)



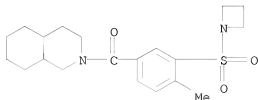
RN 1021298-13-4 CAPLUS

CN Methanone, [4-methyl-3-(1-pyrrolidinylsulfonyl)phenyl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



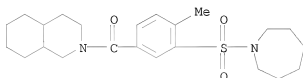
RN 1021298-14-5 CAPLUS

CN Methanone, [3-(1-azetidinylsulfonyl)-4-methylphenyl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



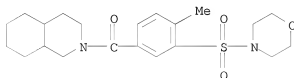
RN 1021298-15-6 CAPLUS

CN Methanone, [3-[(hexahydro-1H-azepin-1-yl)sulfonyl]-4-methylphenyl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



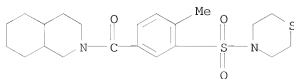
RN 1021298-16-7 CAPLUS

CN Methanone, [4-methyl-3-(4-morpholinylsulfonyl)phenyl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



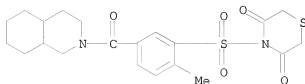
RN 1021298-17-8 CAPLUS

CN Methanone, [4-methyl-3-(4-thiomorpholinylsulfonyl)phenyl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



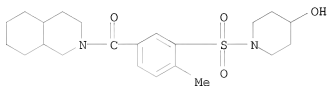
RN 1021298-18-9 CAPLUS

CN 3,5-Thiomorpholinedione, 4-[[2-methyl-5-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]sulfonyl]- (CA INDEX NAME)



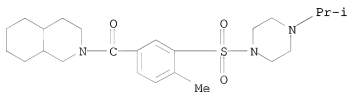
RN 1021298-19-0 CAPLUS

CN Methanone, [3-[(4-hydroxy-1-piperidinyl)sulfonyl]-4-methylphenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



RN 1021298-20-3 CAPLUS

CN Methanone, [4-methyl-3-[[4-(1-methylethyl)-1-piperazinyl]sulfonyl]phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



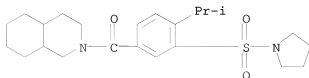
IT 1021298-22-5 1021298-23-6 1021298-24-7

1021298-25-8 1021298-26-9

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(arylsulfonamide CB2 receptor agonists: SAR and optimization of CB2 selectivity)

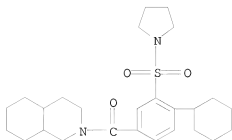
RN 1021298-22-5 CAPLUS

CN Methanone, [4-(1-methylethyl)-3-(1-pyrrolidinylsulfonyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



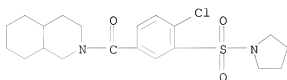
RN 1021298-23-6 CAPLUS

CN Methanone, [4-cyclohexyl-3-(1-pyrrolidinylsulfonyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



RN 1021298-24-7 CAPLUS

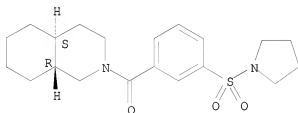
CN Methanone, [4-chloro-3-(1-pyrrolidinylsulfonyl)phenyl]-(octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



RN 1021298-25-8 CAPLUS

CN Methanone, [(4aR,8aS)-octahydro-2(1H)-isoquinolinyl][3-(1-pyrrolidinylsulfonyl)phenyl]-, rel- (CA INDEX NAME)

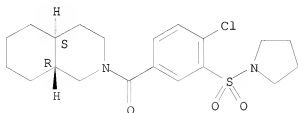
Relative stereochemistry.



RN 1021298-26-9 CAPLUS

CN Methanone, [4-chloro-3-(1-pyrrolidinylsulfonyl)phenyl]-(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

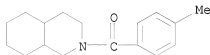


IT 1046271-25-3P 1046271-27-5P

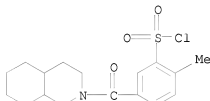
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(arylsulfonamide CB2 receptor agonists: SAR and optimization of CB2

selectivity)  
 RN 1046271-25-3 CAPLUS  
 CN Methanone, (4-methylphenyl) (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



RN 1046271-27-5 CAPLUS  
 CN Benzenesulfonyl chloride, 2-methyl-5-[(octahydro-2(1H)-isoquinolinyl)carbonyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)  
 REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:242525 CAPLUS

DOCUMENT NUMBER: 148:426671

TITLE: Solution phase synthesis of a 3,5,7-substituted indolin-2-one library as potential CDK2 inhibitor isosteres

AUTHOR(S): Tymoshenko, Dmytro O.; Gregg, Brian T.; Hirsch, Matthew J.; Butcher, Jennifer L.

CORPORATE SOURCE: Department of Medicinal Chemistry, AMRI, Albany, NY, 12203, USA

SOURCE: Letters in Drug Design & Discovery (2008), 5(1), 43-47  
 CODEN: LDDDAW; ISSN: 1875-628X  
 URL: <http://www.ingentaconnect.com/content/ben/lddd/2008/00000005/00000001>

PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:426671

AB A set of 4-[N'-(2-oxo-1,2-dihydro-indol-3-ylidene)-hydrazino]-benzamides focused on specific interactions at the ATP binding cleft of CDK2 was synthesized. The synthetic strategy towards potential inhibitors included the preparation of p-nitrophenyl activated esters and use of polymer scavengers to facilitate amide bond formation and purification. Using this methodol., a focused library of 352 compds. was prepared

IT 1007532-70-8P 1017799-00-6P 1017799-31-3P  
 1017800-51-9P 1017800-87-1P 1017801-24-9P  
 1017801-68-1P 1017802-15-1P

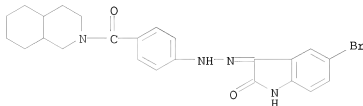
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(combinatorial preparation of library of oxodihydroindolylidenehydrazino benzamides via esterification of oxodihydroindolylidenehydrazino

benzoic acids with nitrophenyl trifluoroacetate followed by amidation)

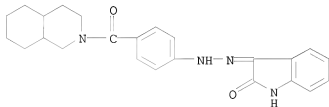
RN 1007532-70-8 CAPLUS

CN 1H-Indole-2,3-dione, 5-bromo-, 3-[2-[4-[(octahydro-2(1H)-  
isoquinolinyl)carbonyl]phenyl]hydrazone] (CA INDEX NAME)



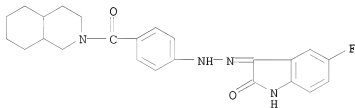
RN 1017799-00-6 CAPLUS

CN 1H-Indole-2,3-dione, 5-fluoro-, 3-[2-[4-[(octahydro-2(1H)-  
isoquinolinyl)carbonyl]phenyl]hydrazone] (CA INDEX NAME)



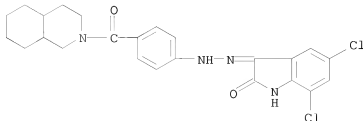
RN 1017799-31-3 CAPLUS

CN 1H-Indole-2,3-dione, 5,7-dichloro-, 3-[2-[4-[(octahydro-2(1H)-  
isoquinolinyl)carbonyl]phenyl]hydrazone] (CA INDEX NAME)

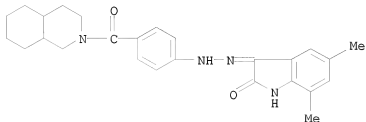


RN 1017800-51-9 CAPLUS

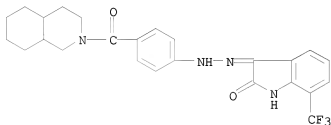
CN 1H-Indole-2,3-dione, 5,7-dichloro-, 3-[2-[4-[(octahydro-2(1H)-  
isoquinolinyl)carbonyl]phenyl]hydrazone] (CA INDEX NAME)



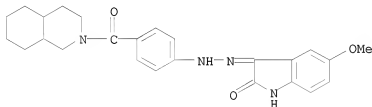
RN 1017800-87-1 CAPLUS  
 CN 1H-Indole-2,3-dione, 5,7-dimethyl-,  
 3-[2-[4-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]hydrazon] (CA  
 INDEX NAME)



RN 1017801-24-9 CAPLUS  
 CN 1H-Indole-2,3-dione, 7-(trifluoromethyl)-,  
 3-[2-[4-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]hydrazon] (CA  
 INDEX NAME)

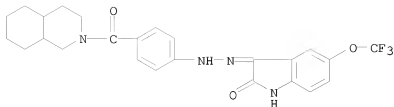


RN 1017801-68-1 CAPLUS  
 CN 1H-Indole-2,3-dione, 5-methoxy-, 3-[2-[4-[(octahydro-2(1H)-  
 isoquinolinyl)carbonyl]phenyl]hydrazon] (CA INDEX NAME)



RN 1017802-15-1 CAPLUS  
 CN 1H-Indole-2,3-dione, 5-(trifluoromethoxy)-,  
 3-[2-[4-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]hydrazon] (CA  
 INDEX NAME)





OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)  
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1454483 CAPLUS

DOCUMENT NUMBER: 148:79076

TITLE: Preparation of benzamide compounds containing  
heterocycle moiety as PARP inhibitors

INVENTOR(S): Javaid, Muhammad Hashim; Gomez, Sylvie; Cockcroft,  
Xiao-Ling Fan; Meneer, Keith Allan; Martin, Niall  
Morrison Barr

PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007/144652	A2	2007/1221	WO 2007-GB2247	20070615
WO 2007/144652	A3	20080410		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 2035380	A2	20090318	EP 2007-733251	20070615
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009539963	T	20091119	JP 2009-514909	20070615
US 20090181951	A1	20090716	US 2008-304794	20081215
IN 2008DN10453	A	20090320	IN 2008-DN10453	20081217
CN 101500997	A	20090805	CN 2007-80030105	20090212
PRIORITY APPLN. INFO.:			US 2006-804848P	P 20060615
			WO 2007-GB2247	W 20070615

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 148:79076; MARPAT 148:79076

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R2-R5 = H, alkoxy, amino, etc.; Y = -CR11R12-(CH2)m-; m = 0 or 1; R11 = CH3 or CF3; R12 = H or CH3; or R11 and R12 together with the carbon atom to which they are attached form 1,1-cyclopropylene group; R21, R22 = H or R; R = (un)substituted alkyl, heterocyclyl or aryl; or R21 and R22 together with the carbon atom to which they are attached form a (un)substituted nitrogen containing heterocyclic ring; Het = Q1, etc.; Y1, Y3 = CH or N; Y2 = CX or N; X = H, Cl or F] and their pharmaceutically acceptable salts were prepared. Thus, a multi-step synthesis of compound II, starting from 2-fluoro-5-formylbenzonitrile, was given. In PARP (Poly(ADP-ribose) polymerase) inhibition assays, compound II exhibited the IC50 value of less than 1  $\mu$ M. Compds. I are claimed useful for the treatment of vascular diseases, septic shock, etc.

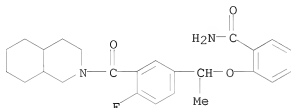
IT 960244-72-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamide compds. containing heterocycle moiety as PARP inhibitors for treatment of vascular diseases, septic shock)

RN 960244-72-8 CAPLUS

CN Benzamide, 2-[1-[4-fluoro-3-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]ethoxy]- (CA INDEX NAME)



L7 ANSWER 15 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1454122 CAPLUS

DOCUMENT NUMBER: 148:79062

TITLE: Preparation of heterocyclylcarbonylphenylalkoxybenzamides as poly(ADP-ribose) polymerase (PARP) inhibitors. Javaid, Muhammad Hashim; Gomez, Sylvie; Cockcroft, Xiao-Ling Fan; Menear, Keith Allan; Martin, Niall Morrison Barr

PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK

SOURCE: PCT Int. Appl., 56pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

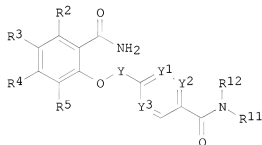
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007144639	A1	20071221	WO 2007-GB2232	20070615
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,			

KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 2041087 A1 20090401 EP 2007-733236 20070615  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS  
 JP 2009541217 T 20091126 JP 2009-514906 20070615  
 US 20090209520 A1 20090820 US 2008-304636 20081212  
 IN 2008DN10455 A 20090320 IN 2008-DN10455 20081217  
 CN 101484421 A 20090715 CN 2007-80025119 20081231

PRIORITY APPLN. INFO.:  
 US 2006-804849P P 20060615  
 WO 2007-GB2232 W 20070615

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 148:79062; MARPAT 148:79062  
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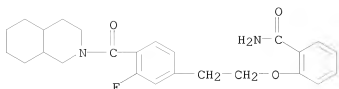


AB Title compds. [I; R2-R5 = H, alkoxy, amino, halo, OH; Y = CR21R22(CH2)m; m = 0, 1; R21 = H, Me, CF3; R22 = H, Me; R21R22C = 1,1-cyclopropylene; R11, R12 = H, R; R = (substituted) alkyl, heterocyclyl, aryl; R11R12N = (substituted) 5-7 membered heterocyclyl; Y1, Y3 = CH, N; Y2 = CX, N; X = H, Cl, F], were prepared Thus, 2-[2-[3-fluoro-4-[4-(2-phenoxypropionyl)piperazine-1-carbonyl]phenyl]ethoxy]benzamide [multistep preparation from 2-(3-fluorophenyl)ethan-1-ol, salicylamide, Boc-piperazine, and 2-phenoxypropionyl chloride given] and other I inhibited mammalian PARP with IC50 values of <10  $\mu$ M.

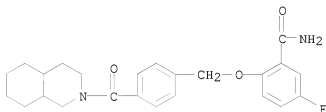
IT 960250-15-1P 960250-28-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of heterocyclylcarbonylphenylalkoxybenzamides as PARP inhibitors)

RN 960250-15-1 CAPLUS

CN Benzamide, 2-[2-[3-fluoro-4-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]ethoxy]- (CA INDEX NAME)



RN 960250-28-6 CAPLUS  
 CN Benzamide, 5-fluoro-2-[[4-[(octahydro-2(1H)-  
 isoquinolinyl)carbonyl]phenyl]methoxy]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:874154 CAPLUS

DOCUMENT NUMBER: 147:257665

TITLE: Spirochromane derivatives as histamine H3 receptor  
 antagonists, their preparation, pharmaceutical  
 compositions, and use in therapy

INVENTOR(S): Butler, Todd William; Howard, Harry Ralph, Jr.; Wager,  
 Travis T.

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 41pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007088462	A1	20070809	WO 2007-IB235	20070122
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2006-764230P P 20060201

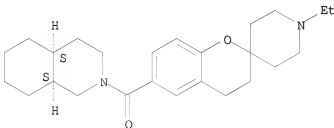
OTHER SOURCE(S): CASREACT 147:257665; MARPAT 147:257665

GI

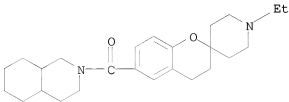
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB The invention relates to spirochromane derivs. of formula I, which are histamine H3 receptor antagonists. In compds. I, R1 is selected from (un)substituted Ph, (un)substituted naphthyl, (un)substituted 5- or 6-membered heteroaryl containing 1 to 4 heteroatoms independently selected from N, O, and S, and (un)substituted carbamoyl; and R2 is C1-4 alkyl. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I, and optionally a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of disorders or conditions that respond to H3 receptor antagonism, such as depression, anxiety disorders, and attention-deficit disorders. Cyclocondensation of 5'-bromo-2'-hydroxyacetophenone with N-Boc-piperidin-4-one followed by hydride reduction and deoxygenation yielded spirochromane II, which underwent alkylation with Et iodide and Suzuki coupling with 2-methoxypyridine-5-boronic acid to give spirochromane III. The compds. of the invention, e.g., III, are antagonists of histamine H3 receptors (no data).
- IT 945723-21-7P 945723-25-1P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of spirochromane derivs. as histamine H3 receptor antagonists)
- RN 945723-21-7 CAPLUS
- CN Methanone, (1'-ethyl-3,4-dihydrospiro[2H-1-benzopyran-2,4'-piperidin]-6-yl)((4aR,8aR)-(1H)-isoquinolinyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



- RN 945723-25-1 CAPLUS
- CN Methanone, (1'-ethyl-3,4-dihydrospiro[2H-1-benzopyran-2,4'-piperidin]-6-yl)(octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)

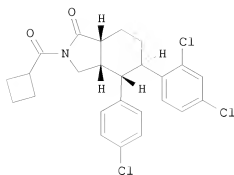
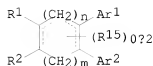


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

ACCESSION NUMBER: 2007:817925 CAPLUS  
 DOCUMENT NUMBER: 147:211730  
 TITLE: Isoindole derivatives as cannabinoid receptor modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases  
 INVENTOR(S): Chackalamannil, Samuel; Chelliah, Mariappan V.; Clasby, Martin C.; Eagen, Keith A.; Scott, Jack D.; Wang, Yuguang; Xia, Yan; Greenlee, William J.  
 PATENT ASSIGNEE(S): Schering Corp., USA  
 SOURCE: PCT Int. Appl., 406 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007084450	A2	20070726	WO 2007-US1024	20070116
WO 2007084450	A3	20071108		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2007207706	A1	20070726	AU 2007-207706	20070116
CA 2637565	A1	20070726	CA 2007-2637565	20070116
US 20070197628	A1	20070823	US 2007-653558	20070116
AR 59021	A1	20080305	AR 2007-100181	20070116
EP 1973877	A2	20081001	EP 2007-716633	20070116
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009528266	T	20090806	JP 2008-551309	20070116
IN 2008CN03665	A	20090313	IN 2008-CN3665	20080716
ZA 2008006237	A	20090527	ZA 2008-6237	20080717
MX 2008009354	A	20080930	MX 2008-9354	20080718
NO 2008003562	A	20081020	NO 2008-3562	20080815
KR 2008097426	A	20081105	KR 2008-720165	20080818
CN 101405263	A	20090408	CN 2007-80009372	20080916
PRIORITY APPLN. INFO.:			US 2006-760007P	P 20060118
			US 2006-846965P	P 20060925
			WO 2007-US1024	W 20070116

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 147:211730  
 GI



II

AB A compound having the general structure of formula I or a pharmaceutically acceptable salt, solvate, or ester thereof, is useful in treating diseases, disorders, or conditions such as obesity, metabolic disorders, addiction, diseases of the central nervous system, cardiovascular disorders, respiratory disorders, and gastrointestinal disorders. Compds. of formula I wherein m is 0 and 1; n is 1 and 2; and m + n is 1 and 2; dashed lines is single and double bonds; R1 is CONH2 and derivs., CO2-alkyl, and acyl; R2 is H, (un)substituted alkyl, and alkylene-NH2 and derivs.; R1R2 taken together fo form a (un)substituted 5-membered heterocyclic ring; R15 is H, N3, halo, alkenyl, (un)substituted alkylene, OH, CN, etc.; Ar1 and Ar2 are independently (un)substituted (hetero)aryl; and their pharmaceutically acceptable salts, solvates and esters thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their cannabinoid receptor modulatory activity. From the assay, it was determined that compound II exhibited Ki value in the range of 10 to 1 nM.

IT 944815-64-9P 944818-07-9P

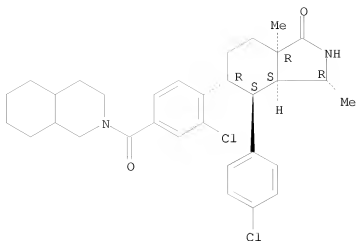
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoindole derivs. as cannabinoid receptor modulators useful in the treatment of diseases or conditions mediated by cannabinoid receptors)

RN 944815-64-9 CAPLUS

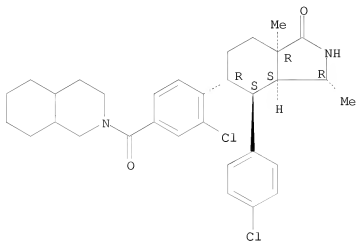
CN 1H-Isoindol-1-one, 5-[2-chloro-4-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]-4-(4-chlorophenyl)octahydro-3,7a-dimethyl-, (3R,3aS,4S,5R,7aR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 944818-07-9 CAPLUS  
 CN 1H-Isoindol-1-one, 5-[2-chloro-4-[(octahydro-2(1H)-  
 isoquinolinyl)carbonyl]phenyl]-4-(4-chlorophenyl)octahydro-3,7a-dimethyl-,  
 (3R,3aS,4S,5R,7aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

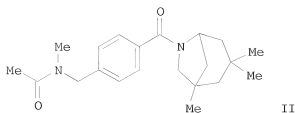
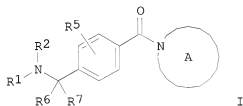
L7 ANSWER 18 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2007:512058 CAPLUS  
 DOCUMENT NUMBER: 146:481830  
 TITLE: Substituted benzamide and 11 $\beta$ -hydroxysteroid  
 dehydrogenase type 1 and their preparation and  
 pharmaceutical use  
 INVENTOR(S): Andersen, Henrik Sune; Joergensen, Anker Steen;  
 Kilburn, John Paul; Kampen, Gita Camilla Tejlgaard;  
 Ebdrup, Soeren  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
 SOURCE: PCT Int. Appl., 185 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent



LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007051810	A2	20070510	WO 2006-EP68015	20061101
WO 2007051810	A3	20080124		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006310518	A1	20070510	AU 2006-310518	20061101
CA 2627306	A1	20070510	CA 2006-2627306	20061101
EP 1948190	A2	20080730	EP 2006-819214	20061101
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009514818	T	20090409	JP 2008-537121	20061101
MX 2008005322	A	20080718	MX 2008-5322	20080424
IN 2008DN04550	A	20080815	IN 2008-DN4550	20080528
KR 2008076916	A	20080820	KR 2008-712901	20080529
CN 101351209	A	20090121	CN 2006-80050249	20080701
US 20090124598	A1	20090514	US 2008-92230	20081023
PRIORITY APPLN. INFO.:			EP 2005-110228	A 20051101
			EP 2006-116808	A 20060707
			WO 2006-EP68015	W 20061101

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 146:481830; MARPAT 146:481830  
 GI

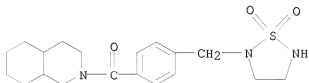


AB The use of substituted amides of formula I for modulating the activity of 11 $\beta$ -hydroxysteroid dehydrogenase type 1 (11 $\beta$ HS1) and the use of these compds. as pharmaceutical compns., are described. Also a class of substituted amides of formula I, their use in therapy, pharmaceutical compns. comprising the compds., as well as their use in the manufacture of medicaments are described. Compound of formula I wherein R1 is H, acyl, (amino)sulfonyl, (amino)sulfinyl, etc.; R2 is H, C1-6 alkyl, and C3-6 cycloalkyl; R1R2 taken together with N to form (un)substituted (un)saturated 3- to 12-membered (mono/bi)heterocyclic ring; A is (un)substituted (un)saturated 5- to 12-membered (bi/tri)heterocyclic; R5 is H, C1-6 alkyl, C3-6 cycloalkyl, halo, OH, and CN; R6 and R7 is H, C1-6 alkyl, F, trihalomethyl, and trihalomethoxy; R6R7 taken together to give (un)substituted (un)saturated 3- to 8-membered (hetero)monocyclic; and their prodrugs, pharmaceutically acceptable acid and base salts, optical isomers, mixts. of optical isomers, racemic mixts., tautomeric forms thereof, are claimed. The compds. are modulators and more specifically inhibitors of the activity of 11 $\beta$ HS1 and may be useful in the treatment of a range of medical disorders where a decreased intracellular concentration of active glucocorticoid is desirable. Example compound II was prepared by amidation of 4-(tert-butoxycarbonylaminoethyl)benzoic acid with 1,3,3-trimethyl-6-azabicyclo[3.2.1]octane hydrochloride; the resulting [4-(1,3,3-trimethyl-6-azabicyclo[3.2.1]octane-6-carbonyl)benzyl]carbamic acid tert-Bu ester underwent methylation with Me iodide to give methyl-[4-(1,3,3-trimethyl-6-azabicyclo[3.2.1]octane-6-carbonyl)benzyl]carbamic acid tert-Bu ester, which underwent hydrolysis to give (4-methylaminomethylphenyl)-(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methanone, which underwent acetylation with acetyl chloride to give compound II. All the invention compds. were evaluated for their 11 $\beta$ HS1 inhibitory activity. From the assay, it was determined that compound II exhibited an IC50 value of 19 nM.

IT 936019-82-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of benzamide derivs. as 11 $\beta$ -hydroxysteroid dehydrogenase type 1 inhibitors useful in the treatment of diseases)

RN 936019-82-8 CAPLUS

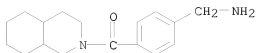
CN Methanone, [4-[(1,1-dioxido-1,2,5-thiadiazolidin-2-yl)methyl]phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



IT 1153065-15-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of benzamide derivs. as 11 $\beta$ -hydroxysteroid dehydrogenase type 1 inhibitors useful in the treatment of diseases)

RN 1153065-15-6 CAPLUS

CN Methanone, [4-(aminomethyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L7 ANSWER 19 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:143519 CAPLUS

DOCUMENT NUMBER: 146:229382

TITLE: Preparation of dipiperazinyl ketones and related analogues as modulators of histamine H3 receptor binding

INVENTOR(S): Xie, Linghong; Ochterski, Joseph W.; Gao, Yang; Han, Bingsong; Caldwell, Timothy M.; Xu, Yuelian; Peterson, John M.; Ge, Ping; Ohliger, Robert

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 279pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

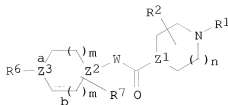
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007016496	A2	20070208	WO 2006-US29761	20060728
WO 2007016496	A3	20090430		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006275568	A1	20070208	AU 2006-275568	20060728
CA 2606004	A1	20070208	CA 2006-2606004	20060728
US 20070049571	A1	20070301	US 2006-495986	20060728
EP 1909797	A2	20080416	EP 2006-788999	20060728
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009506987	T	20090219	JP 2008-525081	20060728
PRIORITY APPLN. INFO.:			US 2005-704722P	P 20050802
			WO 2006-US29761	W 20060728

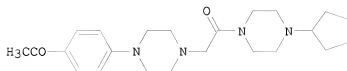
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:229382; MARPAT 146:229382

GI



I



II

AB Title compds. I [Z1 and Z2 independently = N or CRa wherein Ra = H, OH, halo, alkyl, etc.; Z3 = N or CRb wherein Rb = absent, H, OH, alkyl, etc.; bonds a and b independently represent single or double bond such that if Z3 = N, then bond a is single bond and at least one of bond a or bond b = single bond; W = CR3R4, NR5, COCR3R4, CO2R3R4; R3 and R4 independently = H, alkyl, haloalkyl, etc.; R5 = H, alkyl, haloalkyl, etc.; each m independently = 0-2, such that neither m = 0 if both Z2 and Z3 = N; n = 0-2; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = 0-4 substituents chosen from alkyl and groups that are taken together to form alkylene bridge; R6 = (un)substituted alkanoyl, alkoxy carbonyl, alkenyl, etc.; R7 = 0-4 substituents chosen from alkyl and groups that are taken together to form alkylene bridge], and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of histamine H3 receptor binding. Thus, e.g., II was prepared by acetylation of 1-cyclopentylpiperazine with bromoacetyl bromide followed by N-alkylation of 1-(4-piperazin-1-ylphenyl)ethanone. Details for bioassays are described (no data). I may generally be used to modulate ligand binding to histamine H3 receptors in vivo or in vitro, and are particularly useful in the treatment of a variety of disorders in humans, domesticated companion animals and livestock animals. Pharmaceutical compns. and therapeutic methods are provided, as are methods for using such ligands for detecting histamine H3 receptors (e.g., receptor localization studies).

IT 923934-89-8P 923934-90-1P 923934-91-2P  
923934-92-3P

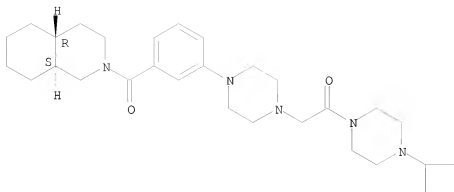
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dipiperazinyl ketones and related analogs as histamine H3 receptor modulators)

RN 923934-89-8 CAPLUS

CN Ethanone, 1-(4-cyclobutyl-1-piperazinyl)-2-[4-[3-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-1-piperazinyl]- (CA INDEX NAME)

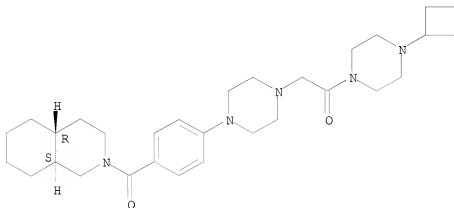
Absolute stereochemistry.



RN 923934-90-1 CAPLUS

CN Ethanone, 1-(4-cyclobutyl-1-piperazinyl)-2-[4-[4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-1-piperazinyl]- (CA INDEX NAME)

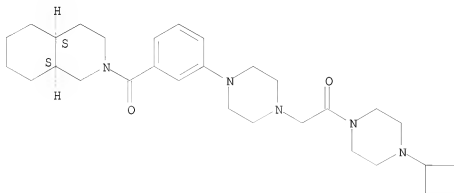
Absolute stereochemistry.



RN 923934-91-2 CAPLUS

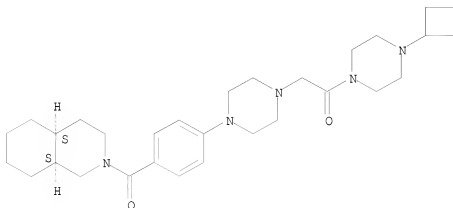
CN Ethanone, 1-(4-cyclobutyl-1-piperazinyl)-2-[4-[3-[(4aS,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 923934-92-3 CAPLUS  
CN Ethanone, 1-(4-cyclobutyl-1-piperazinyl)-2-[4-[4-[[ (4aS,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L7 ANSWER 20 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:111510 CAPLUS

DOCUMENT NUMBER: 149:331755

TITLE: Product class 6: lactones

AUTHOR(S): Maier, M. E.

CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet  
Tuebingen, Tuebingen, 72076, Germany

SOURCE: Science of Synthesis (2006), 20b, 1421-1551

CODEN: SSCYJ9

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review of methods to prepare lactones and their applications to organic  
synthesis.

IT 52390-25-7

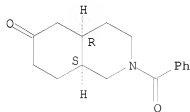
RL: RCT (Reactant); RACT (Reactant or reagent)

(review preparation of lactones and their applications to organic synthesis)

RN 52390-25-7 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 602 THERE ARE 602 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L7 ANSWER 21 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1206741 CAPLUS

DOCUMENT NUMBER: 145:489228

TITLE: Preparation of thiazole compounds for treating Hepatitis C virus infections

INVENTOR(S): Zhang, Suoming; Phadke, Avinash; Liu, Cuixian; Wang, Xiangzhu; Quinn, Jesse; Chen, Dawei; Gadhachanda, Venkat; Li, Shouming; Deshpande, Milind

PATENT ASSIGNEE(S): Achillion Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 254pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

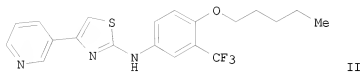
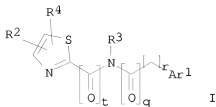
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006122011	A2	20061116	WO 2006-US17692	20060509
WO 2006122011	A3	20070503		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006244203	A1	20061116	AU 2006-244203	20060509
CA 2607617	A1	20061116	CA 2006-2607617	20060509
US 20070004711	A1	20070104	US 2006-431155	20060509
EP 1879575	A2	20080123	EP 2006-770077	20060509
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR			
JP 2008540537	T	20081120	JP 2008-511226	20060509
BR 2006008910	A2	20100217	BR 2006-8910	20060509
SG 159561	A1	20100330	SG 2010-1098	20060509
IN 2007DN08346	A	20080704	IN 2007-DN8346	20071029
MX 2007013955	A	20080205	MX 2007-13955	20071108
NO 2007005723	A	20080205	NO 2007-5723	20071109
ZA 2007009751	A	20081126	ZA 2007-9751	20071113
KR 2008019213	A	20080303	KR 2007-728496	20071206
CN 101247807	A	20080820	CN 2006-80025066	20080109
PRIORITY APPLN. INFO.:			US 2005-679133P	P 20050509
			WO 2006-US17692	W 20060509

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

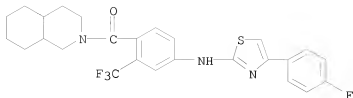
OTHER SOURCE(S): CASREACT 145:489228; MARPAT 145:489228

GI



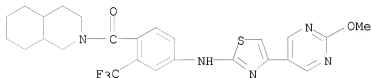
- AB The title compds. I [Ar1 = fluorenyl, Ph, naphthyl, etc.; R2 = halo, CO<sub>2</sub>H, CONH<sub>2</sub>, etc.; R3 = H, alkyl, C(O)R<sub>5</sub> (wherein R<sub>5</sub> = alkyl, Ph, 5-6 membered heteroaryl); R4 = H, halo, OH, etc.; or R2 and R4 are taken together with the carbon atoms of the thiazole ring to which they are attached to form 5-7 membered carbocyclic ring which is aromatic or partially unsatd.; r = 0-2; q = 0-1; t = 0-1] that are potent and/ or selective inhibitors of Hepatitis C virus replication, were prepared Thus, bromination of 3-acetylpyridine with Br<sub>2</sub> followed by reacting 2-bromo-1-(pyridin-3-yl)ethanone with N-(4-pentyloxy-3-trifluoromethylphenyl)thiourea afforded II which showed EC<sub>50</sub> of < 1 μM when tested in a replicon based assay of HCV replication inhibition. Certain compds. I inhibit assembly of the HCV replication complex. The invention also provides pharmaceutical compns. containing one or more compds. I, or a salt, solvate, or acylated prodrug of such compds., and one or more pharmaceutically acceptable carriers, excipients, or diluents. The invention further comprises methods of treating patients suffering from certain infectious diseases by administering to such patients an amount of a compound I effective to reduce signs or symptoms of the disease. These infectious diseases include viral infections, particularly HCV infections. The invention particularly includes methods of treating human patients suffering from an infectious disease, but also encompasses methods of treating other animals, including livestock and domesticated companion animals, suffering from an infectious disease. Methods of treatment include administering a compound I as a single active agent or administering a compound I in combination with one or more other therapeutic agent.
- IT 914667-43-9P 914668-24-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of thiazole compds. for treating Hepatitis C virus infections)
- RN 914667-43-9 CAPLUS
- CN Methanone, [4-[[4-(4-fluorophenyl)-2-thiazolyl]amino]-2-(trifluoromethyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)





RN 914668-24-9 CAPLUS

CN Methanone, [4-[[4-(2-methoxy-5-pyrimidinyl)-2-thiazolyl]amino]-2-(trifluoromethyl)phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L7 ANSWER 22 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:213433 CAPLUS

DOCUMENT NUMBER: 144:274294

TITLE: Novel 2-aminoquinazoline derivatives, their preparation and use as inhibitors of  $\beta$ -secretase for treating Alzheimer's disease and related disorders

INVENTOR(S): Bishoff, Francois Paul; Bracken, Mirielle; Pieters, Serge Marie Aloysius; Mercken, Marc Hubert; De Winter, Hans Louis Jos; Berthelot, Dieder Jean-Claude

PATENT ASSIGNEE(S): Janssen Pharmaceutica, N. V., Belg.

SOURCE: PCT Int. Appl., 369 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006024932	A1	20060309	WO 2005-IB2595	20050808
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20060079686	A1	20060413	US 2005-197608	20050804
US 20060079687	A1	20060413	US 2005-197669	20050804
US 20060178383	A1	20060810	US 2005-197615	20050804
EP 1789398	A1	20070530	EP 2005-780525	20050808

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
BA, HR, MK, YU

CN 101035772	A	20070912	CN 2005-80034228	20050808
JP 2008509129	T	20080327	JP 2007-524423	20050808
IN 2007KN00752	A	20070713	IN 2007-KN752	20070301

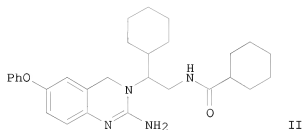
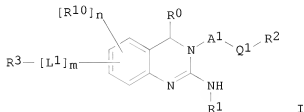
PRIORITY APPLN. INFO.:

US 2004-599810P	P	20040806
US 2004-599317P	P	20040806
US 2004-599811P	P	20040806
WO 2005-1B2595	W	20050808

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:274294

GI



AB The invention is related to novel 2-amino-3,4-dihydro-quinazoline derivs.  
I [R0 = H, Me, CF3; R1 = H, OH, Me, Et, CF3, OEt, etc.; A1 =  
(un)substituted alkyl; Q1= O, S, CO, CS, NHCO, CONH, etc.; R2 =  
(un)substituted cyclo/alkyl, aryl, spiroheterocyclyl, etc.; m = 0-1; R3 =  
(un)substituted alk(en)yl, aryl, etc.; n = 0-3; each R10 = independently  
OH, halo, alkyl, alkoxy, etc.; with provisos] pharmaceutical compns.  
containing them and their use as inhibitors of  $\beta$ -secretase, also known as  
 $\beta$ -site cleaving enzyme and BACE, in the treatment of Alzheimer's  
disease and related disorders. E.g., a multi-step synthesis starting from  
N-(tert-butoxycarbonyl)glycine Me ester and  
N,O-dimethylhydroxylamine-HCl was given for aminoquinazoline II. I  
inhibited  $\beta$ -secretase in 3 different assays.

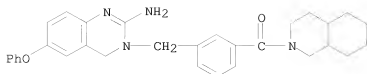
IT 876766-27-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(drug candidate; preparation of 2-aminoquinazolines as  $\beta$ -secretase  
inhibitors for treating Alzheimer's disease and related disorders)

RN 876766-27-7 CAPLUS

CN Methanone, [3-(2-amino-6-phenoxy-3(4H)-  
quinazolinyl)methyl]phenyl]octahydro-2(1H)-isoquinolinyl)- (CA INDEX  
NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD  
(9 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 23 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:152738 CAPLUS

DOCUMENT NUMBER: 144:254142

TITLE: Novel 2-aminoquinazoline derivatives, their  
preparation and use as inhibitors of  $\beta$ -secretase  
for treating Alzheimer's disease and related disorders  
INVENTOR(S): Baxter, Ellen; Bischoff, Francois Paul; Boyd, Robert;  
Braeken, Mirielle; Coats, Steven; Huang, Yifang;  
Jordan, Alfonso; Luo, Chi; Mercken, Marc Hubert;  
Reynolds, Charles H.; Ross, Tina Morgan; Tounge, Brett  
A.; Schulz, Mark; De Winte, Hans Louis Jos; Pieters,  
Serge Maria Aloysius; Reitz, Allen B.

PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.

SOURCE: PCI Int. Appl., 385 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

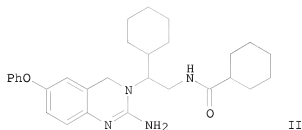
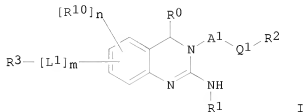
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006017836	A2	20060216	WO 2005-US28191	20050808
WO 2006017836	A3	20060629		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20060079686	A1	20060413	US 2005-197608	20050804
US 20060079687	A1	20060413	US 2005-197669	20050804
US 20060178383	A1	20060810	US 2005-197615	20050804
EP 1776349	A2	20070425	EP 2005-785256	20050808
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, MK, YU			
CN 101035771	A	20070912	CN 2005-80034122	20050808
JP 2008509165	T	20080327	JP 2007-525074	20050808
IN 2007KN00762	A	20070713	IN 2007-KN762	20070301
PRIORITY APPLN. INFO.:			US 2004-599811P	P 20040806
			US 2004-599317P	P 20040806
			US 2004-599810P	P 20040806

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 144:254142  
 GI



AB The invention is related to novel 2-amino-3,4-dihydro-quinazoline derivs.  
 I [R0 = H, Me, CF3; R1 = H, OH, Me, Et, CF3, OEt, etc.; A1 = (un)substituted alkyl; Q1 = O, S, CO, CS, NHCO, CONH, etc.; R2 = (un)substituted cyclo/alkyl, aryl, spiroheterocyclyl; m = 0-1; L1 = O, S, SO, SO2, etc.; R3 = (un)substituted alk(en)yl, aryl, etc.; n = 0-3; each R10 = independently OH, halo, alkyl, alkoxy, etc.; with provisos]  
 pharmaceutical compns. containing them and their use as inhibitors of  $\beta$ -secretase, also known as  $\beta$ -site cleaving enzyme and BACE, in the treatment of Alzheimer's disease and related disorders. E.g., a multi-step synthesis starting from N-(tert-butoxycarbonyl)glycine Me ester and N,O-dimethylhydroxylamine•HCl was given for aminoquinazoline II.  
 I inhibited  $\beta$ -secretase in 3 different assays.

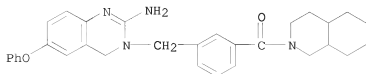
IT 876766-27-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-aminoquinazolines as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and related disorders)

RN 876766-27-7 CAPLUS

CN Methanone, [3-[(2-amino-6-phenoxy-3(4H)-quinazolinyl)methyl]phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L7 ANSWER 24 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:149827 CAPLUS

DOCUMENT NUMBER: 144:254141

TITLE: Novel 2-aminoquinazoline derivatives, their preparation and use as inhibitors of  $\beta$ -secretase for treating Alzheimer's disease and related disorders

INVENTOR(S): Baxter, Ellen; Boyd, Robert; Coats, Steve; Jordan, Alfonso; Reitz, Allen; Reynolds, Charles H.; Scott, Malcolm; Schulz, Mark; De Winter, Hans Louis Jos

PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.

SOURCE: PCT Int. Appl., 382 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

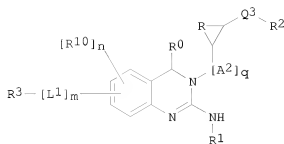
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006017844	A1	20060216	WO 2005-US28340	20050808
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20060079686	A1	20060413	US 2005-197608	20050804
US 20060079687	A1	20060413	US 2005-197669	20050804
US 20060178383	A1	20060810	US 2005-197615	20050804
EP 1776350	A1	20070425	EP 2005-786778	20050808
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
CN 101035770	A	20070912	CN 2005-80034011	20050808
JP 2008509167	T	20080327	JP 2007-525078	20050808
IN 2007KN00792	A	20070713	IN 2007-KN792	20070306
PRIORITY APPLN. INFO.:			US 2004-599317P	P 20040806
			US 2004-599810P	P 20040806
			US 2004-599811P	P 20040806
			WO 2005-US28340	W 20050808

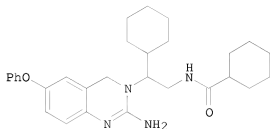
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:254141; MARPAT 144:254141

GI



I



II

AB The invention is related to novel 2-amino-3,4-dihydro-quinazoline derivs.  
 I [R0 = H, Me, CF3; R1 = H, OH, Me, Et, CF3, OEt, etc.; q = 0-1; A2 = (un)substituted alkyl; R = (un)substituted hetero/aryl, arylalkyl, hetero/cycloalkyl, partially unsatd. carbocyclyl, spiroheterocyclyl; provided that when q = 0; R is other than hetero/aryl; Q3 = O, S, CO, CS, OCO, etc.; R2 = (un)substituted cyclo/alkyl, aryl, spiroheterocyclyl, etc.; m = 0-1; L1 = O, S, SO, SO2, CO, NH and derivs., etc.; R3 = (un)substituted cyclo/alkyl, alkenyl, hetero/aryl, etc.; n = 0-3; each R10 = independently OH, halo, alkyl, alkoxy, etc.; with provisos]  
 pharmaceutical compns. containing them and their use as inhibitors of  $\beta$ -secretase, also known as  $\beta$ -site cleaving enzyme and BACE, in the treatment of Alzheimer's disease and related disorders. E.g., a multi-step synthesis starting from N-(tert-butoxycarbonyl)glycine Me ester and N,O-dimethylhydroxylamine•HCl was given for aminoquinazoline II.  
 I inhibited  $\beta$ -secretase in 3 different assays.

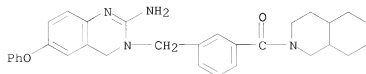
IT 876766-27-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-aminoquinazolines as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and related disorders)

RN 876766-27-7 CAPLUS

CN Methanone, [3-[(2-amino-6-phenoxy-3(4H)-quinazolinyl)methyl]phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)  
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1012143 CAPLUS

DOCUMENT NUMBER: 143:398877

TITLE: Perhydroquinolylbenzamides as Novel Inhibitors of

11 $\beta$ -Hydroxysteroid Dehydrogenase Type 1

AUTHOR(S): Coppola, Gary M.; Kukkola, Paivi J.; Stanton, James L.; Neubert, Alan D.; Marcopulos, Nicholas; Bilci, Natalie A.; Wang, Hua; Tomaselli, Hollis C.; Tan, Jenny; Aicher, Thomas D.; Knorr, Douglas C.; Jeng, Arco Y.; Dardik, Beatriz; Chatelain, Ricardo E.

CORPORATE SOURCE: Department of Metabolic and Cardiovascular Diseases, Novartis Institutes for Biomedical Research, Cambridge, MA, 02139, USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(21), 6696-6712

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:398877

AB High-throughput screening identified 5 as a weak inhibitor of 11 $\beta$ -HSD1. Optimization of the structure led to a series of perhydroquinolylbenzamides, some with low nanomolar inhibitory potency. A tertiary benzamide is required for biol. activity and substitution of the terminal benzamide with either electron-donating or -withdrawing groups is tolerated. The majority of the compds. show selectivity of >20 to >700-fold over 11 $\beta$ -HSD2. Analogs which showed >50% inhibition of 11 $\beta$ -HSD1 at 1  $\mu$ M in an cellular assay were screened in an ADX mouse model. A maximal response of >70% reduction of liver corticosterone levels was observed for three compds.; 9m, 25 and 49.

IT 735348-72-8P

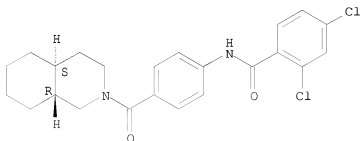
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(perhydroquinolylbenzamides as inhibitors of hydroxysteroid dehydrogenase)

RN 735348-72-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[[[4aR,8aS]-octahydro-2(1H)-isoquinoliny]carbonyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 867288-62-8P

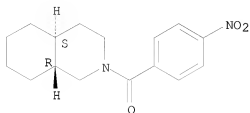
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(perhydroquinolylbenzamides as inhibitors of hydroxysteroid dehydrogenase)

RN 867288-62-8 CAPLUS

CN Methanone, (4-nitrophenyl) [(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]-, rel-  
(CA INDEX NAME)

Relative stereochemistry.



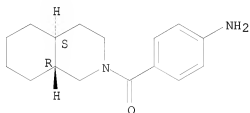
IT 867288-63-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(perhydroquinolylbenzamides as inhibitors of hydroxysteroid  
dehydrogenase)

RN 867288-63-9 CAPLUS

CN Methanone, (4-aminophenyl) [(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]-, rel-  
(CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 34 THERE ARE 34 CAPLUS RECORDS THAT CITE THIS  
RECORD (34 CITINGS)  
REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:347016 CAPLUS

DOCUMENT NUMBER: 142:411252

TITLE: Preparation of azabicyclooctane derivatives as CXCR3  
antagonists

INVENTOR(S): Habashita, Hiromu; Suzuki, Ryo; Shibayama, Shiro;  
Tanihiro, Tatsuya; Kaneko, Yousuke; Egashira, Hiromu;  
Nishiyama, Eiji; Yamatsuta, Katsura; Fujita, Setsuko

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035534	A1	20050421	WO 2004-JP14864	20041007
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,			

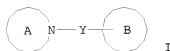


LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

JP 2007015927	A	20070125	JP 2003-349033	20031008
JP 2007015930	A	20070125	JP 2004-266040	20040913
PRIORITY APPLN. INFO.:			JP 2003-349033	A 20031008
			JP 2004-266040	A 20040913

OTHER SOURCE(S): MARPAT 142:411252

GI



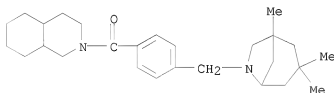
I

AB Title comps. I [ring A = (un)substituted heterobicycle, heterotricycle;  
 ring B = (un)substituted cycle; Y = bond, spacer] were prepared For  
 example, 1,3,3-trimethyl-6-(2-naphthoyl)-6-azabicyclo[3.2.1]octane (II)  
 was prepared from 1,3,3-trimethyl-6-azabicyclo[3.2.1]octane. In  
 11 $\beta$ -HSD1 inhibition assays, the IC50 value of compound II was 29 nM.  
 Comps. I are claimed useful for the treatment of inflammation, allergy,  
 etc. Formulations are given.

IT 850366-88-0P 850367-02-1P 850367-07-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (preparation of azabicyclooctane derivs. as CXCR3 antagonists for treatment  
 of treatment of inflammation, allergy, etc.)

RN 850366-88-0 CAPLUS

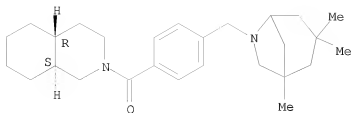
CN Methanone, (octahydro-2(1H)-isoquinolinyl)[4-[(1,3,3-trimethyl-6-  
 azabicyclo[3.2.1]oct-6-yl)methyl]phenyl]- (CA INDEX NAME)



RN 850367-02-1 CAPLUS

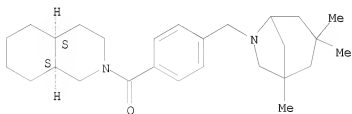
CN Methanone, [(4aR,8aS)-octahydro-2(1H)-isoquinolinyl][4-[(1,3,3-trimethyl-6-  
 azabicyclo[3.2.1]oct-6-yl)methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 850367-07-6 CAPLUS  
 CN Methanone, [(4aS,8aS)-octahydro-2(1H)-isoquinolinyl][4-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:283466 CAPLUS

DOCUMENT NUMBER: 142:355171

TITLE: Preparation of piperidine compounds as histamine H3 antagonists or inverse agonists

INVENTOR(S): Ohtake, Norikazu; Mizutani, Sayaka; Yoshimoto, Ryo; Tokita, Shigeru; Kanatani, Akio

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005028438	A1	20050331	WO 2004-JP13768	20040921
WO 2005028438	A9	20050526		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG



INVENTOR(S): MC4, receptors  
Poitout, Lydie; Brault, Valerie; Sackur, Carole;  
Roubert, Pierre; Plas, Pascale  
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'Applications  
Scientifiques (S.C.R.A.S.), Fr.  
SOURCE: U.S. Pat. Appl. Publ., 213 pp., Cont.-in-part of U.S.  
Ser. No. 504,033.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050065179	A1	20050324	US 2004-915920	20040811
US 7501524	B2	20090310		
FR 2851563	A1	20040827	FR 2003-2320	20030226
FR 2851563	B1	20050422		
WO 2004075823	A2	20040910	WO 2004-FR418	20040225
WO 2004075823	A3	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050267147	A1	20051201	US 2004-504033	20040928
US 7355052	B2	20080408		
US 20080139619	A1	20080612	US 2008-12184	20080131
US 7501525	B2	20090310		
US 20090270372	A1	20091029	US 2009-356964	20090121
PRIORITY APPLN. INFO.:			FR 2003-2320	A 20030226
			WO 2004-FR418	W 20040225
			US 2004-504033	A2 20040928
			US 2004-915920	A3 20040811

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:336356; MARPAT 142:336356  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

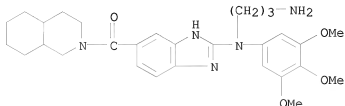
AB Title compds. I [wherein A = CH<sub>2</sub>, CO, (un)substituted COCH<sub>2</sub>; X = CH, N; R<sub>1</sub>, R<sub>2</sub> = independently H, alkyl optionally substituted by OH, alkenyl, etc.; or R<sub>1</sub>NR<sub>2</sub> = (un)substituted hetero(bi)cycloalkyl; R<sub>3</sub> = alkyl, alkoxy, alkylthio, heteroaryl, (un)substituted hetero/cycloalkyl, aryl, etc.; R<sub>4</sub> = (CH<sub>2</sub>)sR<sub>5</sub>; R<sub>5</sub> = heterocycloalkyl, heteroaryl, etc.; s = 0-6] were prepared as melanocortin (MC), in particular MC<sub>4</sub>, receptor modulators (no data given). For example, I was prepared, in 2 steps, by amination of 3-Fluoro-N,N-bis(3-methylbutyl)-4-nitrobenzamide (preparation given) with 3-(piperidino)propylamine in CH<sub>3</sub>CN at reflux, followed by one-step hydrogenation/coupling with 4-acetylphenyl isothiocyanate. I are useful in the treatment of pathol. states and the diseases in which one or more melanocortin receptors are included such as pain, inflammatory conditions, etc.

IT 1057138-03-0  
RL: PRPH (Prophetic)

(Preparation of benzimidazoles and imidazopyridines having affinity for melanocortin (MC), in particular MC4, receptors)

RN 1057138-03-0 CAPLUS

CN Methanone, [2-[(3-aminopropyl) (3,4,5-trimethoxyphenyl)amino]-1H-benzimidazol-6-yl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



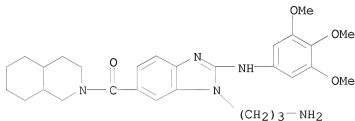
IT 746660-21-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazoles and imidazopyridines having affinity for melanocortin (MC), in particular MC4, receptors)

RN 746660-21-9 CAPLUS

CN Methanone, [1-(3-aminopropyl)-2-[(3,4,5-trimethoxyphenyl)amino]-1H-benzimidazol-6-yl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L7 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:182640 CAPLUS

DOCUMENT NUMBER: 142:280220

TITLE: Preparation of quinazoline-2,4(1H,3H)-dione derivatives as gonadotropin-releasing hormone antagonists

INVENTOR(S): Hamamura, Kazumasa; Oda, Tsuneo; Kusaka, Masami; Kanzaki, Naoyuki

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 541 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019188	A1	20050303	WO 2004-JP12322	20040820
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

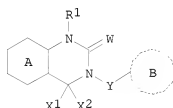
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

CA 2536313 A1 20050303 CA 2004-2536313 20040820  
 JP 2005097276 A 20050414 JP 2004-241721 20040820  
 EP 1657238 A1 20060517 EP 2004-772278 20040820  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK  
 US 20070010537 A1 20070111 US 2006-569391 20060222  
 PRIORITY APPLN. INFO.: JP 2003-298637 A 20030822  
 WO 2004-JP12322 W 20040820

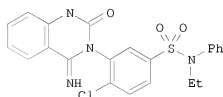
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:280220

GI



I



II

AB The title quinazoline-2,4(1H,3H)-dione derivs. I [wherein R1 = H or (un)substituted hydrocarbyl; ring A = (un)substituted aromatic 6-membered ring; ring B = (un)substituted (hetero)cyclcyl; W = O or S; X1 and X2 = independently H, (un)substituted hydrocarbyl, or heterocyclcyl; or X1 and X2 together form =O, =S, or (un)substituted =NH; Y = a bond or (un)substituted alkylene], or salts or prodrugs thereof are prepared as gonadotropin-releasing hormone antagonists. For example, the compound II was prepared in a multi-step synthesis. I inhibited 75.4-99.9% of human gonadotropin releasing hormone at the concentration of 10 nM. I are useful for the treatment of prostatic hyperplasia, hysteromyoma, endometriosis, uterus fibroma, etc. (no data). Formulations containing I as an active ingredient were also described.

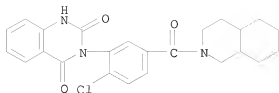
IT 847168-15-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinazoline-2,4(1H,3H)-dione derivs. as gonadotropin-releasing hormone antagonists)

RN 847168-15-4 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[2-chloro-5-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS  
RECORD (15 CITINGS)  
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:964830 CAPLUS

DOCUMENT NUMBER: 141:410932

TITLE: Preparation of benzo[1,2,5]thiadiazoles as CCK2  
modulators for treatment of gastrointestinal  
disorders, pain, and other conditions

INVENTOR(S): Allison, Brett; McAtee, Laura C.; Phuong, Victor K.;  
Rabinowitz, Michael H.; Shankley, Nigel P.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: U.S. Pat. Appl. Publ., 81 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

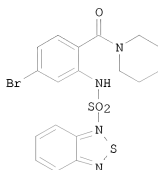
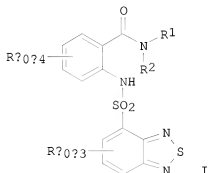
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040224983	A1	20041111	US 2004-811292	20040326
US 7241759	B2	20070710		
AU 2004261547	A1	20050210	AU 2004-261547	20040326
CA 2520546	A1	20050210	CA 2004-2520546	20040326
WO 2005012275	A2	20050210	WO 2004-US9589	20040326
WO 2005012275	A3	20060511		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
BR 2004008899	A	20060418	BR 2004-8899	20040326
EP 1675837	A2	20060705	EP 2004-785868	20040326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1829704	A	20060906	CN 2004-80014470	20040326
JP 2006528241	T	20061214	JP 2006-532352	20040326
NZ 542491	A	20090430	NZ 2004-542491	20040326
MX 2005010484	A	20060310	MX 2005-10484	20050928
NO 2005005002	A	20051214	NO 2005-5002	20051027
ZA 2005008732	A	20070425	ZA 2005-8732	20051027
IN 2005KN02161	A	20061013	IN 2005-KN2161	20051031
US 20070276016	A1	20071129	US 2007-775535	20070710

US 7550492  
PRIORITY APPLN. INFO.:

B2 20090623

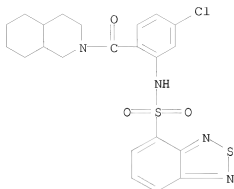
US 2003-458638P P 20030328  
US 2004-811292 A1 20040326  
WO 2004-US9589 W 20040326

OTHER SOURCE(S): MARPAT 141:410932  
GI



- AB Title [(2,1,3-benzothiadiazol-4-yl)sulfonyl]amino]benzamides I [wherein R1, R2 = independently H, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, naphthyl, benzoylalkyl, Ph, etc.; or NR1R2 = (un)substituted 10-oxa-4-azatricyclo[5.2.1.0<sup>2,6</sup>]dec-4-yl, heterocyclyl, 8-oxo-1,5,6,8-tetrahydro-2H-4H-1,5-methanopyrido[1,2-a][1,5]diazocin-3-yl; R1 = independently (cyclo)alkyl, alkenyl, Ph, furanyl, thienyl, benzyl, pyrrolyl, OH, alkoxy, SH, CN, NO<sub>2</sub>, NH<sub>2</sub>, halo, etc.; Rb = independently alkyl, halo; and enantiomers, diastereomers, hydrates, solvates, and pharmaceutically acceptable salts thereof] were prepared as cholecystokinin 2 (CCK2) receptor modulators. For example, 4-bromo-2-aminobenzoic acid piperidine amide (3-step preparation given) was coupled with 4-chlorosulfonyl-2,1,3-benzothiadiazole in pyridine to afford II (74%). The latter showed binding to CCK2R specific zinc finger proteins fused with the herpes simplex virus VP16 activation domain with pK<sub>i</sub> of 7.6 and behaved as a competitive antagonist in a guinea pig gastric corpeal muscle assay with pK<sub>B</sub> of 8.8. Thus, I and their pharmaceutical compns. are useful for the treatment of CCK2 mediated conditions, such as pancreatic adenocarcinoma, pain, eating disorders, gastroesophageal reflux disease, gastroduodenal ulcers, reflux esophagitis, anxiety, colon cancer, peptic ulcers, pancreatic tumors, gastric tumors, Barrett's esophagus, antral G cell hyperplasia, pernicious anemia, and Zollinger-Ellison syndrome (no data).
- IT 791099-27-9P, 2,1,3-Benzothiadiazole-4-sulfonic acid N-[5-chloro-2-[(octahydroisoquinolin-2-yl)carbonyl]phenyl]amide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(CCK2 modulator; preparation of [(benzo[1,2,5]thiadiazol-4-yl)sulfonyl]amino]benzamides as CCK2 modulators for treatment of gastrointestinal disorders, pain, and other conditions)
- RN 791099-27-9 CAPLUS  
CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[5-chloro-2-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]- (CA INDEX NAME)





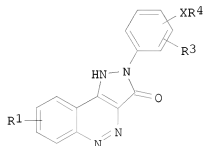
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)  
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 31 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2004:780704 CAPLUS  
DOCUMENT NUMBER: 141:296035  
TITLE: Preparation of oxypyrazolocinnolines as CD80  
inhibitors useful as immunomodulators  
INVENTOR(S): Mathews, Ian Richard  
PATENT ASSIGNEE(S): Avidex Limited, UK  
SOURCE: PCT Int. Appl., 76 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004081011	A1	20040923	WO 2004-GB1008	20040310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004220310	A1	20040923	AU 2004-220310	20040310
CA 2519063	A1	20040923	CA 2004-2519063	20040310
EP 1603917	A1	20051214	EP 2004-719006	20040310
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004008365	A	20060321	BR 2004-8365	20040310
CN 1761664	A	20060419	CN 2004-80006886	20040310
CN 100363365	C	20080123		
JP 2006520372	T	20060907	JP 2006-505937	20040310
NZ 541973	A	20090626	NZ 2004-541973	20040310
MX 2005009667	A	20060127	MX 2005-9667	20050909
ZA 2005007364	A	20061025	ZA 2005-7364	20050913
NO 2005004710	A	20051213	NO 2005-4710	20051013

IN 2005CN02624	A	20070406	IN 2005-CN2624	20051013
IN 229041	A1	20090320		
US 20070021428	A1	20070125	US 2006-547448	20060620
US 7276505	B2	20071002		
HK 1090921	A1	20080704	HK 2006-111573	20061019
US 20080045527	A1	20080221	US 2007-845837	20070828
US 7598247	B2	20091006		
US 20090312334	A1	20091217	US 2009-545902	20090824
PRIORITY APPLN. INFO.:			GB 2003-5876	A 20030314
			GB 2003-19429	A 20030819
			WO 2004-GB1008	W 20040310
			US 2006-547448	A3 20060620
			US 2007-845837	A3 20070828

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 141:296035  
 GI



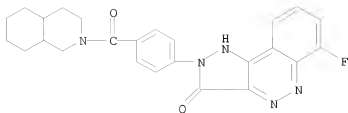
I

AB Title compds. [I; R1, R3 = H, F, Cl, Br, NO2, cyano, alkyl, fluoroalkyl, chloroalkyl, alkoxy, fluoroalkoxy; R4 = CO2H (ester), CONR6R7, NR7COR6, NR7COOR6, NHCONR6R7, NHCSNR6R7; R6 = H, (Alk)mQ; m = 0, 1; Alk = (substituted) alkylene, alkenylene, alkynylene, carbocyclylene which may contain  $\geq 1$  O, S, NR8; R8 = H, alkyl, alkenyl, alkynyl, cycloalkyl; Q = H, NR9R10; R9, R10 = H, alkyl, alkenyl, alkynyl, cycloalkyl, ester group, (substituted) carbocyclyl, heterocyclyl; R9R10N = (substituted) heterocyclyl; R7 = H, alkyl; R6R7 = atoms to form (substituted) heterocyclyl; X = bond, (Z)n(Alk), (Alk)(Z)n; Z = O, S, NH; n = 0, 1], were prepared. Thus, 4-(3-oxo-1,3-dihydro-2H-pyrazolo[4,3-c]cinnolin-2-yl)benzoic acid (preparation given) was stirred with DMF, diisopropylethylamine, 3-dimethylaminopropylamine, and HTBU at room temperature for 2 h to give 40% N-[(3-dimethylamino)propyl] 4-(3-oxo-1,3-dihydro-2H-pyrazolo[4,3-c]cinnolin-2-yl)benzamide (AV1142005). The latter inhibited interleukin-2 production by human Jurkat T cells by 65% at 30  $\mu$ M.

IT 763147-08-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of oxypyrazolocinnolines as CD80 inhibitors useful as immunomodulators)

RN 763147-08-6 CAPLUS

CN 3H-Pyrazolo[4,3-c]cinnolin-3-one, 6-fluoro-1,2-dihydro-2-[4-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:700364 CAPLUS

DOCUMENT NUMBER: 141:225509

TITLE: Preparation of benzimidazoles and imidazopyridines  
having affinity for melanocortin (MC), in particular  
MC4<sub>1</sub> receptors  
INVENTOR(S): Poitout, Lydie; Brault, Valerie; Sackur, Carole;  
Roubert, Pierre; Plas, Pascale  
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications  
Scientifiques SCRAS, Fr.

SOURCE: Fr. Demande, 104 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2851563	A1	20040827	FR 2003-2320	20030226
FR 2851563	B1	20050422		
AU 2004216427	A1	20040910	AU 2004-216427	20040225
AU 2004216427	B2	20090625		
CA 2516660	A1	20040910	CA 2004-2516660	20040225
WO 2004075823	A2	20040910	WO 2004-FR418	20040225
WO 2004075823	A3	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1599167	A2	20051130	EP 2004-714348	20040225
EP 1599167	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007726	A	20060214	BR 2004-7726	20040225
CN 1753670	A	20060329	CN 2004-80005413	20040225
JP 2006519214	T	20060824	JP 2006-502162	20040225
AT 374754	T	20071015	AT 2004-714348	20040225
PT 1599167	E	20080109	PT 2004-714348	20040225
ES 2295826	T3	20080416	ES 2004-714348	20040225
RU 2330023	C2	20080727	RU 2005-129738	20040225
NZ 541632	A	20080926	NZ 2004-541632	20040225

US 20050065179	A1	20050324	US 2004-915920	20040811
US 7501524	B2	20090310		
US 20050267147	A1	20051201	US 2004-504033	20040928
US 7355052	B2	20080408		
MX 2005009015	A	20051018	MX 2005-9015	20050824
US 20080139619	A1	20080612	US 2008-12184	20080131
US 7501525	B2	20090310		
US 20090270372	A1	20091029	US 2009-356964	20090121
PRIORITY APPLN. INFO.:			FR 2003-2320	A 20030226
			WO 2004-FR418	A 20040225
			US 2004-915920	A3 20040811
			US 2004-504033	A2 20040928
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE	IN LSUS DISPLAY FORMAT			
OTHER SOURCE(S):	MARPAT 141:225509			
GI				

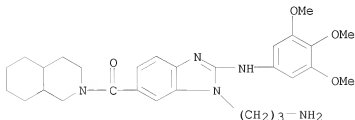
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein A = CH<sub>2</sub>, CO, CO-CH<sub>2</sub> and derivs., X = C or N; R<sub>1</sub>, R<sub>2</sub> = independently H, alkyl optionally substituted by OH, alkenyl, etc.; or R<sub>1</sub>NR<sub>2</sub> = (un)substituted hetero(bi)cycloalkyl; R<sub>3</sub> = (CH<sub>2</sub>)<sub>p</sub>-Z'3 or CO-Z'3; Z<sub>3</sub> = alkyl, alkenyl, alkoxy, alkoxycarbonyl, heteroaryl, (un)substituted hetero/cycloalkyl, aryl, etc.; Z'3 = (un)substituted aryl; p = 0-4; R<sub>4</sub> = (CH<sub>2</sub>)<sub>s</sub>-R'4; R'4 = heterocycloalkyl, heteroaryl, NWW'; W = H, alkyl; W' = (CH<sub>2</sub>)<sub>q</sub>-Z<sub>4</sub>; Z<sub>4</sub> = H, alkenyl, (un)substituted cyclo/alkyl, aryl, etc.; q, s = independently 0-6] were prepared as melanocortin (MC), in particular MC<sub>4</sub>, receptor modulators. Two biol. protocols are given (no data). For example, II was prepared, in 2 steps, by amination of 3-Fluoro-N,N-bis(3-methylbutyl)-4-nitrobenzamide (preparation given) with 3-(piperidino)propylamine in CH<sub>3</sub>CN at reflux, followed by one-step hydrogenation/coupling with 4-acetylphenyl isothiocyanate. I are useful in the treatment of pathol. states and the diseases in which one or more melanocortin receptors are implied, i.e. obesity, anxiety, pain, sex behavior, etc.

IT 746660-21-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of benzimidazoles and imidazopyridines having affinity for melanocortin (MC), in particular MC<sub>4</sub>, receptors)

RN 746660-21-9 CAPLUS

CN Methanone, [1-(3-aminopropyl)-2-[(3,4,5-trimethoxyphenyl)amino]-1H-benzimidazol-6-yl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT:	3	THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT:	1	THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:633903 CAPLUS

DOCUMENT NUMBER: 141:173975

TITLE: Preparation of amides as inhibitors of 11-beta-hydroxysteroid dehydrogenase type 1

INVENTOR(S): Coppola, Gary Mark; Damon, Robert Edson; Kukkola, Paivi Jaana; Stanton, James Lawrence

PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

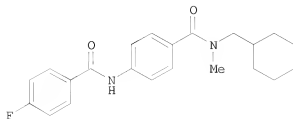
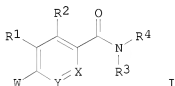
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065351	A1	20040805	WO 2004-EP571	20040123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
CA 2513349	A1	20040805	CA 2004-2513349	20040123
EP 1590319	A1	20051102	EP 2004-704554	20040123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004006938	A	20060103	BR 2004-6938	20040123
CN 1741986	A	20060301	CN 2004-80002540	20040123
JP 2006517199	T	20060720	JP 2006-500009	20040123
US 20060205772	A1	20060914	US 2005-542759	20050816
PRIORITY APPLN. INFO.:			US 2003-442532P	P 20030124
			WO 2004-EP571	W 20040123
OTHER SOURCE(S):		MARPAT 141:173975		
GI				



AB The title compds. [I; R<sup>1</sup>, R<sup>2</sup> = H, CN, halo, NO<sub>2</sub>, etc.; or R<sup>1</sup> and R<sup>2</sup> together with the carbon atoms they are attached to form an optionally

substituted 5-7 membered (hetero)aromatic ring; R3 = alkyl; or R3 and R2 together with the amide group to which R3 is attached and the carbon atoms to which R2 and the amide are attached form (un)substituted 5-7 membered carbocyclic or heterocyclic ring; R4 = alkyl, cycloalkyl, heterocyclyl, aryl, (hetero)aralkyl; or NR4R3 = (un)substituted 5-8 membered ring, 8-12 membered fused bicyclic ring (both ring systems may contain another heteroatom selected from O, N and S); W = NR5COR6, NR5CO2R6, NR5CONR6R7, etc.; R5, R7 = H, alkyl, aralkyl; R6 = alkyl, cycloalkyl, heterocyclyl, aryl, (hetero)aralkyl; X, Y = CH, N; or X:Y = CH2, O, S, NR10 (R10 = H, alkyl) which lower intracellular glucocorticoid concns. in mammals, in particular, intracellular cortisol levels in humans, were prepared E.g., two alternative routes for preparation of the amide II were given. The compds. I were tested for inhibition of 11 $\beta$ -HSD1 (specific data given for representative compds. I). The compds. I improve insulin sensitivity in the muscle and the adipose tissue, and reduce lipolysis and free fatty acid production in the adipose tissue. The compds. I lower hepatic glucocorticoid concentration in mammals, in particular, hepatic cortisol concentration

in humans, resulting in inhibition of hepatic gluconeogenesis and lowering of plasma glucose levels. Thus, the compds. I may be particularly useful in mammals as hypoglycemic agents for the treatment and prevention of conditions in which hyperglycemia and/or insulin resistance are implicated, such as type-2 diabetes. The compds. I may also be used to treat other glucocorticoid associated disorders, such as Syndrome-X, dyslipidemia, hypertension and central obesity. The invention furthermore relates to the use of the compds. I for the preparation of medicaments, in particular of medicaments useful for the treatment and prevention of glucocorticoid associated disorders, by improving insulin sensitivity, reducing plasma glucose levels, reducing lipolysis and free fatty acid production, and by decreasing visceral adipose tissue formation.

IT	735348-52-4P	735348-53-5P	735348-54-6P
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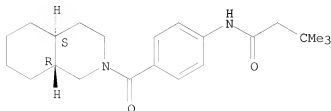
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides as inhibitors of 11-beta-hydroxysteroid dehydrogenase type 1)

RN 735348-52-4 CAPLUS

CN Butanamide, 3,3-dimethyl-N-[4-[[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

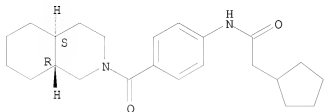
Relative stereochemistry.



RN 735348-53-5 CAPLUS

CN Cyclopentaneacetamide, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-  
isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

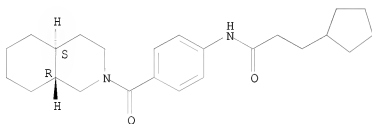
Relative stereochemistry.



RN 735348-54-6 CAPLUS

CN Cyclopentanepropanamide, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-  
isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

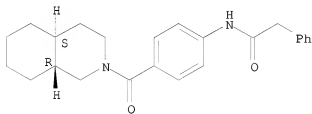
Relative stereochemistry.



RN 735348-55-7 CAPLUS

CN Benzeneacetamide, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-  
isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

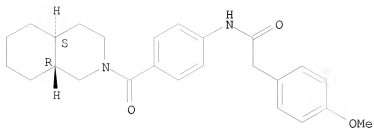


RN 735348-56-8 CAPLUS

CN Benzeneacetamide, 4-methoxy-N-[4-[[[(4aR,8aS)-octahydro-2(1H)-  
isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

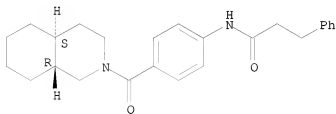




RN 735348-57-9 CAPLUS

CN Benzenepropanamide, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

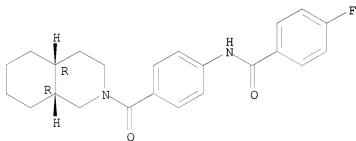
Relative stereochemistry.



RN 735348-58-0 CAPLUS

CN Benzenamide, 4-fluoro-N-[4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

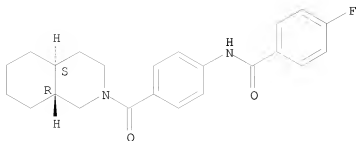
Relative stereochemistry.



RN 735348-59-1 CAPLUS

CN Benzenamide, 4-fluoro-N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

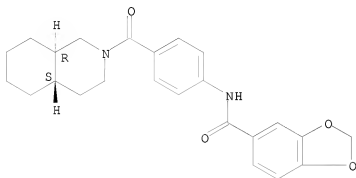
Relative stereochemistry.



RN 735348-60-4 CAPLUS

CN 1,3-Benzodioxole-5-carboxamide, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

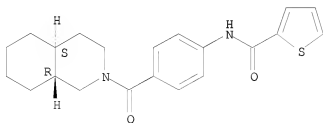
Relative stereochemistry.



RN 735348-61-5 CAPLUS

CN 2-Thiophenecarboxamide, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

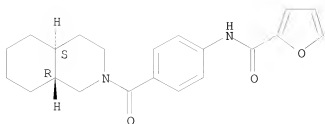
Relative stereochemistry.



RN 735348-62-6 CAPLUS

CN 2-Furancarboxamide, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

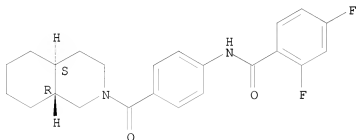
Relative stereochemistry.



RN 735348-63-7 CAPLUS

CN Benzamide, 2,4-difluoro-N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

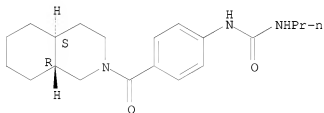
Relative stereochemistry.



RN 735348-64-8 CAPLUS

CN Urea, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-propyl-, rel- (CA INDEX NAME)

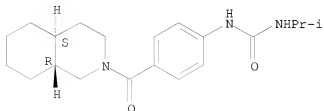
Relative stereochemistry.



RN 735348-65-9 CAPLUS

CN Urea, N-(1-methylethyl)-N'-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

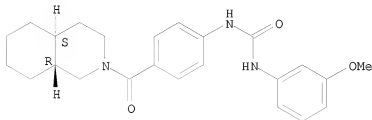
Relative stereochemistry.



RN 735348-66-0 CAPLUS

CN Urea, N-(3-methoxyphenyl)-N'-[4-[[4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

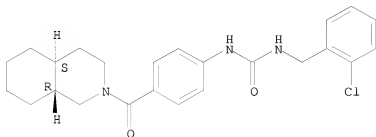
Relative stereochemistry.



RN 735348-67-1 CAPLUS

CN Urea, N-[(2-chlorophenyl)methyl]-N'-[4-[[4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

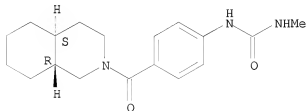
Relative stereochemistry.



RN 735348-68-2 CAPLUS

CN Urea, N-methyl-N'-[4-[[4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

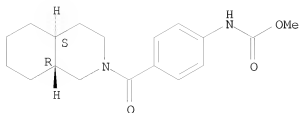
Relative stereochemistry.



RN 735348-69-3 CAPLUS

CN Carbamic acid, [4-[[4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

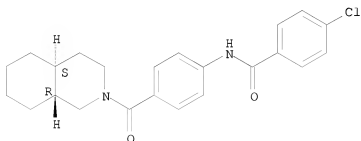
Relative stereochemistry.



RN 735348-70-6 CAPLUS

CN Benzamide, 4-chloro-N-[4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

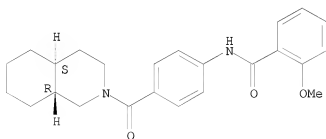
Relative stereochemistry.



RN 735348-71-7 CAPLUS

CN Benzamide, 2-methoxy-N-[4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

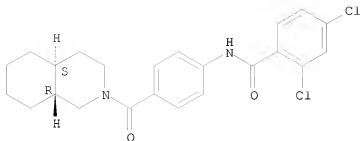
Relative stereochemistry.



RN 735348-72-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

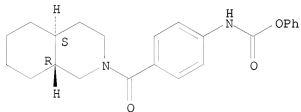
Relative stereochemistry.



RN 735348-73-9 CAPLUS

CN Carbamic acid, [4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, phenyl ester, rel- (9CI) (CA INDEX NAME)

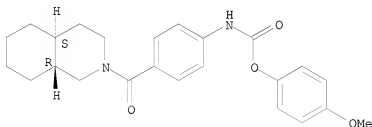
Relative stereochemistry.



RN 735348-74-0 CAPLUS

CN Carbamic acid, [4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 4-methoxyphenyl ester, rel- (9CI) (CA INDEX NAME)

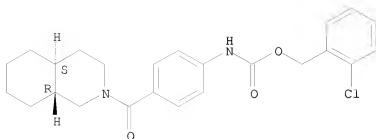
Relative stereochemistry.



RN 735348-75-1 CAPLUS

CN Carbamic acid, [4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, (2-chlorophenyl)methyl ester, rel- (9CI) (CA INDEX NAME)

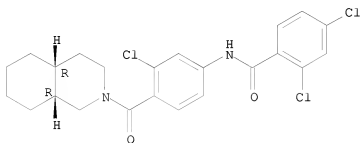
Relative stereochemistry.



RN 735348-76-2 CAPLUS

CN Benamide, 2,4-dichloro-N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl-, rel- (CA INDEX NAME)

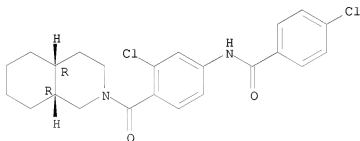
Relative stereochemistry.



RN 735348-77-3 CAPLUS

CN Benamide, 4-chloro-N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl-, rel- (CA INDEX NAME)

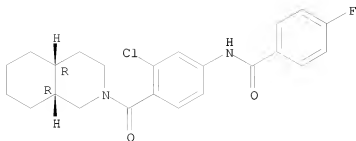
Relative stereochemistry.



RN 735348-78-4 CAPLUS

CN Benamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-fluoro-, rel- (CA INDEX NAME)

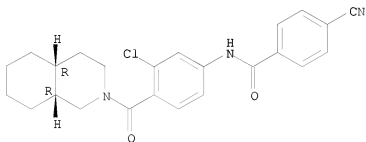
Relative stereochemistry.



RN 735348-79-5 CAPLUS

CN Benamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-cyano-, rel- (CA INDEX NAME)

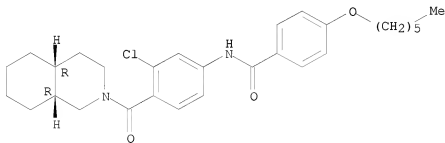
Relative stereochemistry.



RN 735348-80-8 CAPLUS

CN Benamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-(hexyloxy)-, rel- (CA INDEX NAME)

Relative stereochemistry.

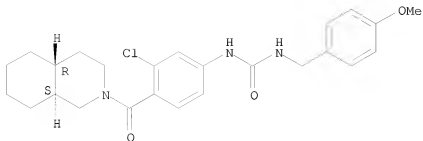


RN 735348-81-9 CAPLUS

CN Urea, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(4-methoxyphenyl)methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

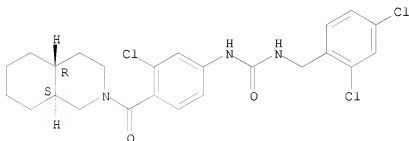




RN 735348-82-0 CAPLUS

CN Urea, N-[3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-[(2,4-dichlorophenyl)methyl]-, rel- (CA INDEX NAME)

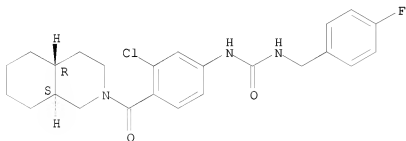
Relative stereochemistry.



RN 735348-83-1 CAPLUS

CN Urea, N-[3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-[(4-fluorophenyl)methyl]-, rel- (CA INDEX NAME)

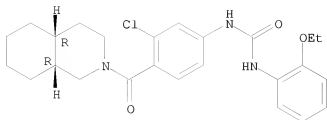
Relative stereochemistry.



RN 735348-84-2 CAPLUS

CN Urea, N-[3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(2-ethoxyphenyl)-, rel- (CA INDEX NAME)

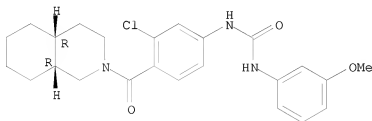
Relative stereochemistry.



RN 735348-85-3 CAPLUS

CN Urea, N-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(3-methoxyphenyl)-, rel- (CA INDEX NAME)

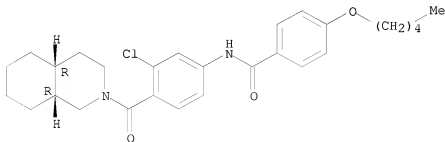
Relative stereochemistry.



RN 735348-86-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-(pentyloxy)-, rel- (CA INDEX NAME)

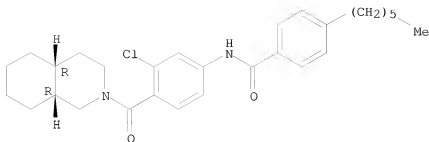
Relative stereochemistry.



RN 735348-87-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-hexyl-, rel- (CA INDEX NAME)

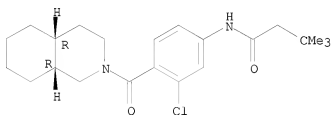
Relative stereochemistry.



RN 735348-88-6 CAPLUS

CN Butanamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-3,3-dimethyl-, rel- (CA INDEX NAME)

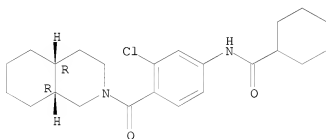
Relative stereochemistry.



RN 735348-89-7 CAPLUS

CN Cyclohexanecarboxamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

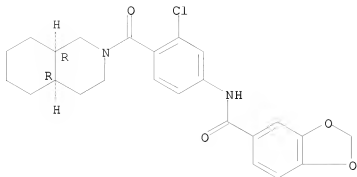
Relative stereochemistry.



RN 735348-90-0 CAPLUS

CN 1,3-Benzodioxole-5-carboxamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

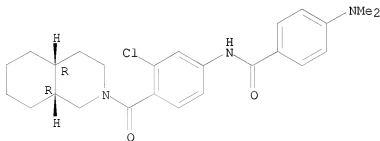
Relative stereochemistry.



RN 735348-91-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-(dimethylamino)-, rel- (CA INDEX NAME)

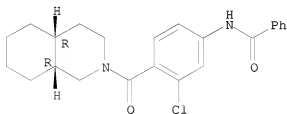
Relative stereochemistry.



RN 735348-92-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

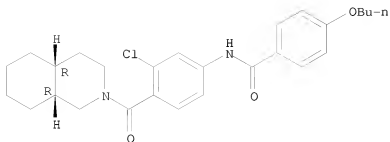
Relative stereochemistry.



RN 735348-93-3 CAPLUS

CN Benzamide, 4-butoxy-N-[3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

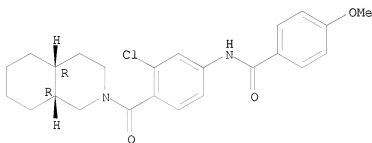
Relative stereochemistry.



RN 735348-94-4 CAPLUS

CN Benamide, N-[3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-methoxy-, rel- (CA INDEX NAME)

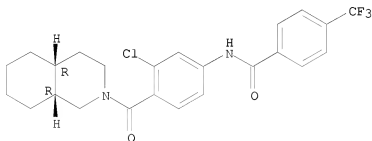
Relative stereochemistry.



RN 735348-95-5 CAPLUS

CN Benamide, N-[3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

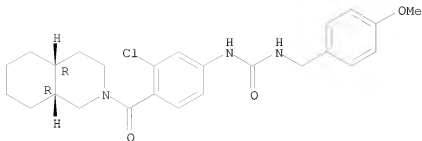
Relative stereochemistry.



RN 735348-96-6 CAPLUS

CN Urea, N-[3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-[(4-methoxyphenyl)methyl]-, rel- (CA INDEX NAME)

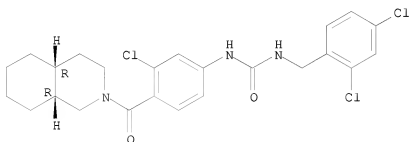
Relative stereochemistry.



RN 735348-97-7 CAPLUS

CN Urea, N-[3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-[(2,4-dichlorophenyl)methyl]-, rel- (CA INDEX NAME)

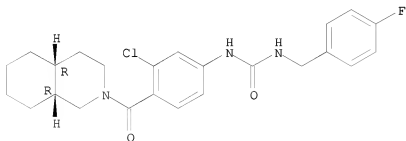
Relative stereochemistry.



RN 735348-98-8 CAPLUS

CN Urea, N-[3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-[(4-fluorophenyl)methyl]-, rel- (CA INDEX NAME)

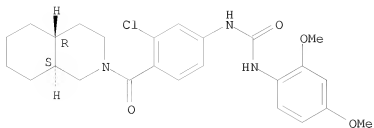
Relative stereochemistry.



RN 735348-99-9 CAPLUS

CN Urea, N-[3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-[(2,4-dimethoxyphenyl)methyl]-, rel- (CA INDEX NAME)

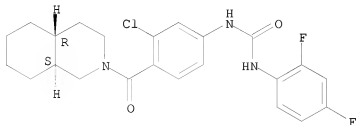
Relative stereochemistry.



RN 735349-00-5 CAPLUS

CN Urea, N-[3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(2,4-difluorophenyl)-, rel- (CA INDEX NAME)

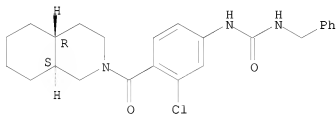
Relative stereochemistry.



RN 735349-01-6 CAPLUS

CN Urea, N-[3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(phenylmethyl)-, rel- (CA INDEX NAME)

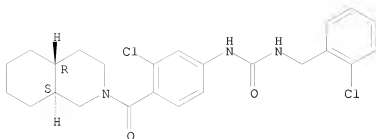
Relative stereochemistry.



RN 735349-02-7 CAPLUS

CN Urea, N-[3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(2-chlorophenyl)methyl]-, rel- (CA INDEX NAME)

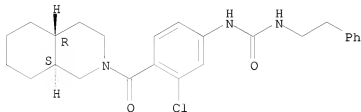
Relative stereochemistry.



RN 735349-03-8 CAPLUS

CN Urea, N-[3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(2-phenylethyl)-, rel- (CA INDEX NAME)

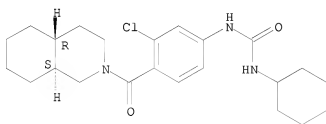
Relative stereochemistry.



RN 735349-04-9 CAPLUS

CN Urea, N-[3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-cyclohexyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

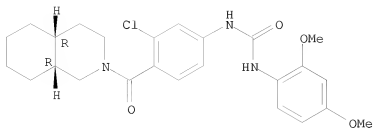


RN 735349-05-0 CAPLUS

CN Urea, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(2,4-dimethoxyphenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

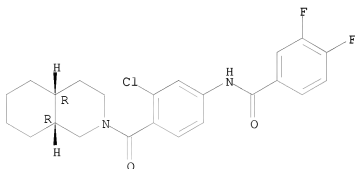




RN 735349-06-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-3,4-difluoro-, rel- (CA INDEX NAME)

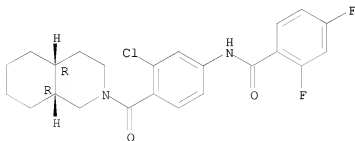
Relative stereochemistry.



RN 735349-07-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-2,4-difluoro-, rel- (CA INDEX NAME)

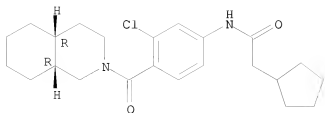
Relative stereochemistry.



RN 735349-08-3 CAPLUS

CN Cyclopentaneacetamide, N-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

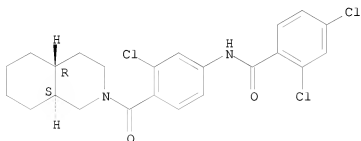
Relative stereochemistry.



RN 735349-09-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[3-chloro-4-[[4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

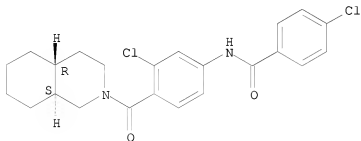
Relative stereochemistry.



RN 735349-10-7 CAPLUS

CN Benzamide, 4-chloro-N-[3-chloro-4-[[4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

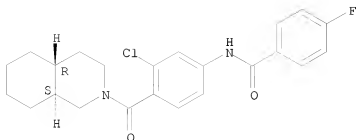
Relative stereochemistry.



RN 735349-11-8 CAPLUS

CN Benzamide, N-[3-chloro-4-[[4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-fluoro-, rel- (CA INDEX NAME)

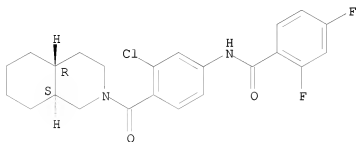
Relative stereochemistry.



RN 735349-12-9 CAPLUS

CN Benamide, N-[3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-2,4-difluoro-, rel- (CA INDEX NAME)

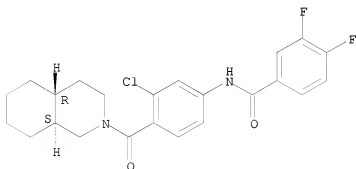
Relative stereochemistry.



RN 735349-13-0 CAPLUS

CN Benamide, N-[3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-3,4-difluoro-, rel- (CA INDEX NAME)

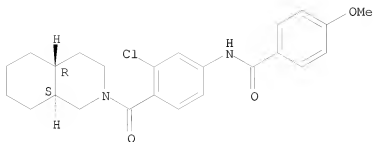
Relative stereochemistry.



RN 735349-14-1 CAPLUS

CN Benamide, N-[3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-methoxy-, rel- (CA INDEX NAME)

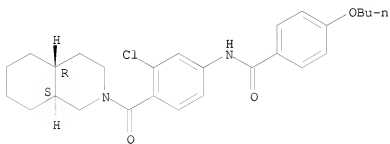
Relative stereochemistry.



RN 735349-15-2 CAPLUS

CN Benzamide, 4-butoxy-N-[3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl-, rel- (CA INDEX NAME)

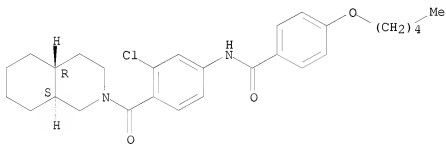
Relative stereochemistry.



RN 735349-16-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-(pentyloxy)-, rel- (CA INDEX NAME)

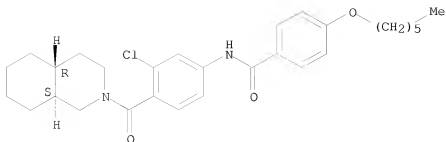
Relative stereochemistry.



RN 735349-17-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-(hexyloxy)-, rel- (CA INDEX NAME)

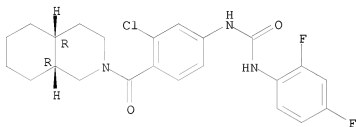
Relative stereochemistry.



RN 735349-18-5 CAPLUS

CN Urea, N-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(2,4-difluorophenyl)-, rel- (CA INDEX NAME)

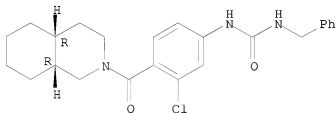
Relative stereochemistry.



RN 735349-19-6 CAPLUS

CN Urea, N-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(phenylmethyl)-, rel- (CA INDEX NAME)

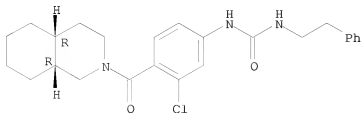
Relative stereochemistry.



RN 735349-20-9 CAPLUS

CN Urea, N-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(2-phenylethyl)-, rel- (CA INDEX NAME)

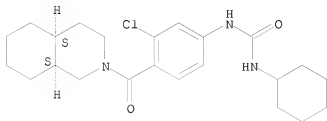
Relative stereochemistry.



RN 735349-21-0 CAPLUS

CN Urea, N-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-cyclohexyl-, rel- (CA INDEX NAME)

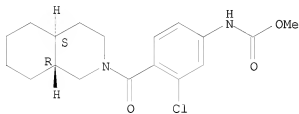
Relative stereochemistry.



RN 735349-22-1 CAPLUS

CN Carbamic acid, [3-chloro-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

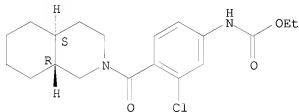
Relative stereochemistry.



RN 735349-23-2 CAPLUS

CN Carbamic acid, [3-chloro-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

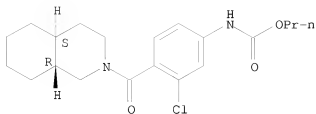
Relative stereochemistry.



RN 735349-24-3 CAPLUS

CN Carbamic acid, [3-chloro-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, propyl ester, rel- (9CI) (CA INDEX NAME)

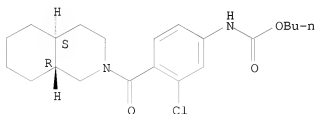
Relative stereochemistry.



RN 735349-25-4 CAPLUS

CN Carbamic acid, [3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, butyl ester, rel- (9CI) (CA INDEX NAME)

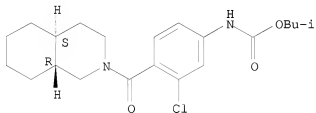
Relative stereochemistry.



RN 735349-26-5 CAPLUS

CN Carbamic acid, [3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2-methylpropyl ester, rel- (9CI) (CA INDEX NAME)

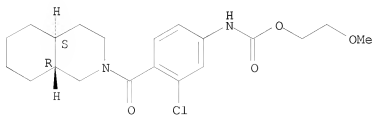
Relative stereochemistry.



RN 735349-27-6 CAPLUS

CN Carbamic acid, [3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2-methoxyethyl ester, rel- (9CI) (CA INDEX NAME)

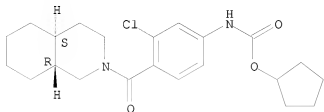
Relative stereochemistry.



RN 735349-28-7 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, cyclopentyl ester, rel- (9CI) (CA INDEX NAME)

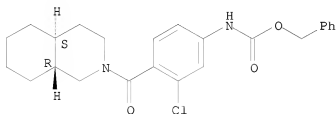
Relative stereochemistry.



RN 735349-29-8 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, phenylmethyl ester, rel- (9CI) (CA INDEX NAME)

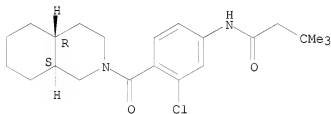
Relative stereochemistry.



RN 735349-30-1 CAPLUS

CN Butanamide, N-[3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-3,3-dimethyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

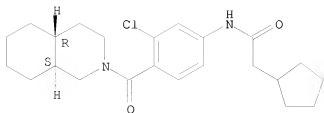


RN 735349-31-2 CAPLUS

CN Cyclopentaneacetamide, N-[3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

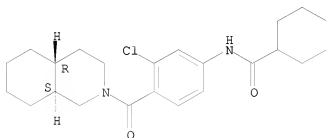




RN 735349-32-3 CAPLUS

CN Cyclohexanecarboxamide, N-[3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

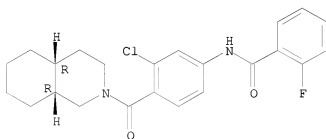
Relative stereochemistry.



RN 735349-33-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-2-fluoro-, rel- (CA INDEX NAME)

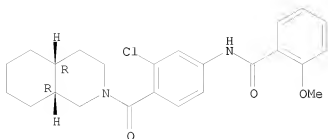
Relative stereochemistry.



RN 735349-34-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-2-methoxy-, rel- (CA INDEX NAME)

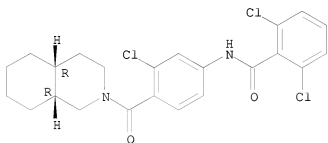
Relative stereochemistry.



RN 735349-35-6 CAPLUS

CN Benzamide, 2,6-dichloro-N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

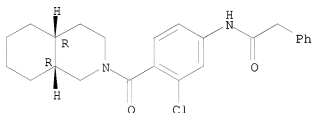
Relative stereochemistry.



RN 735349-36-7 CAPLUS

CN Benzeneacetamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

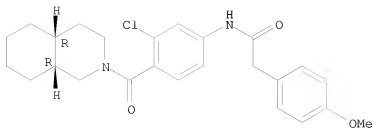
Relative stereochemistry.



RN 735349-37-8 CAPLUS

CN Benzeneacetamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-methoxy-, rel- (CA INDEX NAME)

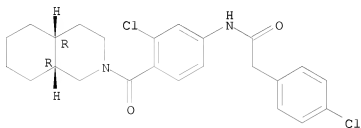
Relative stereochemistry.



RN 735349-38-9 CAPLUS

CN Benzenacetamide, 4-chloro-N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

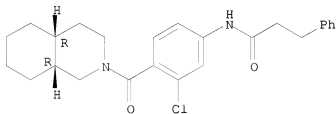
Relative stereochemistry.



RN 735349-39-0 CAPLUS

CN Benzenepropanamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

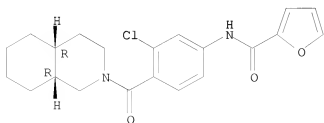
Relative stereochemistry.



RN 735349-40-3 CAPLUS

CN 2-Furancarboxamide, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

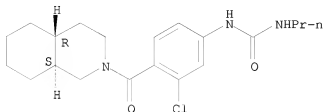
Relative stereochemistry.



RN 735349-41-4 CAPLUS

CN Urea, N-[3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-propyl-, rel- (CA INDEX NAME)

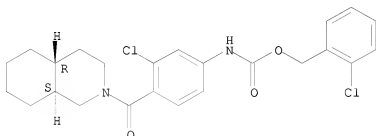
Relative stereochemistry.



RN 735349-42-5 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, (2-chlorophenyl)methyl ester, rel- (9CI) (CA INDEX NAME)

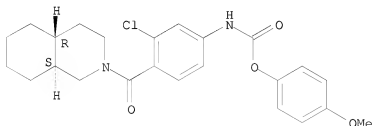
Relative stereochemistry.



RN 735349-43-6 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 4-methoxyphenyl ester, rel- (9CI) (CA INDEX NAME)

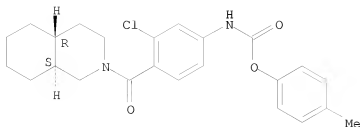
Relative stereochemistry.



RN 735349-44-7 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 4-methylphenyl ester, rel- (9CI) (CA INDEX NAME)

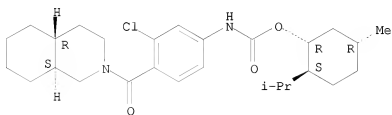
Relative stereochemistry.



RN 735349-45-8 CAPLUS

CN Carbamic acid, [3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, (1R,2S,5R)-5-methyl-2-(1-methylethyl)cyclohexyl ester, rel- (9CI) (CA INDEX NAME)

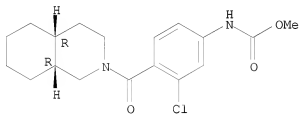
Relative stereochemistry.



RN 735349-46-9 CAPLUS

CN Carbamic acid, [3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

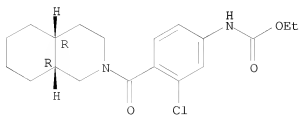
Relative stereochemistry.



RN 735349-47-0 CAPLUS

CN Carbamic acid, [3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

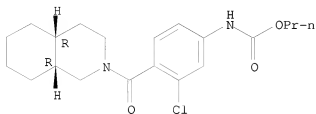
Relative stereochemistry.



RN 735349-48-1 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, propyl ester, rel- (9CI) (CA INDEX NAME)

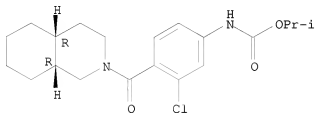
Relative stereochemistry.



RN 735349-49-2 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 1-methylethyl ester, rel- (9CI) (CA INDEX NAME)

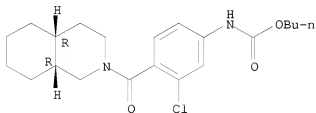
Relative stereochemistry.



RN 735349-50-5 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, butyl ester, rel- (9CI) (CA INDEX NAME)

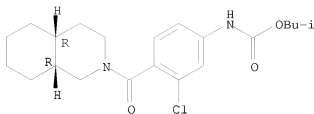
Relative stereochemistry.



RN 735349-51-6 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2-methylpropyl ester, rel- (9CI) (CA INDEX NAME)

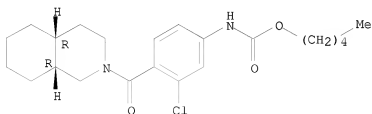
Relative stereochemistry.



RN 735349-52-7 CAPLUS

CN Carbamic acid, [3-chloro-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, pentyl ester, rel- (9CI) (CA INDEX NAME)

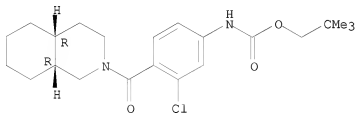
Relative stereochemistry.



RN 735349-53-8 CAPLUS

CN Carbamic acid, [3-chloro-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2,2-dimethylpropyl ester, rel- (9CI) (CA INDEX NAME)

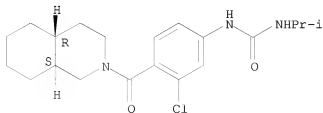
Relative stereochemistry.



RN 735349-54-9 CAPLUS

CN Urea, N-[3-chloro-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(1-methylethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

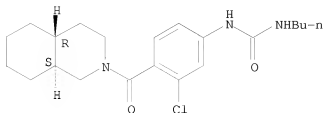


RN 735349-55-0 CAPLUS

CN Urea, N-butyl-N'-[3-chloro-4-[(4aR,8aR)-octahydro-2(1H)-

isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

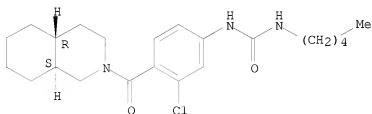
Relative stereochemistry.



RN 735349-56-1 CAPLUS

CN Urea, N-[3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-pentyl-, rel- (CA INDEX NAME)

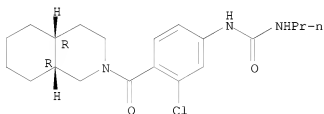
Relative stereochemistry.



RN 735349-57-2 CAPLUS

CN Urea, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-propyl-, rel- (CA INDEX NAME)

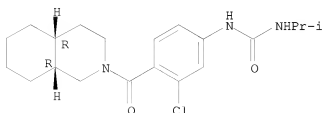
Relative stereochemistry.



RN 735349-58-3 CAPLUS

CN Urea, N-[3-chloro-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(1-methylethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

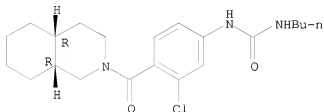




RN 735349-59-4 CAPLUS

CN Urea, N-butyl-N'-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

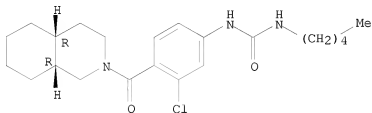
Relative stereochemistry.



RN 735349-60-7 CAPLUS

CN Urea, N-[3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-pentyl-, rel- (CA INDEX NAME)

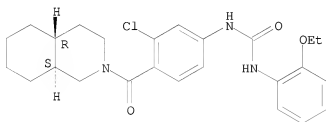
Relative stereochemistry.



RN 735349-61-8 CAPLUS

CN Urea, N-[3-chloro-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(2-ethoxyphenyl)-, rel- (CA INDEX NAME)

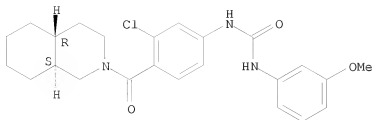
Relative stereochemistry.



RN 735349-62-9 CAPLUS

CN Urea, N-[3-chloro-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(3-methoxyphenyl)-, rel- (CA INDEX NAME)

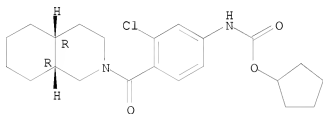
Relative stereochemistry.



RN 735349-63-0 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, cyclopentyl ester, rel- (9CI) (CA INDEX NAME)

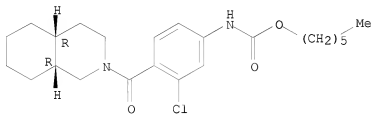
Relative stereochemistry.



RN 735349-64-1 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, hexyl ester, rel- (9CI) (CA INDEX NAME)

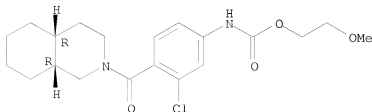
Relative stereochemistry.



RN 735349-65-2 CAPLUS

CN Carbamic acid, [3-chloro-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2-methoxyethyl ester, rel- (9CI) (CA INDEX NAME)

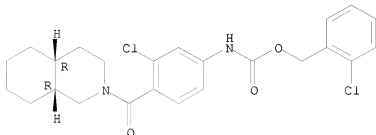
Relative stereochemistry.



RN 735349-66-3 CAPLUS

CN Carbamic acid, [3-chloro-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, (2-chlorophenyl)methyl ester, rel- (9CI) (CA INDEX NAME)

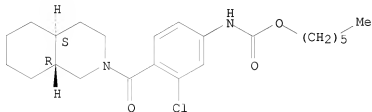
Relative stereochemistry.



RN 735349-67-4 CAPLUS

CN Carbamic acid, [3-chloro-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, hexyl ester, rel- (9CI) (CA INDEX NAME)

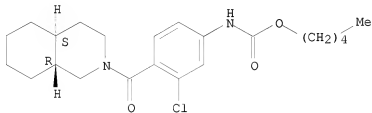
Relative stereochemistry.



RN 735349-68-5 CAPLUS

CN Carbamic acid, [3-chloro-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, pentyl ester, rel- (9CI) (CA INDEX NAME)

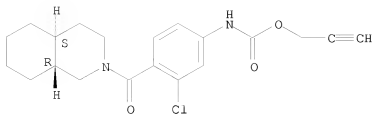
Relative stereochemistry.



RN 735349-69-6 CAPLUS

CN Carbamic acid, [3-chloro-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2-propynyl ester, rel- (9CI) (CA INDEX NAME)

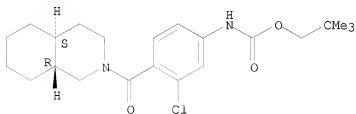
Relative stereochemistry.



RN 735349-70-9 CAPLUS

CN Carbamic acid, [3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2,2-dimethylpropyl ester, rel- (9CI) (CA INDEX NAME)

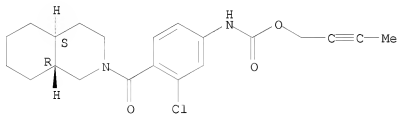
Relative stereochemistry.



RN 735349-71-0 CAPLUS

CN Carbamic acid, [3-chloro-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2-butynyl ester, rel- (9CI) (CA INDEX NAME)

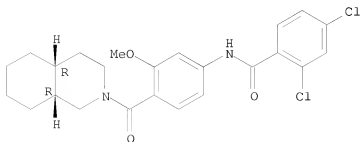
Relative stereochemistry.



RN 735349-72-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[3-methoxy-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

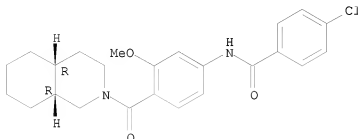
Relative stereochemistry.



RN 735349-73-2 CAPLUS

CN Benzamide, 4-chloro-N-[3-methoxy-4-[[ (4aR, 8aR)-octahydro-2 (1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

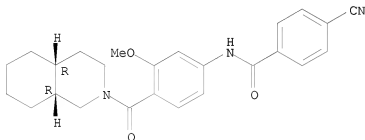
Relative stereochemistry.



RN 735349-74-3 CAPLUS

CN Benzamide, 4-cyano-N-[3-methoxy-4-[[ (4aR, 8aR)-octahydro-2 (1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

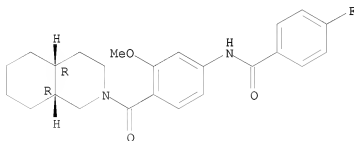
Relative stereochemistry.



RN 735349-75-4 CAPLUS

CN Benzamide, 4-fluoro-N-[3-methoxy-4-[[ (4aR, 8aR)-octahydro-2 (1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

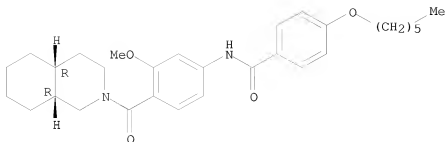
Relative stereochemistry.



RN 735349-76-5 CAPLUS

CN Benzamide, 4-(hexyloxy)-N-[3-methoxy-4-[[ (4aR, 8aR)-octahydro-2 (1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

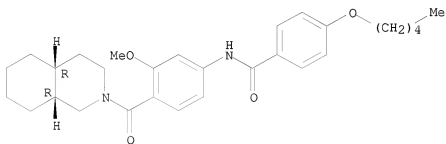
Relative stereochemistry.



RN 735349-77-6 CAPLUS

CN Benamide, N-[3-methoxy-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-(pentyloxy)-, rel- (CA INDEX NAME)

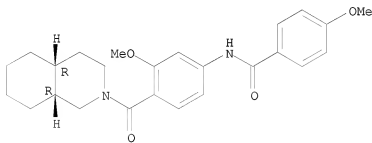
Relative stereochemistry.



RN 735349-78-7 CAPLUS

CN Benamide, 4-methoxy-N-[3-methoxy-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

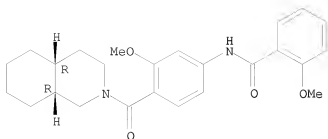
Relative stereochemistry.



RN 735349-79-8 CAPLUS

CN Benamide, 2-methoxy-N-[3-methoxy-4-[[4aR,8aR]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

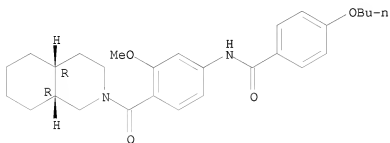
Relative stereochemistry.



RN 735349-80-1 CAPLUS

CN Benzamide, 4-butoxy-N-[3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

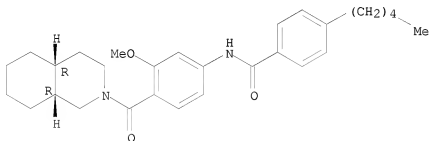
Relative stereochemistry.



RN 735349-81-2 CAPLUS

CN Benzamide, N-[3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-pentyl-, rel- (CA INDEX NAME)

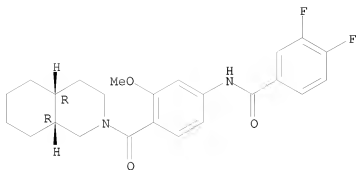
Relative stereochemistry.



RN 735349-82-3 CAPLUS

CN Benzamide, 3,4-difluoro-N-[3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

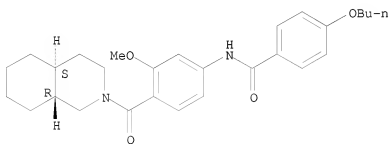
Relative stereochemistry.



RN 735349-83-4 CAPLUS

CN Benzamide, 4-butoxy-N-[3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

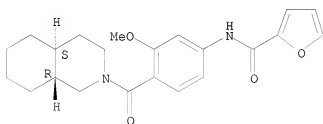
Relative stereochemistry.



RN 735349-84-5 CAPLUS

CN 2-Furancarboxamide, N-[3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

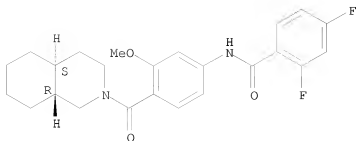


RN 735349-85-6 CAPLUS

CN Benzamide, 2,4-difluoro-N-[3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

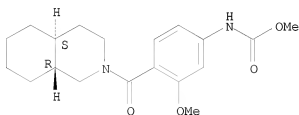




RN 735349-86-7 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

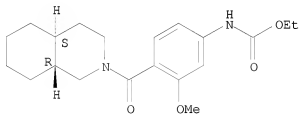
Relative stereochemistry.



RN 735349-87-8 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

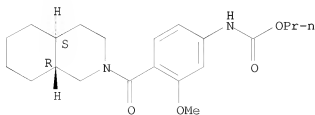
Relative stereochemistry.



RN 735349-88-9 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, propyl ester, rel- (9CI) (CA INDEX NAME)

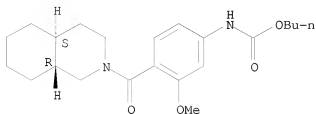
Relative stereochemistry.



RN 735349-89-0 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, butyl ester, rel- (9CI) (CA INDEX NAME)

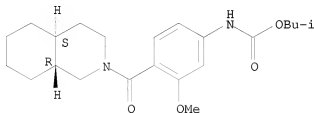
Relative stereochemistry.



RN 735349-90-3 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2-methylpropyl ester, rel- (9CI) (CA INDEX NAME)

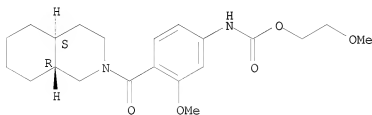
Relative stereochemistry.



RN 735349-91-4 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2-methoxyethyl ester, rel- (9CI) (CA INDEX NAME)

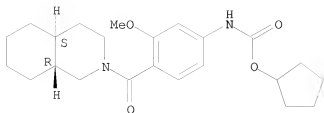
Relative stereochemistry.



RN 735349-92-5 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, cyclopentyl ester, rel- (9CI) (CA INDEX NAME)

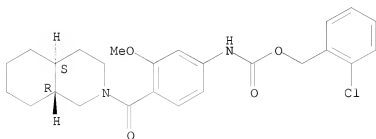
Relative stereochemistry.



RN 735349-93-6 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, (2-chlorophenyl)methyl ester, rel- (9CI)  
(CA INDEX NAME)

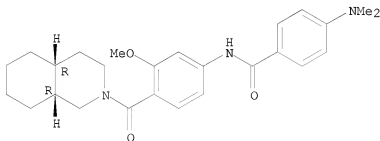
Relative stereochemistry.



RN 735349-94-7 CAPLUS

CN Benzamide, 4-(dimethylamino)-N-[3-methoxy-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

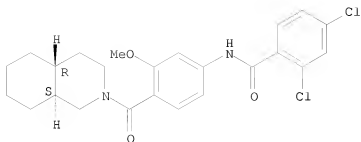
Relative stereochemistry.



RN 735349-95-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

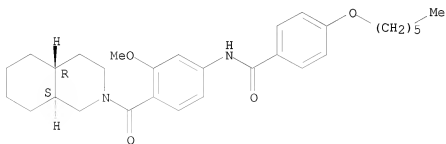
Relative stereochemistry.



RN 735349-96-9 CAPLUS

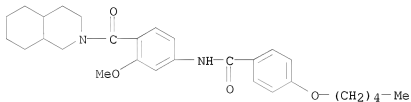
CN Benzamide, 4-(hexyloxy)-N-[3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 735349-97-0 CAPLUS

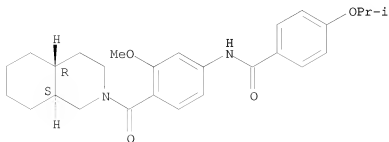
CN Benzamide, N-[3-methoxy-4-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]-4-(pentyloxy)- (CA INDEX NAME)



RN 735349-98-1 CAPLUS

CN Benzamide, N-[3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-(1-methylethoxy)-, rel- (CA INDEX NAME)

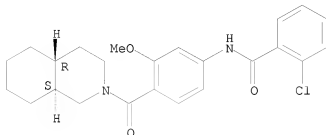
Relative stereochemistry.



RN 735349-99-2 CAPLUS

CN Benzamide, 2-chloro-N-[3-methoxy-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

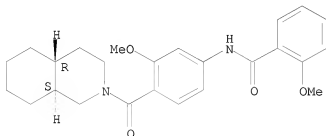
Relative stereochemistry.



RN 735350-00-2 CAPLUS

CN Benzamide, 2-methoxy-N-[3-methoxy-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

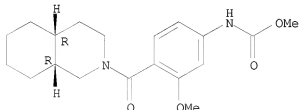
Relative stereochemistry.



RN 735350-01-3 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

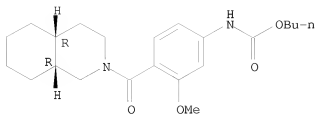
Relative stereochemistry.



RN 735350-02-4 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[ (4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, butyl ester, rel- (9CI) (CA INDEX NAME)

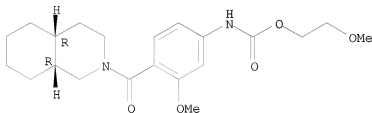
Relative stereochemistry.



RN 735350-03-5 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2-methoxyethyl ester, rel- (9CI) (CA INDEX NAME)

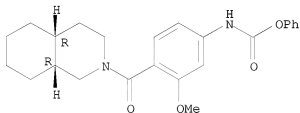
Relative stereochemistry.



RN 735350-04-6 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, phenyl ester, rel- (9CI) (CA INDEX NAME)

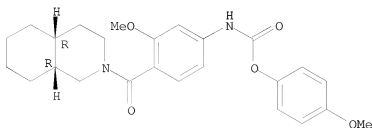
Relative stereochemistry.



RN 735350-05-7 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 4-methoxyphenyl ester, rel- (9CI) (CA INDEX NAME)

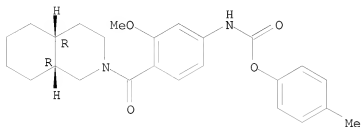
Relative stereochemistry.



RN 735350-06-8 CAPLUS

CN Carbamic acid, [3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 4-methylphenyl ester, rel- (9CI) (CA INDEX NAME)

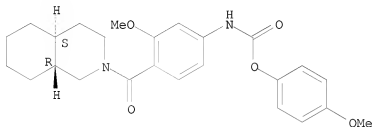
Relative stereochemistry.



RN 735350-07-9 CAPLUS

CN Carbamic acid, [3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 4-methoxyphenyl ester, rel- (9CI) (CA INDEX NAME)

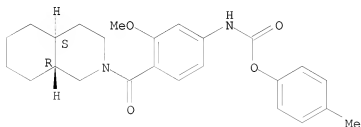
Relative stereochemistry.



RN 735350-08-0 CAPLUS

CN Carbamic acid, [3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 4-methylphenyl ester, rel- (9CI) (CA INDEX NAME)

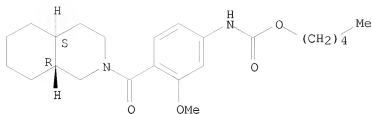
Relative stereochemistry.



RN 735350-09-1 CAPLUS

CN Carbamic acid, [3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, pentyl ester, rel- (9CI) (CA INDEX NAME)

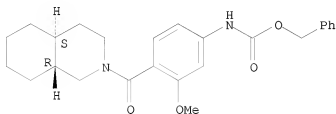
Relative stereochemistry.



RN 735350-10-4 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, phenylmethyl ester, rel- (9CI) (CA INDEX NAME)

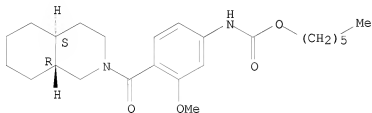
Relative stereochemistry.



RN 735350-11-5 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, hexyl ester, rel- (9CI) (CA INDEX NAME)

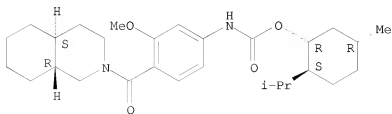
Relative stereochemistry.



RN 735350-12-6 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[ (4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, (1S,2R,5S)-5-methyl-2-(1-methylethyl)cyclohexyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

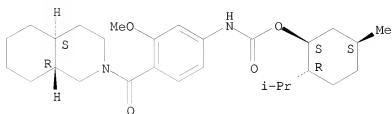


RN 735350-13-7 CAPLUS



CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, (1R,2S,5R)-5-methyl-2-(1-methylethyl)cyclohexyl ester, rel- (9CI) (CA INDEX NAME)

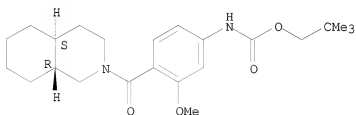
Relative stereochemistry.



RN 735350-14-8 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2,2-dimethylpropyl ester, rel- (9CI) (CA INDEX NAME)

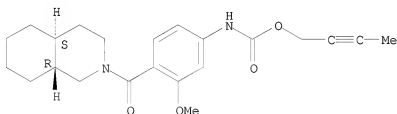
Relative stereochemistry.



RN 735350-15-9 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2-butynyl ester, rel- (9CI) (CA INDEX NAME)

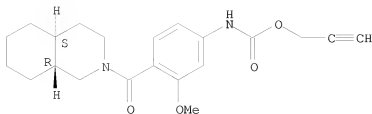
Relative stereochemistry.



RN 735350-16-0 CAPLUS

CN Carbamic acid, [3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 2-propynyl ester, rel- (9CI) (CA INDEX NAME)

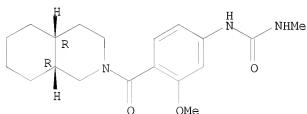
Relative stereochemistry.



RN 735350-17-1 CAPLUS

CN Urea, N-[3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-methyl-, rel- (CA INDEX NAME)

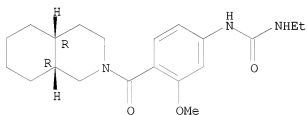
Relative stereochemistry.



RN 735350-18-2 CAPLUS

CN Urea, N-ethyl-N'-[3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

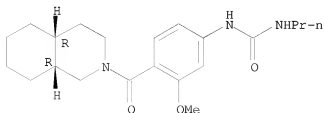
Relative stereochemistry.



RN 735350-19-3 CAPLUS

CN Urea, N-[3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-propyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

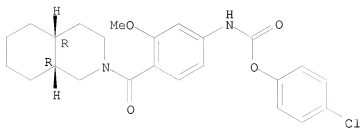


RN 735350-20-6 CAPLUS

CN Carbamic acid, [3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, 4-chlorophenyl ester, rel- (9CI) (CA INDEX NAME)

INDEX NAME)

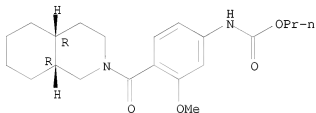
Relative stereochemistry.



RN 735350-21-7 CAPLUS

CN Carbamic acid, [3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, propyl ester, rel- (9CI) (CA INDEX NAME)

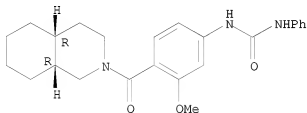
Relative stereochemistry.



RN 735350-22-8 CAPLUS

CN Urea, N-[3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-phenyl-, rel- (CA INDEX NAME)

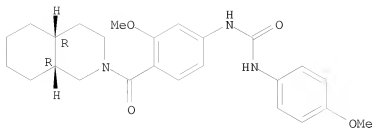
Relative stereochemistry.



RN 735350-23-9 CAPLUS

CN Urea, N-[3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(4-methoxyphenyl)-, rel- (CA INDEX NAME)

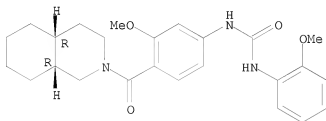
Relative stereochemistry.



RN 735350-24-0 CAPLUS

CN Urea, N-[3-methoxy-4-[[ (4aR, 8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(2-methoxyphenyl)-, rel- (CA INDEX NAME)

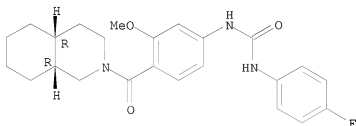
Relative stereochemistry.



RN 735350-25-1 CAPLUS

CN Urea, N-(4-fluorophenyl)-N'-[3-methoxy-4-[[ (4aR, 8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

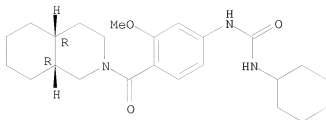
Relative stereochemistry.



RN 735350-26-2 CAPLUS

CN Urea, N-cyclohexyl-N'-[3-methoxy-4-[[ (4aR, 8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

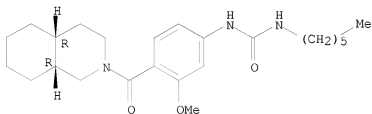
Relative stereochemistry.



RN 735350-27-3 CAPLUS

CN Urea, N-hexyl-N'-[3-methoxy-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

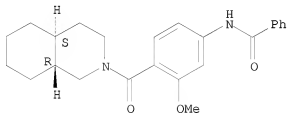
Relative stereochemistry.



RN 735350-28-4 CAPLUS

CN Benzamide, N-[3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

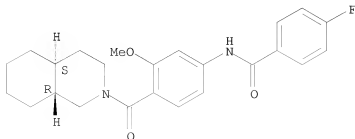
Relative stereochemistry.



RN 735350-29-5 CAPLUS

CN Benzamide, 4-fluoro-N-[3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

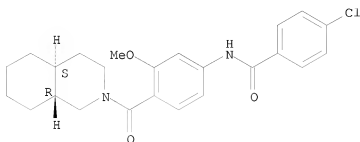
Relative stereochemistry.



RN 735350-30-8 CAPLUS

CN Benzamide, 4-chloro-N-[3-methoxy-4-[[ (4aR, 8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

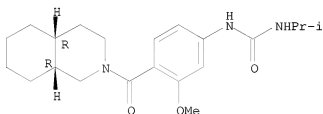
Relative stereochemistry.



RN 735350-31-9 CAPLUS

CN Urea, N-[3-methoxy-4-[[ (4aR, 8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(1-methylethyl)-, rel- (CA INDEX NAME)

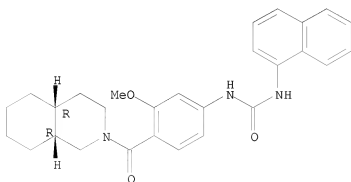
Relative stereochemistry.



RN 735350-32-0 CAPLUS

CN Urea, N-[3-methoxy-4-[[ (4aR, 8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-1-naphthalenyl-, rel- (CA INDEX NAME)

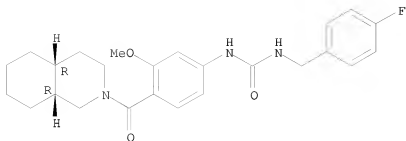
Relative stereochemistry.



RN 735350-33-1 CAPLUS

CN Urea, N-[(4-fluorophenyl)methyl]-N'-[3-methoxy-4-[[ (4aR, 8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

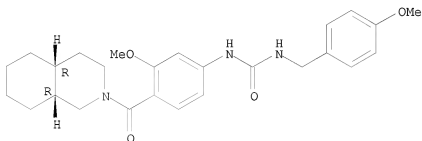
Relative stereochemistry.



RN 735350-34-2 CAPLUS

CN Urea, N-[3-methoxy-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-[(4-methoxyphenyl)methyl]-, rel- (CA INDEX NAME)

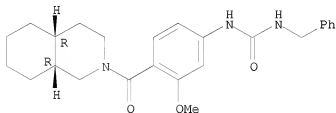
Relative stereochemistry.



RN 735350-35-3 CAPLUS

CN Urea, N-[3-methoxy-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(phenylmethyl)-, rel- (CA INDEX NAME)

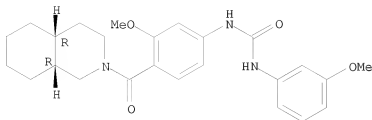
Relative stereochemistry.



RN 735350-36-4 CAPLUS

CN Urea, N-[3-methoxy-4-[[[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(3-methoxyphenyl)-, rel- (CA INDEX NAME)

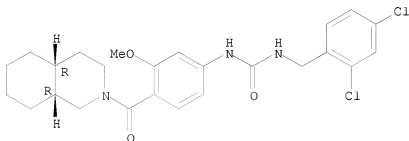
Relative stereochemistry.



RN 735350-37-5 CAPLUS

CN Urea, N-[(2,4-dichlorophenyl)methyl]-N'-[3-methoxy-4-[(4aR,8aR)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

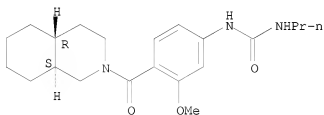
Relative stereochemistry.



RN 735350-38-6 CAPLUS

CN Urea, N-[3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-propyl-, rel- (CA INDEX NAME)

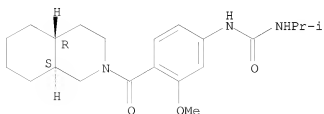
Relative stereochemistry.



RN 735350-39-7 CAPLUS

CN Urea, N-[3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(1-methylethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

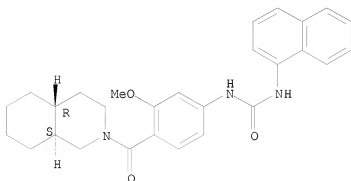




RN 735350-40-0 CAPLUS

CN Urea, N-[3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-1-naphthalenyl-, rel- (CA INDEX NAME)

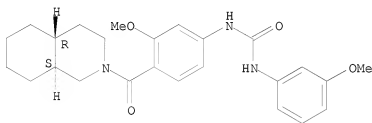
Relative stereochemistry.



RN 735350-41-1 CAPLUS

CN Urea, N-[3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-(3-methoxyphenyl)-, rel- (CA INDEX NAME)

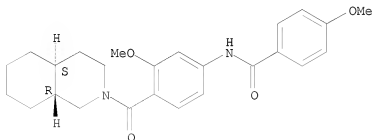
Relative stereochemistry.



RN 735350-42-2 CAPLUS

CN Benzamide, 4-methoxy-N-[3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

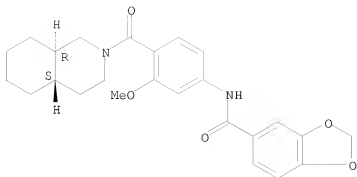
Relative stereochemistry.



RN 735350-43-3 CAPLUS

CN 1,3-Benzodioxole-5-carboxamide, N-[3-methoxy-4-[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

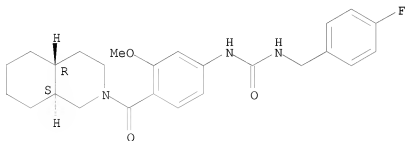
Relative stereochemistry.



RN 735350-44-4 CAPLUS

CN Urea, N-[(4-fluorophenyl)methyl]-N'-[3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

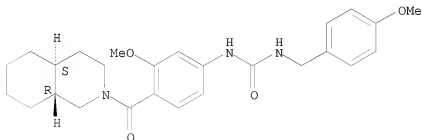
Relative stereochemistry.



RN 735350-45-5 CAPLUS

CN Urea, N-[3-methoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-N'-[(4-methoxyphenyl)methyl]-, rel- (CA INDEX NAME)

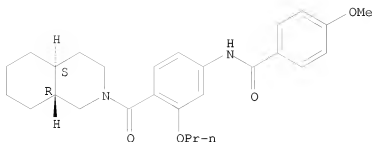
Relative stereochemistry.



RN 735350-46-6 CAPLUS

CN Benzamide, 4-methoxy-N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

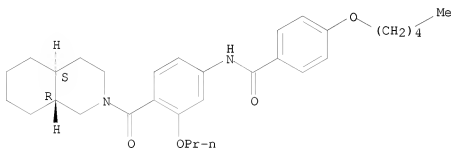
Relative stereochemistry.



RN 735350-47-7 CAPLUS

CN Benzamide, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-4-(pentyloxy)-, rel- (CA INDEX NAME)

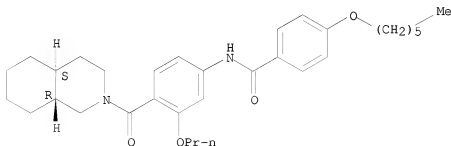
Relative stereochemistry.



RN 735350-48-8 CAPLUS

CN Benzamide, 4-(hexyloxy)-N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

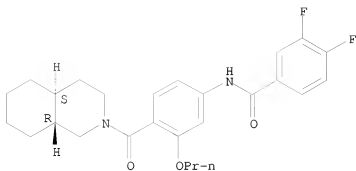
Relative stereochemistry.



RN 735350-49-9 CAPLUS

CN Benzamide, 3,4-difluoro-N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

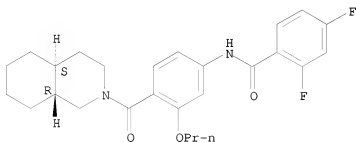
Relative stereochemistry.



RN 735350-50-2 CAPLUS

CN Benzamide, 2,4-difluoro-N-[4-[[4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl-, rel- (CA INDEX NAME)

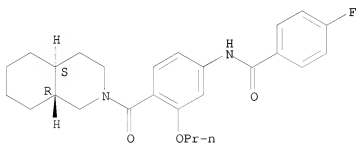
Relative stereochemistry.



RN 735350-51-3 CAPLUS

CN Benzamide, 4-fluoro-N-[4-[[4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl-, rel- (CA INDEX NAME)

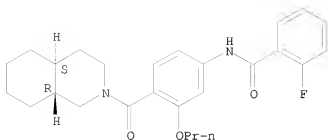
Relative stereochemistry.



RN 735350-52-4 CAPLUS

CN Benzamide, 2-fluoro-N-[4-[[4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl-, rel- (CA INDEX NAME)

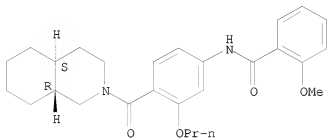
Relative stereochemistry.



RN 735350-53-5 CAPLUS

CN Benzamide, 2-methoxy-N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

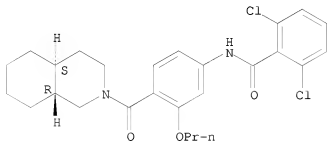
Relative stereochemistry.



RN 735350-54-6 CAPLUS

CN Benzamide, 2,6-dichloro-N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

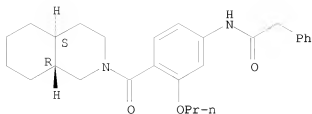
Relative stereochemistry.



RN 735350-55-7 CAPLUS

CN Benzeneacetamide, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

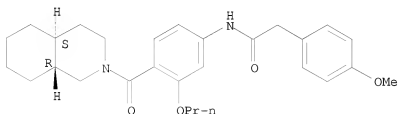
Relative stereochemistry.



RN 735350-56-8 CAPLUS

CN Benzeneacetamide, 4-methoxy-N-[4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

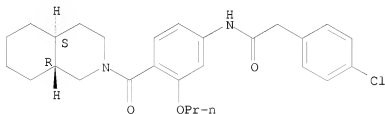
Relative stereochemistry.



RN 735350-57-9 CAPLUS

CN Benzeneacetamide, 4-chloro-N-[4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

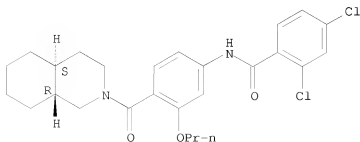
Relative stereochemistry.



RN 735350-58-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

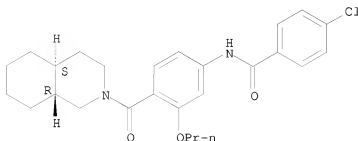
Relative stereochemistry.



RN 735350-59-1 CAPLUS

CN Benamide, 4-chloro-N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

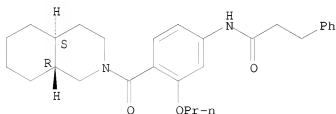
Relative stereochemistry.



RN 735350-60-4 CAPLUS

CN Benzenepropanamide, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

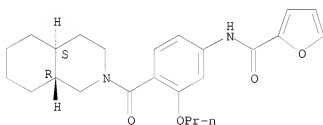
Relative stereochemistry.



RN 735350-61-5 CAPLUS

CN 2-Furancarboxamide, N-[4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-3-propoxyphenyl]-, rel- (CA INDEX NAME)

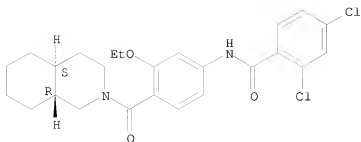
Relative stereochemistry.



RN 735350-62-6 CAPLUS

CN Benamide, 2,4-dichloro-N-[3-ethoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

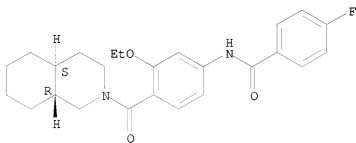
Relative stereochemistry.



RN 735350-63-7 CAPLUS

CN Benamide, N-[3-ethoxy-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-4-fluoro-, rel- (CA INDEX NAME)

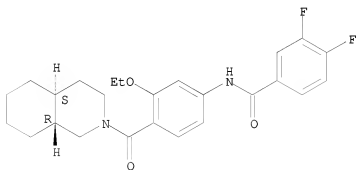
Relative stereochemistry.



RN 735350-64-8 CAPLUS

CN Benamide, N-[3-ethoxy-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-3,4-difluoro-, rel- (CA INDEX NAME)

Relative stereochemistry.

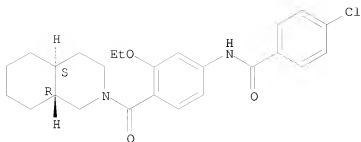


RN 735350-65-9 CAPLUS

CN Benamide, 4-chloro-N-[3-ethoxy-4-[[4aR,8aS]-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

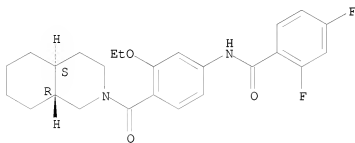




RN 735350-66-0 CAPLUS

CN Benzamide, N-[3-ethoxy-4-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]phenyl]-2,4-difluoro-, rel- (CA INDEX NAME)

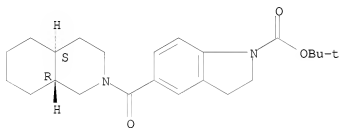
Relative stereochemistry.



RN 735350-67-1 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-, 1,1-dimethylethyl ester, rel- (CA INDEX NAME)

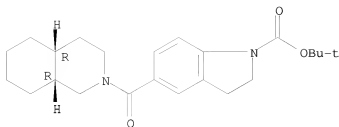
Relative stereochemistry.



RN 735350-68-2 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[[(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]carbonyl]-, 1,1-dimethylethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS  
RECORD (17 CITINGS)  
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 34 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2003:376830 CAPLUS  
DOCUMENT NUMBER: 138:385441  
TITLE: Preparation of quinazolines as antitumor agents  
INVENTOR(S): Hennequin, Laurent Francois Andre; Kettle, Jason  
Grant; Pass, Martin; Bradbury, Robert Hugh  
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
SOURCE: PCT Int. Appl., 218 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040108	A1	20030515	WO 2002-GB4931	20021031
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465068	A1	20030515	CA 2002-2465068	20021031
AU 2002341156	A1	20030519	AU 2002-341156	20021031
EP 1444210	A1	20040811	EP 2002-774960	20021031
EP 1444210	B1	20090218		
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CN 1585754	A	20050223	CN 2002-826384	20021031
CN 100343238	C	20071017		
JP 2005515176	T	20050526	JP 2003-542154	20021031
NZ 532524	A	20070223	NZ 2002-532524	20021031
AT 423104	T	20090315	AT 2002-774960	20021031
ES 2320980	T3	20090601	ES 2002-774960	20021031
IN 2004DN01092	A	20050401	IN 2004-DN1092	20040423
MX 2004004219	A	20040910	MX 2004-4219	20040503
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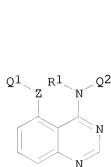
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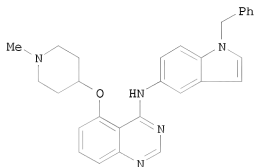
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GB 2001-29059  
WO 2002-GB4931  
US 2004-494137

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B1 20041006

OTHER SOURCE(S): MARPAT 138:385441  
GI



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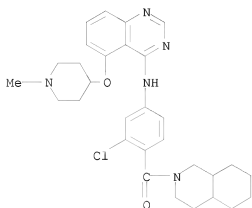
II

AB Anilino-, indolylamino-, and benzopyrazolylamino-substituted quinazolines I [wherein R1, R2, R3, and R6 = independently H or alkyl; Z = a bond, O, S, or NR2; Q1 = (un)substituted cycloalkyl(alkyl), cycloalkyl(alkenyl), cycloalkyl(alkynyl), or heterocyclyl(alkyl); with the proviso that alkylene chains within Q1Z are optionally interrupted by O, S, SO, SO2, NR3, CO, CHOR3, CONR3, NR3CO, SO2NR3, NR3SO2, CH=CH, or C.tplbond.C; Q2 = (un)substituted C6H4-4-X2Q2, 1-(X3Q4)indol-5-yl, 1-(X3Q4)-indol-6-yl, 1-(X3Q4)-1H-benzopyrazol-5-yl, or 1-(X3Q4)-1H-benzopyrazol-6-yl; X2 = a bond, O, S, SO, SO2, NR6, CHOR6, CONR6, NR6CO, SO2NR6, NR6SO2, OC(R6)2, C(R6)2O, SC(R6)2, C(R6)2S, CO, C(R6)2NR6, or NR6C(R6)2; or X2Q3 = heterocyclylcarbonyl; X3 = a bond, SO2, CO, SO2NR7, or C(R7)2; Q3 and Q4 = independently (un)substituted (heteroaryl); and pharmaceutically acceptable salts thereof] were prepared for use in the prevention or treatment of tumors which are sensitive to inhibition of erbB receptor tyrosine kinases. For example, coupling of 4-hydroxy-1-methylpiperidine with 5-fluoro-3,4-dihydroquinazolin-4-one using NaH in DMA gave the ether (91%). Reaction with POCl3 and di-isopropylethylamine in DCM provided 4-chloro-5-(1-methylpiperidin-4-yloxy)quinazoline (62%), which was coupled with 5-amino-1-benzylindole in the presence of IPA containing HCl in ether to afford II•HCl (46%). The biol. activity of the example compds. was assessed in five assays. Thus, I inhibited the phosphorylation of a tyrosine-containing polypeptide substrate by epidermal growth factor receptor (EGFR) kinase, erbB2 kinase, and erbB4 kinase with IC50 values in the range of 0.001  $\mu$ M - 10  $\mu$ M. I also inhibited the proliferation of both human naso-pharyngeal carcinoma KB cells and non-neoplastic epithelial H16N-2 cells with IC50 values in the range 0.001  $\mu$ M - 20  $\mu$ M. In addition, I inhibited the growth of colorectal adenocarcinoma LoVo and human mammary carcinoma BT-474 tumor cell xenografts in vivo with activities in the range of 1 mg/kg/day to 200 mg/kg/day with no physiol. unacceptable toxicity at the ED.

IT 524954-38-9P, 4-[3-Chloro-4-(decahydroisoquinolin-2-ylcarbonyl)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antitumor agent; preparation of quinazolines as erbB receptor tyrosine kinase inhibitors for treatment of cancer)

RN 524954-38-9 CAPLUS  
 CN Methanone, [2-chloro-4-[[5-[(1-methyl-4-piperidinyl)oxy]-4-quinazolinyl]amino]phenyl] (octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)  
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 35 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:319904 CAPLUS

DOCUMENT NUMBER: 138:321428

TITLE: Preparation of himbacine analogues as thrombin receptor antagonists

INVENTOR(S): Chackalamannil, Samuel; Chelliah, Mariappan V.; Clasby, Martin C.; Xia, Yan

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

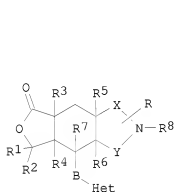
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

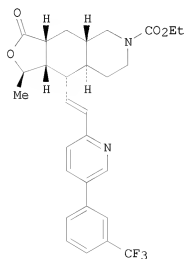
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003033501	A1	20030424	WO 2002-US32936	20021016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TC, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
CA 2463628	A1	20030424	CA 2002-2463628	20021016
AU 2002335031	A1	20030428	AU 2002-335031	20021016
AU 2002335031	B2	20050630		
US 20030203927	A1	20031030	US 2002-271715	20021016
US 7037920	B2	20060502		
EP 1436298	A1	20040714	EP 2002-801732	20021016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1571789	A	20050126	CN 2002-820666	20021016
CN 100369917	C	20080220		
HU 2005000443	A2	20050829	HU 2005-443	20021016
HU 2005000443	A3	20091228		
BR 2002013967	A	20050830	BR 2002-13967	20021016
JP 2005529841	T	20051006	JP 2003-536240	20021016
JP 4307260	B2	20090805		
NZ 531869	A	20061130	NZ 2002-531869	20021016
RU 2319704	C2	20080320	RU 2004-115114	20021016
KR 960170	B1	20100526	KR 2004-705435	20021016
ZA 2004002849	A	20050114	ZA 2004-2849	20040415
MX 2004003610	A	20040727	MX 2004-3610	20040416
IN 2004CN00793	A	20060113	IN 2004-CN793	20040416
IN 218259	A1	20080523		
NO 2004002021	A	20040514	NO 2004-2021	20040514
US 20060106050	A1	20060518	US 2005-311083	20051219
IN 2007CN01003	A	20070831	IN 2007-CN1003	20070308
JP 2009029820	A	20090212	JP 2008-238716	20080917
PRIORITY APPLN. INFO.:			US 2001-330359P	P 20011018
			JP 2003-536240	A3 20021016
			US 2002-271715	A3 20021016
			WO 2002-US32936	W 20021016
			IN 2004-CN793	A3 20040416

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 138:321428  
 GI



I



II

AB Heterocyclic-substituted tricyclics of formula I [R = H, alkyl, halo, OH, amino, aryl, etc.; R1-R7 = H, OH, alkyl, cycloalkyl, etc.; R8 = acyl, carboxy, amino, etc.; X = (CH2)n; Y = (CH2)m; n, m = 0-3; B = alkyl, (substituted) alkenyl; Het = (substituted) mono-, bi- or tricyclic heteroarom. group] are prepared for treating diseases associated with thrombosis, atherosclerosis, restenosis, hypertension, angina pectoris, arrhythmia, heart failure, and cancer. Pharmaceutical compns. containing I are described. Thus, II was prepared in several steps. The prepared compds. were found to have IC50 values from 1 to 2000 nM in in vivo antitumor tests against human breast carcinoma in nude mice.

IT 514203-24-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

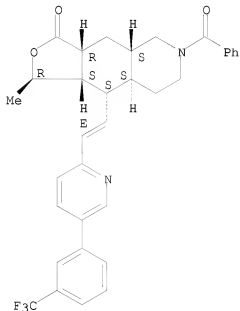
(preparation of himbacine analogs as thrombin receptor antagonists)

RN 514203-24-8 CAPLUS

CN Furo[3,4-g]isoquinolin-3(1H)-one, 6-benzoyldecahydro-1-methyl-9-[(1E)-2-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]ethenyl]-, (1R,3aR,4aS,8aS,9S,9aS)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 36 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:282524 CAPLUS

DOCUMENT NUMBER: 138:304064

TITLE: Preparation of phenylurea derivatives as vanilloid receptor agonists

INVENTOR(S): Matsumoto, Takahiro; Yamamoto, Masataka; Nagabukuro, Hiroshi; Mochizuki, Manabu

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 293 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

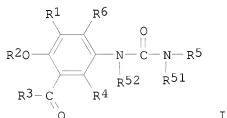
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029199	A1	20030410	WO 2002-JP9995	20020927
WO 2003029199	A9	20030925		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,  
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,  
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,  
 UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2002332331 A1 20030414 AU 2002-332331 20020927  
 EP 143/344 A1 20040714 EP 2002-768103 20020927  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 JP 2004339061 A 20041202 JP 2002-282514 20020927  
 US 20040259912 A1 20041223 US 2004-489621 20040312  
 PRIORITY APPLN. INFO.: JP 2001-300564 A 20010928  
 WO 2002-JP9995 W 20020927  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 138:304064  
 GI

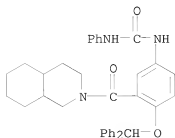


AB The title compds. I [R1, R4 and R6 are each independently hydrogen, halogeno, or hydrocarbyl; R2 is hydrocarbyl or a heterocyclic group; R3 is hydrocarbyl, etc.; R5 is hydrocarbyl or a heterocyclic group (except quinolyl) and R51 is hydrogen or hydrocarbyl, or R5 and R51 together with the nitrogen atom adjacent thereto may form a ring; and R52 is hydrogen or hydrocarbyl] are prepared I are useful for the treatment of pain, urinary incontinence, etc. In a tail flick test using mice, one compound of this invention showed a min. ED of 1 mg/kg.

IT 508216-96-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of phenylurea derivs. as vanilloid receptor agonists)

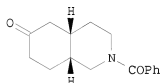
RN 508216-96-4 CAPLUS

CN Urea, N-[4-(diphenylmethoxy)-3-[(octahydro-2(1H)-isoquinolinyl)carbonyl]phenyl]-N'-phenyl- (CA INDEX NAME)

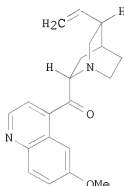


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(6 CITINGS)  
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1998:630299 CAPLUS  
DOCUMENT NUMBER: 129:343401  
ORIGINAL REFERENCE NO.: 129:69945a  
TITLE: Synthesis of cis-4a(S),8a(R)-perhydro-6(2H)-  
isoquinolinones from quinine:  
4a(S),8a(R)-2-benzoyloctahydro-6(2H)-isoquinolinone  
AUTHOR(S): Hutchinson, Darrell R.; Khau, Vien V.; Martinelli,  
Michael J.; Nayyar, Naresh K.; Peterson, Barry C.;  
Sullivan, Keven A.  
CORPORATE SOURCE: USA  
SOURCE: Organic Syntheses (1998), 75, 223-234  
CODEN: ORSYAT; ISSN: 0078-6209  
PUBLISHER: John Wiley & Sons, Inc.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 129:343401  
GI



I

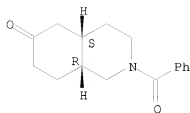


II

AB Perhydroisoquinolinone I is prepared in 5 steps from quinine as a single enantiomer. Quinine is oxidized with benzophenone and potassium tert-butoxide in toluene to give quinone II in 98% yield. II is oxidized with oxygen and potassium tert-butoxide in tert-butanol/THF to give meroquinene tert-Bu ester, which is protected with benzoyl chloride in pyridine, cyclized with sulfuric acid, and reduced with hydrogen over palladium on carbon to give I in 51% yield.  
IT 52390-26-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of a nonracemic N-benzoylperhydroisoquinolinone from quinine)  
RN 52390-26-8 CAPLUS  
CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aS,8aR)- (CA INDEX NAME)

Absolute stereochemistry.





OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 38 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:244862 CAPLUS

DOCUMENT NUMBER: 120:244862

ORIGINAL REFERENCE NO.: 120:43405a,43408a

TITLE: A new method for the preparation of tetrazoles from

nitriles using trimethylsilyl azide/trimethylaluminum

Huff, Bret E.; Staszak, Michael A.

CORPORATE SOURCE: Lilly Res. Lab., Indianapolis, IN, 46285-4813, USA

SOURCE: Tetrahedron Letters (1993), 34(50), 8011-14

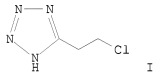
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:244862

GI



AB Tetrazoles are prepared in good yields from alkyl and aryl nitriles by  
treatment with equimolar trimethylaluminum and trimethylsilylazide.  
Yields, substrate compatibility, and reaction temperature are comparable with  
the use of other metal azides such as Al(N3)3 and Bu3SnN3. The reactions  
are run in toluene or with added THF at 80°. Thus, reaction of  
ClCH2CH2CN with Me3Al in the presence of Me3SiN3 in PhMe gave 89%  
tetrazole I.

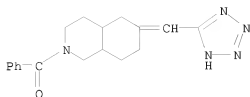
IT 154373-21-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 154373-21-4 CAPLUS

CN Methanone, [octahydro-6-(2H-tetrazol-5-ylmethylene)-2(1H)-  
isoquinolinyl]phenyl- (CA INDEX NAME)

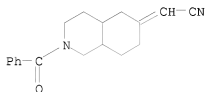


IT 154373-19-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with trimethylsilyl azide in presence of  
trimethylaluminum, tetrazole by)

RN 154373-19-0 CAPLUS

CN Acetonitrile, 2-(2-benzoyloctahydro-6(2H)-isoquinolinylidene)- (CA INDEX  
NAME)



OS.CITING REF COUNT: 43 THERE ARE 43 CAPLUS RECORDS THAT CITE THIS  
RECORD (43 CITINGS)

L7 ANSWER 39 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:134304 CAPLUS

DOCUMENT NUMBER: 120:134304

ORIGINAL REFERENCE NO.: 120:23651a,23654a

TITLE: Antipsychotic nitrogen-containing bicyclic compounds

INVENTOR(S): Gilligan, Paul Joseph

PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

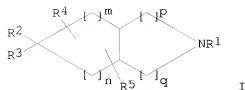
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9316050	A1	19930819	WO 1993-US1384	19930216
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5532243	A	19960702	US 1992-836230	19920214
AU 9337200	A	19930903	AU 1993-37200	19930216
EP 626949	A1	19941207	EP 1993-905996	19930216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07505142	T	19950608	JP 1993-514332	19930216
PRIORITY APPLN. INFO.:			US 1992-836230	A 19920214
			WO 1993-US1384	A 19930216

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 120:134304

GI



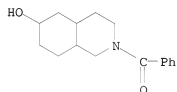
AB The title compds. I [R1 = H, C1-6 alkyl, C3-6 cycloalkyl, C3-6 alkenyl, heterocyclyl, etc.; R2 = H, OH, C1-6 alkoxy, etc.; R3 = C1-6 alkyl, (un)substituted Ph, heteroaryl, naphthyl, etc.; R4, R5 = H, C1-6 alkyl; m, n, p, q = 1, 2; such that m = n ≠ 2 or p = q ≠ 2], useful in the treatment of physiol. or drug-induced psychosis and as antidyskinetic agents, and which are not expected to produce the extrapyramidal symptoms that are typical of those produced by other antipsychotics that are dopamine receptor antagonists, are prepared Thus, cis-2-benzoyl-6-(4'-fluorophenyl)-6-hydroxydecahydroisoquinoline was reduced with LiAlH<sub>4</sub>, producing cis-2-benzyl-6-(4'-fluorophenyl)-6-hydroxydecahydroisoquinoline, which demonstrated potent binding affinity for guinea pig striatum-isolated sigma receptors and for dopamine D2 receptors.

IT 52346-10-8P 152620-57-0P 152620-93-4P  
152620-95-6P 152620-96-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antipsychotic activity of)

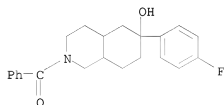
RN 52346-10-8 CAPLUS

CN Methanone, (octahydro-6-hydroxy-2(1H)-isoquinolinyl)phenyl- (CA INDEX NAME)



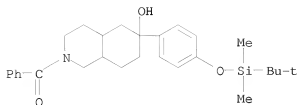
RN 152620-57-0 CAPLUS

CN Methanone, [6-(4-fluorophenyl)octahydro-6-hydroxy-2(1H)-isoquinolinyl]phenyl- (CA INDEX NAME)



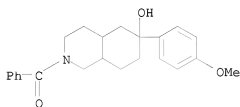
RN 152620-93-4 CAPLUS

CN Methanone, [6-[4-[[[1,1-dimethylethyl]dimethylsilyl]oxy]phenyl]octahydro-6-hydroxy-2(1H)-isoquinolinyl]phenyl- (CA INDEX NAME)



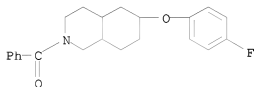
RN 152620-95-6 CAPLUS

CN Methanone, [octahydro-6-hydroxy-6-(4-methoxyphenyl)-2(1H)-isoquinolinyl]phenyl- (CA INDEX NAME)



RN 152620-96-7 CAPLUS

CN Methanone, [6-(4-fluorophenoxy)octahydro-2(1H)-isoquinolinyl]phenyl- (CA INDEX NAME)



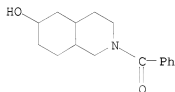
IT 52346-10-8P 152620-72-9P 152620-73-0P

152620-96-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antipsychotic activity of, reaction of)

RN 52346-10-8 CAPLUS

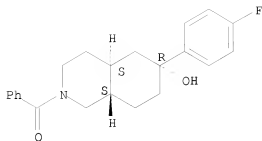
CN Methanone, (octahydro-6-hydroxy-2(1H)-isoquinolinyl)phenyl- (CA INDEX NAME)



RN 152620-72-9 CAPLUS

CN 6-Isoquinolinol, 2-benzoyl-6-(4-fluorophenyl)decahydro-, (4αα,6α,8αβ)- (9CI) (CA INDEX NAME)

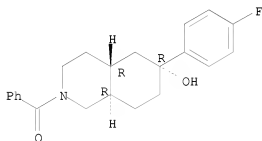
Relative stereochemistry.



RN 152620-73-0 CAPLUS

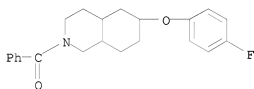
CN 6-Isoquinolinol, 2-benzoyl-6-(4-fluorophenyl)decahydro-,  
(4 $\alpha$ ,6 $\beta$ ,8 $\alpha\beta$ )- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152620-96-7 CAPLUS

CN Methanone, [6-(4-fluorophenoxy)octahydro-2(1H)-isoquinolinyl]phenyl- (CA  
INDEX NAME)

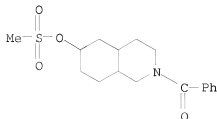


IT 152620-97-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of, in preparation of antipsychotic agents)

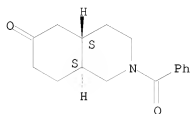
RN 152620-97-8 CAPLUS

CN Methanone, [octahydro-6-[(methylsulfonyl)oxy]-2(1H)-isoquinolinyl]phenyl-  
(CA INDEX NAME)

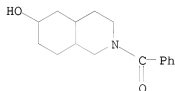


IT 27875-48-5 52346-10-8 152620-57-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of antipsychotic agents)  
 RN 27875-48-5 CAPLUS  
 CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aR)-rel- (CA INDEX NAME)

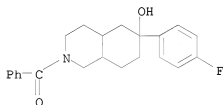
Relative stereochemistry.



RN 52346-10-8 CAPLUS  
 CN Methanone, (octahydro-6-hydroxy-2(1H)-isoquinolinyl)phenyl- (CA INDEX NAME)



RN 152620-57-0 CAPLUS  
 CN Methanone, [6-(4-fluorophenyl)octahydro-6-hydroxy-2(1H)-isoquinolinyl]phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 40 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1993:539584 CAPLUS

DOCUMENT NUMBER: 119:139584

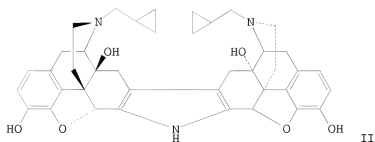
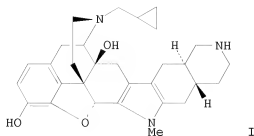
ORIGINAL REFERENCE NO.: 119:25059a, 25062a

TITLE: Synthesis and  $\kappa$ -opioid antagonist selectivity of a norbinaltorphimine congener. Identification of the address moiety required for  $\kappa$ -antagonist activity

AUTHOR(S): Lin, Chia En; Takemori, Akira E.; Portoghese, Philip S.

CORPORATE SOURCE: Coll. Pharm., Univ. Minnesota, Minneapolis, MN, 55455, USA

SOURCE: Journal of Medicinal Chemistry (1993), 36(16), 2412-15  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



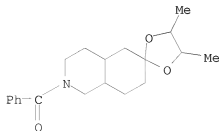
AB Compound I, which represents a structurally simplified congener of norbinaltorphimine (II), was synthesized in order to evaluate the role of its second basic nitrogen in conferring  $\kappa$ -opioid receptor antagonist selectivity. Congener I was found to be at least twice as selective as II as a  $\kappa$  antagonist, while its N-carbobenzoxo derivative was inactive at  $\kappa$ -receptors. The importance of the second basic nitrogen of II for  $\kappa$ -receptor recognition was established. It is proposed that this basic group mimics the guanidinium moiety of Arg, which may be the key  $\kappa$ -address component of dynorphin.

IT 58406-84-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reduction and benzyloxycarbonylation of)

RN 58406-84-1 CAPLUS

CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinoline],  
 2'-benzyloctahydro-4,5-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)



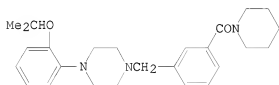
OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

L7 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1993:517276 CAPLUS  
 DOCUMENT NUMBER: 119:117276  
 ORIGINAL REFERENCE NO.: 119:21099a,21102a  
 TITLE: Novel 4-arylpiperazines and 4-arylpiperidines  
 INVENTOR(S): Reitz, Allen B.  
 PATENT ASSIGNEE(S): McNeilab, Inc., USA  
 SOURCE: PCT Int. Appl., 64 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9304682	A1	19930318	WO 1992-US7754	19920911
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MG, MW, NO, RO, RU, SD				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
ZA 9109629	A	19931206	ZA 1991-9629	19911205
HU 68963	A2	19950828	HU 1993-1362	19911220
HU 217068	B	19991129		
AU 9226599	A	19930405	AU 1992-26599	19920911
AU 657799	B2	19950323		
EP 563345	A1	19931006	EP 1992-920313	19920911
EP 563345	B1	20020703		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
HU 64535	A2	19940128	HU 1993-1361	19920911
JP 06502870	T	19940331	JP 1993-505525	19920911
JP 2941945	B2	19990830		
RU 2139867	C1	19991020	RU 1993-41055	19920911
SG 70980	A1	20000321	SG 1996-5506	19920911
AT 219938	T	20020715	AT 1992-920313	19920911
ES 2179822	T3	20030201	ES 1992-920313	19920911
NO 9301695	A	19930527	NO 1993-1695	19930510
NO 9301694	A	19930630	NO 1993-1694	19930510
NO 303780	B1	19980831		
FI 111639	B1	20030829	FI 1993-2104	19930510
US 5569659	A	19961029	US 1995-442600	19950517
PRIORITY APPLN. INFO.:			US 1991-757881	A 19910911
			US 1992-944006	B1 19920911
			WO 1992-US7754	A 19920911
			WO 1992-US9082	W 19921220
			US 1994-365978	B1 19941228

OTHER SOURCE(S): MARPAT 119:117276  
 GI



AB Title compds.4-RX(CH<sub>2</sub>)<sub>n</sub>CR1R2X1WNR3R4 [X = (un)substituted piperazino, piperidino; X1 = (un)substituted Ph; R = aryl; CR1R2 = CH<sub>2</sub>, CO, 1,1-alkanediyl, CHOH; W = CO, CS, SO<sub>2</sub>; NR3R4 = amino; n = 0-4] (113 compds.) were prepared as antipsychotic agents. Thus, 3-C1CH2C6H4COC1 was treated with piperidine and N-(2-isopropoxyphenyl)piperazine to give the



piperazine I which had an ED50 against apomorphine-induced emesis in dogs of 0.038mg/kg orally in dogs 1h before treatment with apomorphine..

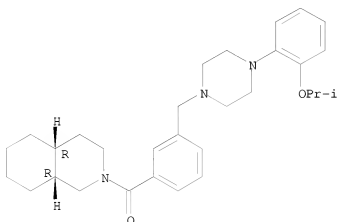
IT 148827-10-5P 148853-90-1P 148888-36-2P  
148888-37-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

RN 148827-10-5 CAPLUS  
(preparation and antipsychotic activity of)

CN Isoquinoline, decahydro-2-[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]benzoyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 148853-90-1 CAPLUS

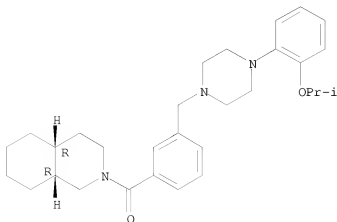
CN Isoquinoline, decahydro-2-[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]benzoyl]-, cis-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 148827-10-5

CMF C30 H41 N3 O2

Relative stereochemistry.



CM 2

CRN 144-62-7

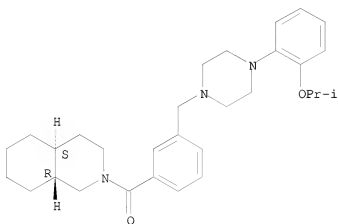
CMF C2 H2 O4



RN 148888-36-2 CAPLUS

CN Isoquinoline, decahydro-2-[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]benzoyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 148888-37-3 CAPLUS

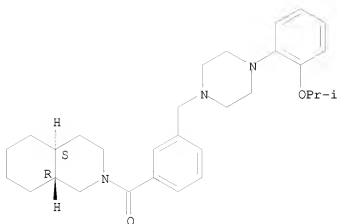
CN Isoquinoline, decahydro-2-[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]benzoyl]-, trans-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 148888-36-2

CMF C30 H41 N3 O2

Relative stereochemistry.



CM 2

CRN 144-62-7

CMF C2 H2 O4



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)  
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1993:495555 CAPLUS

DOCUMENT NUMBER: 119:95555

ORIGINAL REFERENCE NO.: 119:17241a,17244a

TITLE: Novel 4-arylpiperazines and 4-arylpiperidines

INVENTOR(S): Reitz, Alan B.

PATENT ASSIGNEE(S): McNeilab, Inc., USA

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

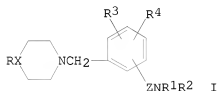
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9304684	A1	19930318	WO 1991-US9082	19911220
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MG, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
ZA 9109629	A	19931206	ZA 1991-9629	19911205
AU 9213633	A	19930405	AU 1992-13633	19911220
EP 562049	A1	19930929	EP 1992-906123	19911220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06502183	T	19940310	JP 1992-506154	19911220
HU 68963	A2	19950828	HU 1993-1362	19911220

HU 217068	B	19991129		
HU 64535	A2	19940128	HU 1993-1361	19920911
SG 70980	A1	20000321	SG 1996-5506	19920911
ES 2179822	T3	20030201	ES 1992-920313	19920911
NO 9301695	A	19930527	NO 1993-1695	19930510
US 5569659	A	19961029	US 1995-442600	19950517
PRIORITY APPLN. INFO.:			US 1991-757881	A 19910911
			WO 1991-US9082	A 19911220
			US 1992-944006	B1 19920911
			WO 1992-US9082	W 19921220
			US 1994-365978	B1 19941228

OTHER SOURCE(S): MARPAT 119:95555

GI

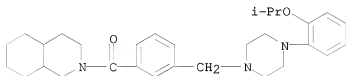


AB Piperazines and piperidines I [X = N, CH; Z = CO, CS, SO<sub>2</sub>; R = (un)substituted Ph, heteroaryl; R<sub>1</sub>, R<sub>2</sub> = H, C<sub>1</sub>-C<sub>8</sub> alkyl, (un)substituted Ph, aralkyl, acyl, C<sub>4</sub>-C<sub>10</sub> cycloalkyl, NR<sub>1</sub>R<sub>2</sub> may form a ring; R<sub>3</sub>, R<sub>4</sub> = H, C<sub>1</sub>-C<sub>8</sub> alkyl or alkoxy, NO<sub>2</sub>, halo, amino, etc.] were prepared as novel antipsychotic agents (dopamine D<sub>2</sub> binding activities tabulated for 82 synthesized compds.). Thus, m-C<sub>1</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>COC<sub>1</sub> was treated with piperidine in THF, then piperidine and N-(2-isopropoxyphenyl)piperazine fumarate, to give 1-[3-[[4-(2-isopropoxyphenyl)-1-piperazinyl]methyl]benzoyl]piperidine, which is isolated as the HCl salt.

IT 148583-20-4P 149270-82-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and affinity for dopamine-2 receptor)

RN 148583-20-4 CAPLUS

CN Methanone, [3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl](octahydro-2(1H)-isoquinolinyl)- (CA INDEX NAME)



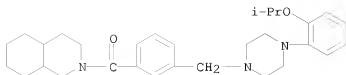
RN 149270-82-6 CAPLUS

CN Methanone, [3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]phenyl](octahydro-2(1H)-isoquinolinyl)-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 148583-20-4

CMF C30 H41 N3 O2



CM 2

CRN 144-62-7

CMF C2 H2 O4



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1988:38172 CAPLUS

DOCUMENT NUMBER: 108:38172

ORIGINAL REFERENCE NO.: 108:6399a,6402a

TITLE: A general synthesis of  
cis-perhydro-3,6-isoquinolinediones related to the  
alloyohimbane alkaloids

AUTHOR(S): Stork, Gilbert; Livingston, Douglas A.

CORPORATE SOURCE: Dep. Chem., Columbia Univ., New York, NY, 10027, USA

SOURCE: Chemistry Letters (1987), (1), 105-8

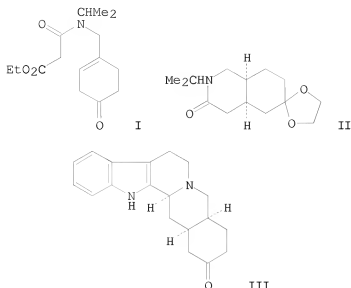
CODEN: CMLTAG; ISSN: 0366-7022

DOCUMENT TYPE: Journal

LANGUAGE: English

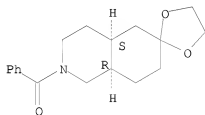
OTHER SOURCE(S): CASREACT 108:38172

GI



AB The intramol. annulation of malonic acid derivs. of  
 4-(aminomethyl)cyclohexenones, e.g. I, is an efficient route to  
 cis-perhydroisoquinolinedione derivs., e.g. II. (±)-Alloyohimbone  
 IT 58620-31-8P (III) was prepared from the imine of 4-methoxybenzaldehyde and tryptamine.  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 58620-31-8 CAPLUS  
 CN Spiro[1,3-dioxolane-2,6'(2'H)-isoquinoline], 2'-benzoyloctahydro-, cis-  
 (9CI) (CA INDEX NAME)

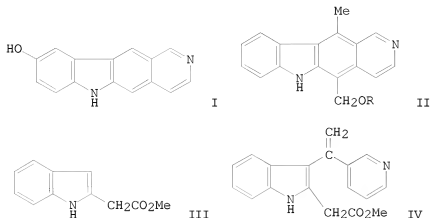
Relative stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
 (3 CITINGS)

L7 ANSWER 44 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1987:515469 CAPLUS  
 DOCUMENT NUMBER: 107:115469  
 ORIGINAL REFERENCE NO.: 107:18711a,18714a  
 TITLE: Synthesis and biological properties of some  
 6H-pyrido[4,3-b]carbazoles  
 AUTHOR(S): Archer, Sydney; Ross, Bruce S.; Pica-Mattoccia, Livia;  
 Cioli, Donato  
 CORPORATE SOURCE: Dep. Chem., Rensselaer Polytech. Inst., Troy, NY,  
 12180-3590, USA  
 SOURCE: Journal of Medicinal Chemistry (1987), 30(7), 1204-10  
 CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 107:115469  
 GI



AB The effect of Me substitution on the biol. properties of ellipticines was reexamd. 9-Hydroxy-pyridocarbazole I was synthesized and shown to be devoid of antitumor activity in murine P-388 lymphocytic leukemia in mice. The (hydroxymethyl)methylpyridocarbazole II (R = H) and its N-methylcarbamate II (R = CONHMe) were prepared from 3-acetylpyridine and Me indolylacetate III, via the intermediate [(pyridylvinylidene)indolyl]acetate IV, in 5 and 6 steps resp. The effect of II (R = H, CONHMe) on macromol. synthesis in HeLa cells and their antitumor properties were compared with those of ellipticine (V). In contrast to V and the hydroxymethyl derivative I (R = H), which produced partially reversible inhibition of [3H]thymidine incorporation, the carbamate ester I (R = CONHMe) irreversibly blocked incorporation of the tritiated pyrimidine. I (R = CONHMe) was also a more potent antitumor agent in P-388 lymphocytic leukemia than V or I (R = H).

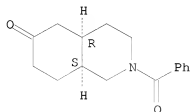
IT 27875-47-4P 27875-48-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, ketalization and hydride reduction of)

RN 27875-47-4 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)-rel- (CA INDEX NAME)

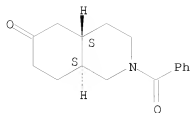
Relative stereochemistry.



RN 27875-48-5 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L7 ANSWER 45 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:5546 CAPLUS

DOCUMENT NUMBER: 100:5546

ORIGINAL REFERENCE NO.: 100:951a,954a

TITLE: Fischer indole synthesis from cis- and trans-hexahydro-7-methyl-6-isoquinolones. Proton NMR determination of the configuration and conformation of products

AUTHOR(S): Freter, Kurt; Fuchs, Victor; Pitner, T. Phil  
CORPORATE SOURCE: Res. Dev., Boehringer Ingelheim, Ltd., Ridgefield, CT, 06877, USA

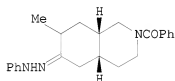
SOURCE: Journal of Organic Chemistry (1983), 48(24), 4593-7  
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

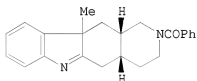
LANGUAGE: English

OTHER SOURCE(S): CASREACT 100:5546

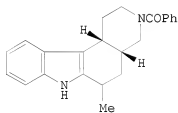
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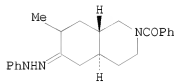
I



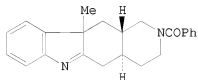
II



III



IV



V

AB Acid-catalyzed ring closure of cis-fused heterocycle (I) gave either indolenine (II) or the indole (III) depending on the acidity of the reaction medium. The trans isomer (IV) forms only indolenine derivative (V). Anal. of vicinal 1H-1H coupling consts. in terms of dihedral angles yields the conformation and relative configuration of key intermediates and



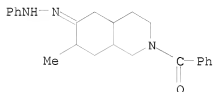
products. Factors influencing the stereochem. course of these reactions are discussed.

IT 87682-34-6P 87682-35-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and Fischer indole synthesis with)

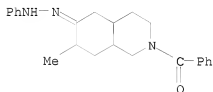
RN 87682-34-6 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-7-methyl-, 6-(phenylhydrazone),  
(4 $\alpha$ , 7 $\beta$ , 8 $\alpha$ )- (9CI) (CA INDEX NAME)



RN 87682-35-7 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-7-methyl-, 6-(phenylhydrazone),  
(4 $\alpha$ , 7 $\alpha$ , 8 $\beta$ )- (9CI) (CA INDEX NAME)



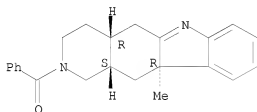
IT 87682-36-8P 87727-56-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 87682-36-8 CAPLUS

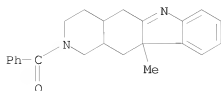
CN 1H-Pyrido[4,3-b]carbazole, 2-benzoyl-2,3,4,4a,5,10b,11,11a-octahydro-10b-methyl-, (4 $\alpha$ , 10 $\beta$ , 11 $\alpha$ )- (9CI) (CA INDEX NAME)

Relative stereochemistry.



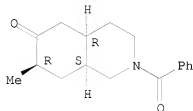
RN 87727-56-8 CAPLUS

CN Methanone, (1,3,4,4a,5,10b,11,11a-octahydro-10b-methyl-2H-pyrido[4,3-b]carbazol-2-yl)phenyl- (CA INDEX NAME)



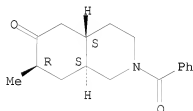
IT 87682-32-4P 87682-33-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, phenylhydrazone from)  
 RN 87682-32-4 CAPLUS  
 CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-7-methyl-,  
 (4 $\alpha$ , 7 $\beta$ , 8 $\alpha$ )- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 87682-33-5 CAPLUS  
 CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-7-methyl-,  
 (4 $\alpha$ , 7 $\alpha$ , 8 $\beta$ )- (9CI) (CA INDEX NAME)

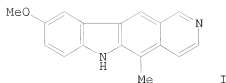
Relative stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
 (3 CITINGS)

L7 ANSWER 46 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1981:462477 CAPLUS  
 DOCUMENT NUMBER: 95:62477  
 ORIGINAL REFERENCE NO.: 95:10563a,10566a  
 TITLE: Synthesis, DNA intercalation and antitumor activity of  
 9-hydroxy-11-demethylellipticine and some derivatives.  
 Comparison with the corresponding ellipticines  
 AUTHOR(S): Gouyette, Alain; Reynaud, Rene; Sadet, Jacqueline;  
 Baillarge, Michele; Gansser, Charles; Cros, Suzanne;  
 Le Goffic, Francois; Le Pecq, Jean Bernard; Paoletti,  
 Claude; Viel, Claude  
 CORPORATE SOURCE: Cent. Etudes Rech. Chim. Org. Appl., CNRS, Thiais,  
 94320, Fr.  
 SOURCE: European Journal of Medicinal Chemistry (1980), 15(6),  
 503-10  
 CODEN: EJMCA5; ISSN: 0009-4374  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

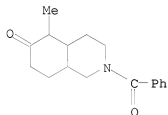


AB 11-Demethylellipticines were prepared by 3 synthetic routes. Thus, 5-methoxygramine was treated with N-benzyl-4-piperidinone to give 1-benzyl-3-(5-methoxy-3-indolyl)-4-piperidinone, which underwent ethynylation and cyclization followed by debenzylation-aromatization to give demethylellipticine I. 9-Methoxy-11-demethylellipticine and 9-hydroxy-11-demethylellipticine as well as their quaternary ammonium salts were compared with the corresponding ellipticine derivs. concerning their DNA affinity, their in vitro cytotoxic action and their in vivo antitumor activity. 11-Demethylellipticines have less DNA affinity but possess a lower toxicity than the corresponding ellipticines and are also less active on L 1210 leukemia. The presence of a Me group on the intercalating ring (at C-11) plays a major role in determining the biol. activity. A similar observation has been made in the actinomycin series.

IT 77528-42-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and cyclization with methoxyphenylhydrazine)

RN 77528-42-8 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-5-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L7 ANSWER 47 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

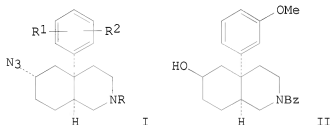
ACCESSION NUMBER: 1980:76313 CAPLUS  
 DOCUMENT NUMBER: 92:76313  
 ORIGINAL REFERENCE NO.: 92:12567a,12570a  
 TITLE: Isoquinoline derivatives  
 INVENTOR(S): Hauth, Hartmut; Pfäeffli, Paul  
 PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Switz.  
 SOURCE: Ger. Offen., 19 pp.

CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2907461	A1	19790920	DE 1979-2907461	19790226
CH 636859	A5	19830630	CH 1978-2643	19780310
DK 7900882	A	19790911	DK 1979-882	19790301

FI 7900701	A	19790911	FI 1979-701	19790301
SE 7901848	A	19790911	SE 1979-1848	19790301
NL 7901764	A	19790912	NL 1979-1764	19790306
GB 2016012	A	19790919	GB 1979-7868	19790306
GB 2016012	B	19820721		
FR 2419286	A2	19791005	FR 1979-5753	19790306
FR 2419286	B1	19820305		
BE 874704	A4	19790910	BE 1979-193914	19790308
AU 7944956	A	19790913	AU 1979-44956	19790308
AU 529350	B2	19830602		
CA 1118775	A1	19820223	CA 1979-323128	19790308
ZA 7901107	A	19801029	ZA 1979-1107	19790309
JP 54128585	A	19791005	JP 1979-28183	19790310
PRIORITY APPLN. INFO.:			CH 1978-2643	A 19780310
			CH 1978-6283	A 19780608

OTHER SOURCE(S): CASREACT 92:76313  
GI



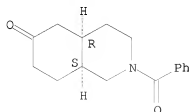
AB The isoquinoline derivs. I (R = H, aliphatic group, cycloalkyl- or furylalkyl, optionally substituted phenylalkyl; R1 = R2 = H, alkyl, alkoxy, CF3, halogen) were prepared for use as analgesics (no data). Thus, 1,3,4,7,8,8a-hexahydro-2-benzoyl-6(2H)-isoquinolinone reacted with BuLi and 3-BrC6H4OMe, and the product was successively treated with NaBH4, Ac2O, and KOH in aqueous MeOH to give II. This was treated successively with MeSO2Cl and NaN3, followed by treatment with HCl-BuOH to give I (R = R1 = H, R2 = 3-MeO).

IT 27875-47-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with bromoanisole)

RN 27875-47-4 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)-rel- (CA INDEX NAME)

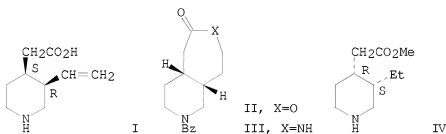
Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L7 ANSWER 48 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1978:152822 CAPLUS

DOCUMENT NUMBER: 88:152822  
 ORIGINAL REFERENCE NO.: 88:24097a,24100a  
 TITLE: Total synthesis of Cinchona alkaloids. 1. Synthesis of meroquinene  
 AUTHOR(S): Uskokovic, Milan R.; Henderson, Thomas; Reese, Charles; Lee, Hsi Lin; Grethe, Guenter; Gutzwiller, Juerg  
 CORPORATE SOURCE: Chem. Res. Dep., Hoffmann-La Roche Inc., Nutley, NJ, USA  
 SOURCE: Journal of the American Chemical Society (1978), 100(2), 571-6  
 CODEN: JACSAT; ISSN: 0002-7863  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB Meroquinene (I), the key intermediate in several total syntheses of Cinchona alkaloids, was synthesized by three methods. Starting from cis-2-benzoyloctahydro-6(2H)-isoquinolone, the acetic acid and the vinyl side chains of I were formed by either Baeyer-Villiger oxidation, opening of the lactone II to the hydroxy ester, and elimination, or by Schmidt rearrangement, nitrosation of the lactam III, and pyrolysis. A stereospecific preparation of I was effected by catalytic hydrogenation of 3-ethyl-4-pyridineacetic acid Me ester, followed by conversion of the Et group of IV into the vinyl group by Löffler-Freytag rearrangement and elimination.

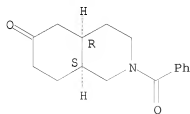
IT 27875-47-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (Baeyer-Villiger oxidation of)

RN 27875-47-4 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

L7 ANSWER 49 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1976:135912 CAPLUS

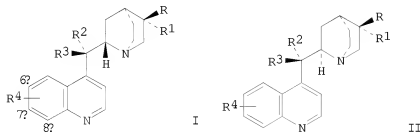
DOCUMENT NUMBER: 84:135912  
 ORIGINAL REFERENCE NO.: 84:22107a,22110a  
 TITLE: Intermediates for quinine, quinidine, isomers and derivatives  
 INVENTOR(S): Gutzwiller, Juerg A. W.; Uskokovic, Milan Radoje  
 PATENT ASSIGNEE(S): Hoffmann-La Roche, Inc., USA  
 SOURCE: U.S., 44 pp. Division of U.S. 3,772,302.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3929795	A	19751230	US 1973-384525	19730801
CA 954517	A2	19740910	CA 1971-126550	19711101
CA 974994	A2	19750923	CA 1971-126549	19711101
US 3772302	A	19731113	US 1971-212774	19711227
ZA 7200010	A	19720927	ZA 1972-10	19720103
CH 565793	A5	19750829	CH 1975-6540	19720103
CH 565794	A5	19750829	CH 1975-6541	19720103
GB 1347802	A	19740227	GB 1972-629	19720106
US 3869461	A	19750304	US 1973-354838	19730426
AT 7405754	A	19761115	AT 1974-5754	19740711
AT 337913	B	19770725		
AT 7405752	A	19770215	AT 1974-5752	19740711
AT 339510	B	19771025		

PRIORITY APPLN. INFO.:

US 1968-741914	A2	19680702
US 1969-837354	A2	19690627
US 1971-104784	A2	19710107
US 1971-212774	A3	19711227
CA 1969-55886	A3	19690702
US 1971-212648	A3	19711227
AT 1972-73	A	19720105
US 1972-104785	A	19720107

GI



AB Antimalarial and antiarrhythmic Cinchona alkaloid derivs. I and II (R = H, R1 = Et, CH:CH2; R = Et, CH:CH2, R1 = H; R2 = H, R3 = OH; R2 = OH, R3 = H; R2R3 = H2, O; R4 = H, 6'-Cl, 7'-Cl, 7'-F3C, 6',8'-(MeO)2, 6',8'-Cl2, 6',7'-OCH2O) (59 comps.) were prepared Thus, condensation of 6-methoxyepidrine with N-benzoylmerquinene Et ester followed by reductive debenzoylation, acetylation, and cyclization gave a mixture of deoxyquinine (I, R = CH:CH2, R1-R3 = H, R4 = 6-MeO) and deoxyquinidine (II, R = CH:CH2, R1-R3 = H, R4 = 6-MeO), which were hydroxylated using O in Me2SO-Me3COH-KOCMe3 to yield quinine (I, R2 = H, R3 = OH) and quinidine

(11, R2 = OH, R3 = H).

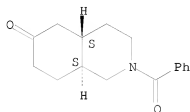
IT 26599-55-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and Schmidt reaction of)

RN 26599-55-3 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aS-trans)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

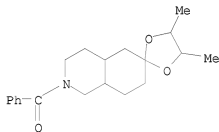


IT 58406-84-1P 58846-10-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydrolysis of)

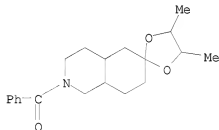
RN 58406-84-1 CAPLUS

CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinoline],  
2'-benzoyloctahydro-4,5-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)



RN 58846-10-9 CAPLUS

CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinoline],  
2'-benzoyloctahydro-4,5-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)



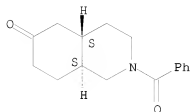
IT 27875-48-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and ketalization of)

RN 27875-48-5 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aR)-rel- (CA INDEX NAME)

Relative stereochemistry.

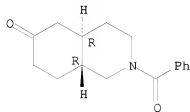


IT 26695-57-8P 27875-47-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 26695-57-8 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR-trans)- (9CI) (CA INDEX NAME)

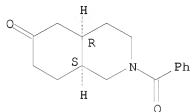
Absolute stereochemistry.



RN 27875-47-4 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L7 ANSWER 50 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1976:90387 CAPLUS

DOCUMENT NUMBER: 84:90387

ORIGINAL REFERENCE NO.: 84:14765a,14768a

TITLE: Processes and intermediates for quinine, quinidine,  
isomers and derivatives

INVENTOR(S): Gutzwiller, Juerg A. W.; Uskokovic, Milan R.

PATENT ASSIGNEE(S): Hoffmann-La Roche, Inc., USA

SOURCE: U.S., 44 pp. Division of U.S. 3,772,302.

CODEN: USXXAM

DOCUMENT TYPE: Patent



LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3914235	A	19751021	US 1973-384556	19730801
CA 954517	A2	19740910	CA 1971-126550	19711101
CA 974994	A2	19750923	CA 1971-126549	19711101
US 3772302	A	19731113	US 1971-212774	19711227
ZA 7200010	A	19720927	ZA 1972-10	19720103
CH 565793	A5	19750829	CH 1975-6540	19720103
CH 565794	A5	19750829	CH 1975-6541	19720103
GB 1347802	A	19740227	GB 1972-629	19720106
US 3869461	A	19750304	US 1973-354838	19730426
AT 7405754	A	19761115	AT 1974-5754	19740711
AT 337913	B	19770725		
AT 7405752	A	19770215	AT 1974-5752	19740711
AT 339510	B	19771025		

PRIORITY APPLN. INFO.:

US 1968-741914	A2	19680702
US 1969-837354	A2	19690627
US 1971-104784	A2	19710107
US 1971-212774	A3	19711227
CA 1969-55886	A3	19690702
US 1971-212648	A3	19711227
AT 1972-73	A	19720105
US 1972-104785	A	19720107

GI For diagram(s), see printed CA Issue.

AB Cinchonidines I and cinchonines II [R = 6-MeO, 7-Cl, 7-F3C, R1 = H; RR1 = 6,8-(MeO)2, 6,8-Cl2, 6,7-OCH2O; R2 = H, OH; R3 = CH:CH2, Et, R4 = H; R3 = H, R4 = CH:CH2, Et] (58 compds.) and their salts, useful as antiarrhythmics, hypotensives, and antimalarials (no data), were prepared by condensation of lepidines III with with cis- and trans-piperidineacetates IV (R5 = H, acyl, R6 = lower alkyl) followed by deacylation, when R5 = acyl, NaBH4 reduction, cyclization, and hydroxylation. The preparation of IV

also

was described.

IT 26599-55-3P 26695-57-8P

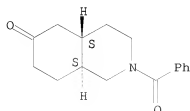
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and Schmidt reaction of)

RN 26599-55-3 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aS-trans)- (9CI) (CA INDEX NAME)

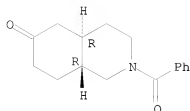
Absolute stereochemistry.



RN 26695-57-8 CAPLUS

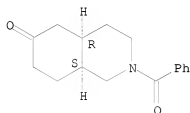
CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



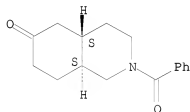
IT 27875-47-4P 27875-48-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and Schmidt rearrangement of)  
 RN 27875-47-4 CAPLUS  
 CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)-rel- (CA INDEX NAME)

Relative stereochemistry.

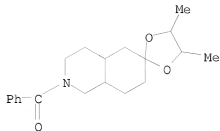


RN 27875-48-5 CAPLUS  
 CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aR)-rel- (CA INDEX NAME)

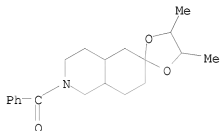
Relative stereochemistry.



IT 28888-47-3P 58406-84-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and hydrolysis of)  
 RN 28888-47-3 CAPLUS  
 CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinolinol],  
 2'-benzoyloctahydro-4,5-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)



RN 58406-84-1 CAPLUS  
 CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinoline],  
 2'-benzoyloctahydro-4,5-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

L7 ANSWER 51 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1976:89975 CAPLUS

DOCUMENT NUMBER: 84:89975

ORIGINAL REFERENCE NO.: 84:14677a,14680a

TITLE: Synthesis of 1-azatwistane

AUTHOR(S): Deslongchamps, Pierre; Ruest, Luc; Dube, Serge

CORPORATE SOURCE: Lab. Synth. Org., Univ. Sherbrooke, Sherbrooke, QC, Can.

SOURCE: Canadian Journal of Chemistry (1975), 53(23), 3613-19

CODEN: CJCHAG; ISSN: 0008-4042

DOCUMENT TYPE: Journal

LANGUAGE: French

OTHER SOURCE(S): CASREACT 84:89975

GI For diagram(s), see printed CA Issue.

AB 1-Azatwistane (I) was prepared by reducing the decahydroisoquinolinone II (R = C(=O)Ph, Z = O), mesylating the benzyloisoquinolinol II (R = CH<sub>2</sub>Ph, Z = H, HO), cyclizing the mesylate II (R = CH<sub>2</sub>Ph, Z = H, MeSO<sub>3</sub>), hydrogenating the resulting quaternary ammonium salt III (R = CH<sub>2</sub>Ph) over Pd-C, and treating III (R = H) with NH<sub>3</sub>.

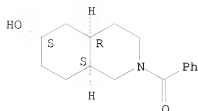
IT 58620-33-0P 58620-34-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and mesylation of)

RN 58620-33-0 CAPLUS

CN 6-Isoquinolinol, 2-benzoyldecahydro-, (4α,6α,8α)-  
 (9CI) (CA INDEX NAME)

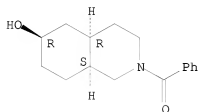
Relative stereochemistry.



RN 58620-34-1 CAPLUS

CN 6-Isoquinolinol, 2-benzoyldecahydro-, (4 $\alpha$ ,6 $\beta$ ,8 $\alpha$ )- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



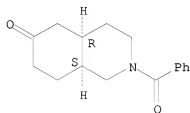
IT 27875-47-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reduction of)

RN 27875-47-4 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 27875-48-5P 58620-31-8P 58620-32-9P

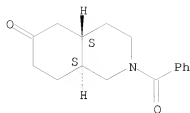
58620-35-2P 58620-36-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 27875-48-5 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aR)-rel- (CA INDEX NAME)

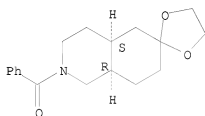
Relative stereochemistry.



RN 58620-31-8 CAPLUS

CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinoline], 2'-benzoyloctahydro-, cis- (9CI) (CA INDEX NAME)

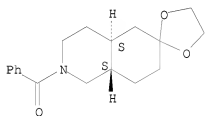
Relative stereochemistry.



RN 58620-32-9 CAPLUS

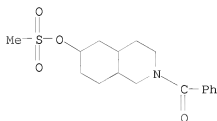
CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinoline], 2'-benzoyloctahydro-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



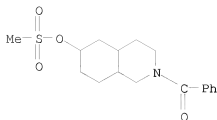
RN 58620-35-2 CAPLUS

CN 6-Isoquinolinol, 2-benzoyldecahydro-, methanesulfonate (ester), (4α,6α,8α)- (9CI) (CA INDEX NAME)



RN 58620-36-3 CAPLUS

CN 6-Isoquinolinol, 2-benzoyldecahydro-, methanesulfonate (ester), (4α,6β,8α)- (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

L7 ANSWER 52 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1974:121160 CAPLUS

DOCUMENT NUMBER: 80:121160

ORIGINAL REFERENCE NO.: 80:19510h,19511a

TITLE: Stereoselectivity of ketone reduction with

Sporotrichum exile. Resolution of cis- and

trans-2-benzoyloctahydro-6(2H)-isoquinolones

AUTHOR(S): Uskokovic, M. R.; Pruess, D. L.; Despreaux, C. W.;

Shiuey, S.; Pizzolato, G.; Gutzwiller, J.

CORPORATE SOURCE: Chem. Res. Dep., Hoffmann-La Roche Inc., Nutley, NJ,  
USA

SOURCE: Helvetica Chimica Acta (1973), 56(8), 2834-44

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB cis-Octahydroquinolinones I and II were resolved by anaerobic incubation

with *S. exile* which preferentially reduced II to give

cis-octahydroquinolinol III of 70% optical purity. This was oxidized by

chromic acid and recrystd. to yield optically pure II. The

trans-octahydroquinolinones IV and V were resolved by recrystn. of their

(R,R)-2,3-butanediol ketal derivs. Cinchonidine was oxidized by treatment

with Ph2CO in the presence of KOtMe3 and then ring cleaved by O in Me3COH

containing KOtMe3 to give the meroquinene ester VI, which underwent successive

N-benzoylation, ester hydrolysis, polyphosphoric acid catalyzed

cyclization, and hydrogenation to give a mixture of II and IV.

IT 26599-54-2P 26599-55-3P 26695-57-8P

28888-47-3P 52346-10-8P 52390-25-7P

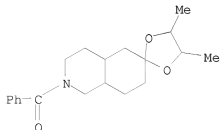
52390-26-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 26599-54-2 CAPLUS

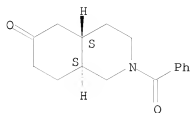
CN Spiro[1,3-dioxolane-2,6'(2'H)-isoquinoline],  
2'-benzoyloctahydro-4,5-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)



RN 26599-55-3 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aS-trans)- (9CI) (CA INDEX NAME)

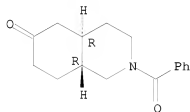
Absolute stereochemistry.



RN 26695-57-8 CAPLUS

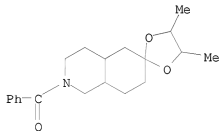
CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



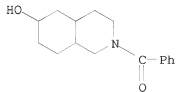
RN 28888-47-3 CAPLUS

CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinoline], 2'-benzoyloctahydro-4,5-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)



RN 52346-10-8 CAPLUS

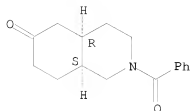
CN Methanone, (octahydro-6-hydroxy-2(1H)-isoquinolinyl)phenyl- (CA INDEX NAME)



RN 52390-25-7 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)- (CA INDEX NAME)

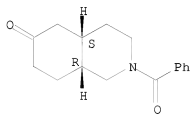
Absolute stereochemistry.



RN 52390-26-8 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aS,8aR)- (CA INDEX NAME)

Absolute stereochemistry.



IT 27875-48-5

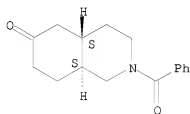
RL: PROC (Process)

(resolution of, by ketalization with (RR)-2,3-butanediol)

RN 27875-48-5 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 27875-47-4

RL: PROC (Process)

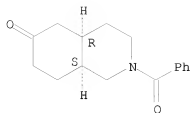
(resolution of, by stereoselective reduction with Sporotrichum exile)

RN 27875-47-4 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)-rel- (CA INDEX NAME)

Relative stereochemistry.





OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L7 ANSWER 53 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1974:37350 CAPLUS

DOCUMENT NUMBER: 80:37350

ORIGINAL REFERENCE NO.: 80:6135a,6138a

TITLE: Intermediates for quinine, quinidine, isomers and derivatives

INVENTOR(S): Gutzwiller, Juerg A. W.; Uskokovic, Milan R.

PATENT ASSIGNEE(S): Hoffman-La Roche Inc.

SOURCE: U.S., 35 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3772302	A	19731113	US 1971-212774	19711227
CA 954517	A2	19740910	CA 1971-126550	19711101
CA 974994	A2	19750923	CA 1971-126549	19711101
ZA 7200010	A	19720927	ZA 1972-10	19720103
CH 565793	A5	19750829	CH 1975-6540	19720103
CH 565794	A5	19750829	CH 1975-6541	19720103
GB 1347802	A	19740227	GB 1972-629	19720106
US 3869461	A	19750304	US 1973-354838	19730426
US 3857837	A	19741231	US 1973-384523	19730801
US 3857847	A	19741231	US 1973-384557	19730801
US 3864347	A	19750204	US 1973-384765	19730801
US 3869462	A	19750304	US 1973-384767	19730801
US 3872129	A	19750318	US 1973-384766	19730801
US 3873549	A	19750325	US 1973-384781	19730801
US 3875171	A	19750401	US 1973-384524	19730801
US 3914235	A	19751021	US 1973-384556	19730801
US 3929795	A	19751230	US 1973-384525	19730801
AT 7405754	A	19761115	AT 1974-5754	19740711
AT 337913	B	19770725		
AT 7405752	A	19770215	AT 1974-5752	19740711
AT 339510	B	19771025		

PRIORITY APPLN. INFO.:

US 1968-741914	A2	19680702
US 1969-837354	A2	19690627
US 1971-104784	A2	19710107
CA 1969-55886	A3	19690702
US 1971-108784	A2	19710107
US 1971-212648	A3	19711227
US 1971-212774	A3	19711227
AT 1972-73	A	19720105
US 1972-104785	A	19720107

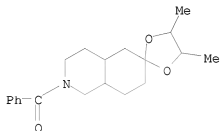
GI For diagram(s), see printed CA Issue.

AB Alkaloidal analogs I (R = H, Cl, MeO; R1 = H, Cl, F3C; R2 = H, MeO; R1R2 = CH2O2; R3 = H, OH; R4 = H; R3R4 = O; R5 = Et, CH:CH2) (68 compds.), useful as antimalarials and antiarrhythmics, were prepared as isomer mixts., which were resolved into cinchonidine and cinchonine analogs. Thus methyl-quinoline II (R = Cl, R1 = R2 = H) condensed with piperidine acetate III (R5 = CH:CH2) to give IV (R3R4 = O) which was reduced, O-acetylated, cyclized and hydroxylated successively to an epimeric mixture of I (R3 = H, R4 = OH) (chlorocinchonidine and chlorocinchonine).

IT 26599-54-2P 26599-55-3P 26695-57-8P  
 27875-47-4P 27875-48-5P 28888-47-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 26599-54-2 CAPLUS

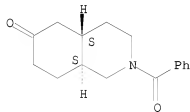
CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinoline],  
 2'-benzoyloctahydro-4,5-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)



RN 26599-55-3 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aS-trans)- (9CI) (CA INDEX NAME)

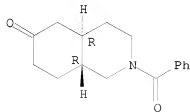
Absolute stereochemistry.



RN 26695-57-8 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR-trans)- (9CI) (CA INDEX NAME)

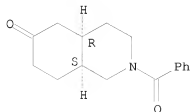
Absolute stereochemistry.



RN 27875-47-4 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)-rel- (CA INDEX NAME)

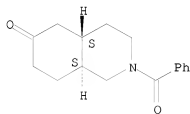
Relative stereochemistry.



RN 27875-48-5 CAPLUS

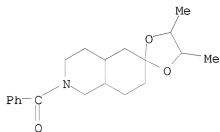
CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 28888-47-3 CAPLUS

CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinoline],  
2'-benzoyloctahydro-4,5-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L7 ANSWER 54 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1973:29651 CAPLUS

DOCUMENT NUMBER: 78:29651

ORIGINAL REFERENCE NO.: 78:4679a,4682a

TITLE: New synthesis of 6H-pyrido[4,3-b]carbazoles

AUTHOR(S): Rastogi, Shri Nivas; Bindra, Jasjit S.; Rai, S. N.;  
Anand, Nitya

CORPORATE SOURCE: Cent. Drug Res. Inst., Lucknow, India

SOURCE: Indian Journal of Chemistry (1972), 10(6), 673-4

CODEN: IJOCAP; ISSN: 0019-5103

DOCUMENT TYPE: Journal

LANGUAGE: English

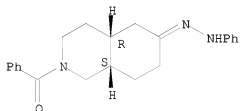
GI For diagram(s), see printed CA Issue.

AB A new synthesis of 6H-pyrido[4,3-b]-carbazoles (I, R = Me, MeO, F) and the  
corresponding 4a,11acis- and trans-1,2,3,4,4a,5,11,11a-octahydro derivs.

is described. The starting compds. cis- and trans-2-benzoyl-1,3,4,4a,5,7,8,8a-octahydro-6(2H)-isoquinolones are condensed with arylhydrazines to give the corresponding hydrazones (II), which on indolization and dehydrogenation afford the desired compds. A number of ring-A substituted compds. have been prepared for anticancer screening.

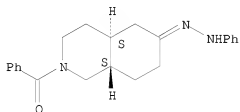
IT 39112-36-2P 39112-37-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 39112-36-2 CAPLUS  
 CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, 6-(phenylhydrazone), cis- (9CI)  
 (CA INDEX NAME)

Relative stereochemistry.  
 Double bond geometry unknown.



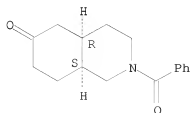
RN 39112-37-3 CAPLUS  
 CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, 6-(phenylhydrazone), trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.  
 Double bond geometry unknown.



IT 27875-47-4 27875-48-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with arylhydrazines)  
 RN 27875-47-4 CAPLUS  
 CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)-rel- (CA INDEX NAME)

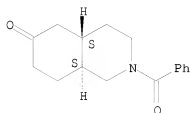
Relative stereochemistry.



RN 27875-48-5 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L7 ANSWER 55 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1970:90698 CAPLUS

DOCUMENT NUMBER: 72:90698

ORIGINAL REFERENCE NO.: 72:16497a,16500a

TITLE: Quinoline and quinine derivatives

INVENTOR(S): Gutzwiller, Juerg A. W.; Uskokovic, Milan R.

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co., A.-G.

SOURCE: Ger. Offen., 122 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1933600	A	19700108	DE 1969-1933600	19690702
CH 533622	A	19730330	CH 1969-9861	19690627
CH 559181	A5	19750228	CH 1971-14523	19690627
CH 559183	A5	19750228	CH 1971-14524	19690627
CH 559184	A5	19750228	CH 1971-14525	19690627
BE 735451	A	19700102	BE 1969-735451	19690701
FR 2012152	A5	19700313	FR 1969-22136	19690701
FR 2012152	B1	19730810		
AT 300813	B	19720810	AT 1971-494	19690701
AT 319482	B	19741227	AT 1969-6270	19690701
AT 323338	B	19750710	AT 1969-49371	19690701
NL 6910136	A	19700106	NL 1969-10136	19690702
NL 162384	B	19791217		
NL 162384	C	19800516		
GB 1280201	A	19720705	GB 1969-1280201	19690702
GB 1280202	A	19720705	GB 1969-1280202	19690702
GB 1280203	A	19720705	GB 1969-1280203	19690702
SE 364044	B	19740211	SE 1969-9413	19690702
CA 954516	A1	19740910	CA 1969-55886	19690702
DK 129235	B	19740916	DK 1969-3590	19690702
SE 375776	B	19750428	SE 1972-12265	19690702
IL 32535	A	19750522	IL 1969-32535	19690702
SE 376612	B	19750602	SE 1972-12266	19690702
JP 49007160	B	19740219	JP 1971-56691	19710728
FR 2108178	A5	19720519	FR 1971-35510	19711001
FR 2108178	B1	19740322		
CA 954517	A2	19740910	CA 1971-126550	19711101
CA 974994	A2	19750923	CA 1971-126549	19711101
US 3869461	A	19750304	US 1973-354838	19730426

## PRIORITY APPLN. INFO.:

US 1968-741914      A 19680702  
CA 1969-55886      A3 19690702  
US 1971-212648      A3 19711227

GI For diagram(s), see printed CA Issue.

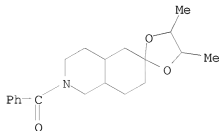
AB The title compds. (I), quinine related, were prepared. Thus a solution of 151 g racemic 2-benzoyl-1,3,4,7,8,8a-hexahydro-6(2H)isoquinolone (II) in 300 ml absolute EtOH and 300 ml 3N HCl was hydrogenated over 30 g 5% Rh-Al2O3 to give a product containing 61.9% racemic cis-2-benzoyloctahydro-6(2H)isoquinolone (III) and 13% of the racemic trans isomer (IV); III m. 147-8.5°. Hydrogenation of 25.5 g II in 1 l. 1.95% EtOH over 2.5 g 10% Pd-C at 3 atm gave racemic IV, m. 157.5-59° (absolute EtOH). IV (23.4 g), 2.24 g 4-MeC6H4-SO3H, and 9.83 g (-)-butane-2(R), 3(R)-diol in 2 l. anhydrous C6H6 was refluxed 3 hr with azeotropic sepn. of H2O to give 12.95 g 2'-benzoyl-4(R),5(R)-dimethyl-1',2',3',4',4a'(R),7',8',8a'(R)-octahydrospiro[1,3-dioxolane-2,6'(5'H)isoquinolone] (V), m. 182-4° (Et2O), [α]25D -8.75° (c 0.96, MeOH), and 12.45 g 2'-benzoyl-4(R),5(R)-dimethyl-1',2',3',4',4a'(S),7',8',8a'(S)-octahydrospiro[1,3-dioxolane-2,6'(5'H)isoquinolone] (VI), m. 147-8.5° (1:1 EtOH-H2O), [α]25D 9.95° (c 1.005, MeOH). Treatment of 0.329 g V with 50 ml 70% HOAc 4.67 hr at 100-5° gave 0.256 g 4a(R),8a(R)-2-benzoyloctahydro-6(2H)isoquinolone (VII), m. 151-3° (absolute EtOH), [α]25D -62.6° (c 1.005, CHCl3). VI (2.5 g) and 100 ml 70% HOAc heated 1.5 hr at 100-5° gave 2 g 4a(S),8a(S)-2-benzoyloctahydro-6(2H)isoquinolone (VIII), m. 151-3° (absolute EtOH), [α]26D 61.8° (c 1.01, CHCl3). To 20.6 g III in 800 g polyphosphoric acid was added 10 g NaN3, and the mixture stirred 16 hr at 55-60° to give racemic cis-7-benzoyldecahydro-2H-pyrido[3,4-d]azepin-2-one (IX), m. 167-8.5° (Me2CO). From 2.57 g VIII and 1.3 g NaN3 in 100 g polyphosphoric acid was prepared 2.72 g 5a(S),9a(S)-7-benzoyldecahydro-2H-pyrido[3,4-d]azepin-2-one (X); alcoholate m. 200-3° (absolute EtOH), [α]25D 37.83° (c 1.0547, CHCl3). Similarly prepared from 5.15 g IV and from 1.02 g II, resp., were: 5.45 g trans-7-benzoyldecahydro-2H-pyrido[3,4-d]azepin-2-one (XI), m. 187-9° (EtOH:Et2O); and racemic 2-benzoyl-1,2,3,4,7,8,9,9a-octahydro-6H-pyrido[3,4-d]azepin-6-one (XII), m. 219-21° (Me2CO). Hydrogenation of 5.4 g XII over 5.4 g 5% Rh-Al2O3 in 450 ml absolute EtOH and 10 ml 3N HCl gave IX. Alcoholysis of 2.8 g IX by 500 ml 5% alc. HCl, under reflux 100 hr, gave racemic Et cis-1-benzoyl-3-(2-aminoethyl)piperidine-4-acetate (XIII), oil. Alcoholysis of XI gave the trans isomer (XIV). A mixture of 1.91 g XIII, 1.38 g HCO2H, and 1.05 g 37% CH2O was heated 1 hr at 100° to give Et cis-1-benzoyl-3-(2-dimethylaminoethyl)piperidine-4-acetate, which in 10 ml MeOH was treated with 2ml 30% H2O2 at 0°, and the mixture stirred 16 hr at room temperature to give racemic Et cis-1-benzoyl-3-(2-dimethylaminoethyl)piperidine-4-acetate N-oxide, which was converted into racemic Et cis-1-benzoyl-3-vinylpiperidine-4-acetate (XV), m. 66-8° (C6H14) by heating 25 min at 90-125°. The racemic trans isomer (XVI), glass, was similarly prepared from XIV. To a mixture of 5.521 g N2O4 and 9.84 g anhydrous NaOAc in 360 ml CCl4 (prepared at -70°) was added at 0° 10.88 g IX in 40 ml CH2Cl2 to give racemic cis-7-benzoyl-1-nitrosodecahydro-2H-pyrido[3,4-d]azepin-2-one (XVII); the racemic trans analog (XVIII) was similarly prepared from XI. Heating XVII at 120° 1 hr under N gave racemic cis-1-benzoyl-3-vinylpiperidine-4-acetic acid (XIX), oil. By similar methods XVIII was converted into the racemic trans isomer (XX), oil, and 3.86 g X was converted into 2.34 g 1-benzoyl-3(S)-vinylpiperidine-4(S)-acetic acid (XXI), oil. Action of 1 g CH2N2 in 50 ml Et2O on 5.29 g XIX in 500 ml Et2O gave the racemic cis Me ester (XXII), oil; 0.476 g XX in 4ml MeOH and 9 ml CH2N2 solution in Et2O (3 g/130 ml) gave 0.201 g racemic trans Me ester (XXIII), oil; and 2.34 g XXI gave 1.059 g Me 1-benzoyl-3(S)-vinylpiperidine-4(S)-acetate (XXIV), [α]25D -1.61° (c 1.1193, CHCl3). Addition of 22.4 g KOCCMe3 in

300 ml anhydrous THF to 37.24 g di-Et glutaconate and 70.08 g NCCH<sub>2</sub>CO<sub>2</sub>CH<sub>2</sub>Ph in 100 ml THF over 4 hr, and refluxing 12 hr gave 42.55 g racemic Ph-CH<sub>2</sub>O<sub>2</sub>CCH(CN)CH(CH<sub>2</sub>CO<sub>2</sub>Et)<sub>2</sub> (XXV), b<sub>0.15</sub> 167-74°. Ethylation of 18 g XXV by 15.6 g EtI and 6.72 g KO<sub>2</sub>Me<sub>2</sub> in 200 ml-THF 3 hr gave 11.35 g racemic PhCH<sub>2</sub>O<sub>2</sub>CC<sub>2</sub>Et(CN)CH(CH<sub>2</sub>CO<sub>2</sub>Et)<sub>2</sub> (XXVI), b<sub>0.025</sub> 154-9°. Hydrogenolysis of 23.4 g XXVI in 600 ml 95% EtOH over 3 g 10%Pd-C gave 14.17 g NCCH<sub>2</sub>Et(CH<sub>2</sub>CO<sub>2</sub>Et)<sub>2</sub> (XXVII), b<sub>0.0284</sub>-6°. XXVII (101.23 g) was hydrogenated over 31.8 g Raney Ni in 1200 ml absolute EtOH at 110 atm to give 57.6 g racemic cis-4-ethoxycarbonylmethyl-5-ethyl-2-piperidone (XXVIII), m. 89-91° (CH<sub>2</sub>Cl<sub>2</sub>-Et<sub>2</sub>O), and 16 g racemic trans isomer, oil. XXVIII (0.64 g) was treated with 0.684 g Et<sub>3</sub>O+BF<sub>4</sub>- in 20 ml anhyd. CH<sub>2</sub>Cl<sub>2</sub> at room temperature 65 hr, evaporated, the residue dissolved in 20 ml

absolute

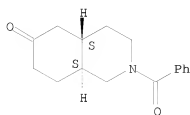
EtOH, 0.25 g NaBH<sub>4</sub> was added at 0°, and the mixture kept 23 hr at room temperature to give 0.591 g racemic Et cis-3-ethylpiperidine-4-acetate (XXIX), b<sub>0.5</sub> 91-2°. To 0.032 mole (Me<sub>2</sub>CH)<sub>2</sub>NLi in 7:3 C<sub>6</sub>H<sub>6</sub>-Et<sub>2</sub>O was added 5.6 g 6-methoxyepidone in 60 ml THF, the mixture kept 20 min, 4.6 g XXII in 60 ml THF added, and the mixture stirred 1 hr at 20° to give racemic cis-6-methoxy-4-[3-(1-benzoyl-3-vinyl-4-piperidyl)-2-oxopropyl]quinoline. This (2.8 g) in 150 ml PhMe at 0° was reduced by 12 ml 25% (Me<sub>2</sub>CH)<sub>2</sub>AlH in PhMe. To the racemic product in 40 ml Me<sub>2</sub>CO was added 1 g dibenzoyl-d-tartaric acid in 10 ml MeOH. Recrystn. 4 times from MeOH-Me<sub>2</sub>CO gave the epimeric cis-6-methoxy-4-3-[3(R)-vinyl-4(S)-piperidyl]-2-hydroxypropyl quinoline (XXX) dibenzoyl-d-tartrate, m. 189-90°, [α]<sub>25D</sub> -27.4° (c 0.82, MeOH); XXX, oil, [α]<sub>25D</sub> 39.6° (c 1.425, CHCl<sub>3</sub>). Acetylation of 1.15 g XXX by 40 ml HOAc and 4 ml BF<sub>3</sub>.Et<sub>2</sub>O 18 hr at 50° gave 6-methoxy-4-3-[3(R)-vinyl-4(S)-piperidyl]-2-acetoxypropyl quinoline (XXXI), glass, [α]<sub>25D</sub> 21.4° (c 0.835, CHCl<sub>3</sub>). Dehydration of 0.6 g XXX in 20 ml C<sub>5</sub>H<sub>5</sub>N by 1 ml SOCl<sub>2</sub> 4 hr at 0-20° gave 6-methoxy-4-3-[3(R)-vinyl-4(R)-piperidyl]prop-1-enyl quinoline (XXXII). To 1.241 g XXXI in 150 ml C<sub>6</sub>H<sub>6</sub> and 7.5 ml HOAc was added 17g NaOAc.3H<sub>2</sub>O and the mixture refluxed 14 hr to give a mixture (mixt.A) of deoxyquinone and deoxyquinidine. A solution of 0.826 g mixture A in 40 ml 4:1 Me<sub>2</sub>SO-Me<sub>2</sub>COH was treated 10 min at 20° with dry O, 0.6 g KO<sub>2</sub>Me<sub>3</sub> added, and the oxidn. continued until 71.5 ml O was taken up to give a mixture (I) [(R)<sub>1</sub>m = 6-MeO, R<sub>2</sub> = vinyl] of quinine and quindine. Other examples were given.

IT 26599-54-2P 26599-55-3P 26695-57-8P  
27875-47-4P 27875-48-5P 28888-47-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 26599-54-2 CAPLUS  
CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinoline],  
2'-benzoyloctahydro-4,5-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)



RN 26599-55-3 CAPLUS  
CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aS-trans)- (9CI) (CA INDEX NAME)

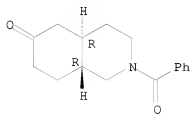
Absolute stereochemistry.



RN 26695-57-8 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR-trans)- (9CI) (CA INDEX NAME)

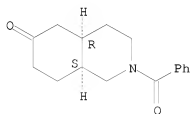
Absolute stereochemistry.



RN 27875-47-4 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)-rel- (CA INDEX NAME)

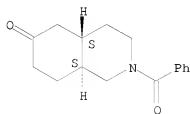
Relative stereochemistry.



RN 27875-48-5 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aR)-rel- (CA INDEX NAME)

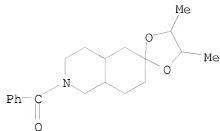
Relative stereochemistry.



RN 28888-47-3 CAPLUS

CN Spiro[1,3-dioxolane-2,6'-(2'H)-isoquinolinol, 2'-benzoyloctahydro-4,5-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)





L7 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1959:56454 CAPLUS

DOCUMENT NUMBER: 53:56454

ORIGINAL REFERENCE NO.: 53:10223d-i,10224a-e

TITLE: Stereochemistry of the catalytic hydrogenation of some

bicyclic  $\alpha,\beta$ -unsaturated ketones

AUTHOR(S): Augustine, Robert L.

CORPORATE SOURCE: Univ. of Texas, Austin

SOURCE: Journal of Organic Chemistry (1958), 23, 1853-6

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB Catalytic hydrogenation of 1,9-octahydronaphthalen-2-one (I) and 4,5,6-hexahydro-6-isoquinolone (II) under a variety of conditions was studied. The cis-isomer was obtained as the predominant product under acidic conditions. I (500 mg.), 10 ml. solvent, and 50 mg. catalyst was hydrogenated at room temperature under one atmospheric H (after 1 mole H was

absorbed

the reaction ceased), the catalyst removed, the solvent distilled in vacuo, and the residue subjected directly to vapor phase chromatography. The temperature was kept at 215-20° and helium used as the eluent gas at 80 ml./min. In acidic or neutral medium, 500 mg. I, 9 ml. alc., 50 mg. 10% Pd-C, and 1 ml. either 3N HCl or 10% aqueous NaOH was subjected to hydrogenation at room temperature under one atmospheric of H, after 1 mole H uptake the

reaction stopped, the catalyst removed, the solvent evaporated, and the residue taken up in Et2O. Evaporation of the solvent and vapor phase chromatography was then carried out. At 3 atmospheric, 500 mg. I, 10 ml.

MeOH,

and 50% mg. 10% Pd-C was shaken 2 hrs. under 40 lb./sq. in. and worked up as above. The residue showed no unsatn. nor OH peaks in the infrared. It was subjected to vapor phase chromatography as described above. Liquid NH3 (2 l.) added to 55 g. I in 500 ml. Et2O, 3 g. Li added in small pieces, left 15 min., treated with a further 150 mg. Li, the solution stirred 1 hr., decomposed by 80 g. NH4Cl, the NH3 evaporated overnight, the residue taken up with H2O, and extracted with Et2O gave 35 g. trans- $\beta$ -decalone (III), b28 127-8°, n23D 1.4820; semicarbazone m. 191-2°;

2,4-dinitrophenylhydrazones m. 165-6°. I (50 g.), 5 g. 10% Pd-C, 250 ml. alc., and 25 ml. 3N HCl hydrogenated at 27° under H gave 35 g. cis- $\beta$ -decalone (IV), b23 120-1°, n25D 1.4904; semicarbazone m. 182-3°; 2,4-dinitrophenylhydrazones m. 154-5°. The

following hydrogenation results were obtained with I (solvent, catalyst, % IV and % III given): alc., 10% Pd-C, 53, 47; MeOH, 10% Pd-C, 59, 41; MeOH, 10% Pd-C (3 atmospheric pressure), 63, 37; dioxane, 10% Pd-C, 50, 50; alc., 2% Pd-SrCO3, 64, 36; alc., PtO2, 72, 28; AcOH, PtO2, 70, 30; alc., 30% Pd-C. 82. 18; alc.-aqueous NaOH, 10% Pd-C, 62, 38; alc.-aqueous HCl, 10% Pd-C, 93, 7; liquid NH3, Li, -, 100. II was reduced as the

2-benzoyl-1,2,3,4,8a-hexahydro-6(7)-isoquinolone (V).

1-Benzoyl-4-piperidone (89 g.) and 36 g. pyrrolidine in 400 ml. C6H6

refluxed 12 hrs. under N, the H<sub>2</sub>O formed collected, the C<sub>6</sub>H<sub>6</sub> removed, the residue taken up in 400 ml. dioxane, treated with 21 g. Me vinyl ketone, the solution left 45 min. at room temperature, refluxed 3 hrs., then refluxed

1 hr.

with 90 ml. AcOH, 45 g. NaOAc, and 90 ml. H<sub>2</sub>O, poured into 2 l. H<sub>2</sub>O, extracted with CHCl<sub>3</sub>, the CHCl<sub>3</sub> washed with 10% NaOH and saturated NaCl, dried, and evaporated gave a dark oily residue, crystallized to give 36 g. V, m. 144-5° (C<sub>6</sub>H<sub>6</sub>-cyclohexane),  $\lambda$  242 m $\mu$ ,  $\epsilon$  12,000; 2,4-dinitrophenylhydrazones m. 226-7° (CHCl<sub>3</sub>-alc.). I (5 g.), 100 ml. alc., 10 ml. 3N HCl, and 500 mg. 10% Pd-C hydrogenated at room temperature under 1 atmospheric H, reduction stopped after 1 mole H uptake, filtered, the residue washed with CHCl<sub>3</sub>, the combined solns. evaporated, and the residue purified gave 2.7 g. cis-2-benzoyl-1,2,3,4,4a,7,8,8a-octahydro-6(5)-isoquinolone (VI), m. 148-9° (C<sub>6</sub>H<sub>6</sub>-cyclohexane); 2,4-dinitrophenylhydrazones m. 200-1°. V (5 g.), 100 ml. alc., and 500 mg. 10% Pd-C hydrogenated at room temperature gave 1.3 g. trans-2-benzoyloctahydro-6(5H)-isoquinolone (VI), m. 159-60° (95% alc.); 2,4-dinitrophenylhydrazones m. 205-6°. V (0.5 g.), 20 ml. alc., and 50 mg. catalyst hydrogenated at room temperature under 1 atmospheric

H, after

1 mole H uptake the catalyst removed, and the combined solns. evaporated gave mixts. of products with bands at 7.6, 7.7, and 9.1  $\mu$ . V (500 mg.), 18 ml. alc., 50 mg. catalyst, and 2 ml. 3N HCl or 10% aqueous NaOH hydrogenated as above, the solution filtered, the residue washed with CHCl<sub>3</sub>, the solution evaporated, the residue taken up in CHCl<sub>3</sub>, washed with 3N HCl and saturated NaHCO<sub>3</sub>, dried, and evaporated gave a mixture of isomers. V (0.5 g.), 20 ml. alc., and 50 mg. 10% Pd-C was shaken at room temperature 1 hr. under 41 lb./sq. in. and worked up as above. The infrared spectrum showed no unsatn. nor OH peaks. The isomer ratio was determined as described. The following product ratio was obtained from the hydrogenation of V as follows (solvent, catalyst, % cis, and % trans forms obtained): alc., 10% Pd-C, 30, 70; alc., 10% Pd-C, 25, 75; alc., 2% Pd-SrCO<sub>3</sub>, 40, 60; alc., PtO<sub>2</sub>, 65, 35; alc., 30% Pd-C, 55, 45; alc.-aqueous NaOH, 10% Pd-C, 50, 50; alc.-aqueous HCl,

10%

Pd-C, 85, 15; alc.-aqueous HCl, 30% Pd-C, 85, 15. V (4.5 g.) in 250 ml. dioxane added to 500 ml. NH<sub>3</sub>, stirred 0.5 hr. with 0.7 g. Li, another 0.7 g. Li added, the solution stirred 3 hrs., the mixture decomposed by the

addition of

50 g. NH<sub>4</sub>Cl, the NH<sub>3</sub> allowed to evaporate overnight, the residue dissolved in 500 ml. H<sub>2</sub>O, the aqueous solution made acidic, saturated with NaCl, extracted with CHCl<sub>3</sub>, the CHCl<sub>3</sub> washed, dried, and evaporated gave 1 g. oil which smelled strongly of BzH. The aqueous solution from the extraction evaporated to a small volume, 500 ml.

CHCl<sub>3</sub> added, then sufficient Na<sub>2</sub>CO<sub>3</sub> to neutralize the solution plus 10 g., the mixture refluxed 2 hrs. with 10 g. BzCl, 10 ml. alc. added, refluxed an addnl. 0.5 hr., cooled, H<sub>2</sub>O added, the CHCl<sub>3</sub> separated, washed, dried, and distilled gave 200 mg. product not identical with VI, the nature of which was not determined. The mechanism of the above reactions is discussed.

IT

27875-47-4P 27875-48-5P 1089714-61-3P  
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)  
(Stereochemistry of the catalytic hydrogenation of some bicyclic  $\alpha,\beta$ -unsaturated ketones)

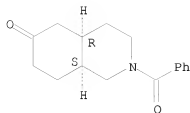
RN

27875-47-4 CAPLUS

CN

6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aS)-rel- (CA INDEX NAME)

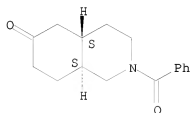
Relative stereochemistry.



RN 27875-48-5 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-, (4aR,8aR)-rel- (CA INDEX NAME)

Relative stereochemistry.

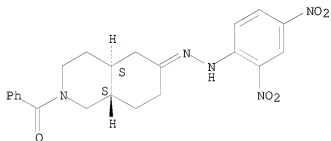


RN 1089714-61-3 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-,  
6-[2-(2,4-dinitrophenyl)hydrazone], (4aR,8aR)-rel- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

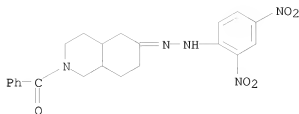


IT 102757-27-7P, 6(2H)-Isoquinolinone, 2-benzoyloctahydro-,  
(2,4-dinitrophenyl)hydrazones

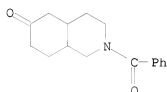
RL: PREP (Preparation)  
(preparation of)

RN 102757-27-7 CAPLUS

CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro-,  
6-[2-(2,4-dinitrophenyl)hydrazone] (CA INDEX NAME)



IT 7511-21-9, 6(2H)-Isoquinolinone, 2-benzoyloctahydro-  
(stereoisomers)  
RN 7511-21-9 CAPLUS  
CN 6(2H)-Isoquinolinone, 2-benzoyloctahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)

L7 ANSWER 57 OF 57 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1950:3133 CAPLUS

DOCUMENT NUMBER: 44:3133

ORIGINAL REFERENCE NO.: 44:6401,641a-g

TITLE: Stereochemistry of yohimbine

AUTHOR(S): Witkop, Bernhard

SOURCE: Journal of the American Chemical Society (1949), 71,  
2559-66

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB A method is described by which yohimbine can be degraded to an optically active 2-methyl-trans-decahydroisoquinoline (I). The identification of this base with synthetic resolved material subsequently established the stereochem. relationship of C atoms 12 and 20 in yohimbine. The previous method of preparation of chano-desoxyyohimbol (II) (earlier designation, desoxyyohimbol) (C.A. 37, 5407.3) is modified to give 8-12% from yohimbic acid (III); not more than 3-5 g. III should be employed for 1 distillation, the ratio of III to Tl2O should be 5:1, and the temperature should be below 300°; in a 2nd method, 2 g. III and 0.4 g. Tl2CO3 were distilled at 0.01 mm. and 280°; 70 g. III yields 1.9 g. II, m. 151°. The MeOH mother liquors front II by the 1st method yielded further II and chano-isodesoxyyohimbol, m. 206°; it forms 2 methiodides, chars about 280°, and m. 254°, the latter being more soluble in MeOH. Reduction of II over Pt oxide in AcOH (15 min.) gives the dihydro derivative (IV), m. 130°, [α]<sub>D</sub> -2.5°; it yields 2.18% N-Me in the Herzig-Meyer determination; picrate, red, m. 190°. The methiodide of II, converted to the amorphous quaternary base and heated in vacuo at 170°, gives 1-methyl-trans-octahydroisoquinoline, whose picrate, yellow, m. 229-31° (the needles are transformed into prisms at 210°). IV yields an amorphous methiodide (V), which was converted to the picrate, m. 223-5°; the carbonate from V and Tl2CO3, heated at 180°/30 mm., gives 79% I, isolated as the HCl salt, m. 225-7°, [α]<sub>D</sub> 1.4° (H2O, c 4.9); picrate, yellow, m.

234-7°; picrolonate, golden, m. 199-201°; chloroaurate, m. 90-2°; bis(dibenzoyl-L-tartrate), m. 167-8° (decomposition), [α]<sub>D</sub> 82.2° (MeOH, c 2.02); α-bromo-camphor-π-sulfonate, m. 170-2° [α]<sub>D</sub> 71.4° (MeOH). Isoquinoline (VI) yields a bioxalate, m. 148°. VI, hydrogenated with Pt oxide in AcOH to the py-tetrahydro derivative, acetylated (1-Ac derivative, m. 45°), and reduced in EtOH over Raney Ni 17 hrs. at 164°/3000 lb./sq. in., gives 0.7 g. 1-ethyldecahydroisoquinoline, whose picrate, yellow, m. 154° (presumably the trans compound). VI (55 g.) in 400 cc. methylcyclohexane, hydrogenated (15 hrs.) with 15 g. Raney Ni at 180°/4000 lb./sq. in., the hydrogenated base (58 g.) refluxed 24 hrs. with 1 g. Pd black, and the distilled product (b<sub>2</sub> 75-105°) acetylated, extracted with dilute acid, hydrolyzed, and benzoylated, gives benzoyl-trans-decahydroisoquinoline, m. 97-9°. dl-I (1.53 g.) and 1.5 g. D-tartaric acid in hot EtOH give 1.41 g. of d-I D-bitartrate, m. 167-9° [α]<sub>D</sub> 14.6° (H<sub>2</sub>O, c 2.05). dl-I gives a bis(dibenzoyl-L-tartrate), m. 154-5° (decomposition); the salt is suitable for characterization but not for resolution; picrolonate, m. 216-19°; HCl salt, m. 164-5°. These results indicate that in yohimbine rings D and E are trans-locked. No curariform activity was observed for the methochlorides (in doses of 12.5 mg./kg. frog) of II, IV, and quebrachamine.

IT 879276-56-9P, Isoquinoline, 2-benzoyldecahydro-, trans-

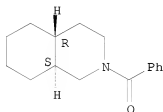
RL: PREP (Preparation)

(preparation of)

RN 879276-56-9 CAPLUS

CN Methanone, [(4aR,8aS)-octahydro-2(1H)-isoquinolinyl]phenyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



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